

STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 199232

TO: Ben Sackey
Location: 5b31 / 5c18
Art Unit: 1626
Thursday, August 24, 2006

Case Serial Number: 10/767581

From: Noble Jarrell
Location: Biotech-Chem Library
Rem 1B71
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Noble.jarrell@uspto.gov

Search Notes

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Noble

Requester's Full Name: BEN SACKLEY Examiner #: 73489 Date: 8/21/06
Art Unit: 1626 Phone Number: 2-0704 Serial Number: 10/767,581
Location (Bldg/Room#): 2m5331 (Mailbox #): 5418 Results Format Preferred (circle): PAPER DISK

ME

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Prodrugs of non-steroidal anti-inflammatory carboxylic acid compounds
Inventors (please provide full names): Tamal A. Jilani

Earliest Priority Date: 12/18/01

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Noble

*10PR
27OVL*

SIF 8/24/06

STN

1 STR

=> b reg

FILE 'REGISTRY' ENTERED AT 13:09:13 ON 24 AUG 2006
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STRUCTURE FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4
 DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

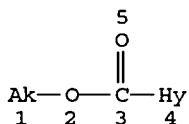
Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of
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<http://www.cas.org/ONLINE/UG/regprops.html>

=> d que sta l3

L1 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
 GGCAT IS SAT AT 4
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS M1-X6 C AT 1
 ECOUNT IS E4 C E1 N E1 O AT 4

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 5

STEREO ATTRIBUTES: NONE

L2 (403638)SEA FILE=REGISTRY ABB=ON PLU=ON NC2OC2/ES
 L3 2805 SEA FILE=REGISTRY SUB=L2 SSS FUL L1

100.0% PROCESSED 49466 ITERATIONS 2805 ANSWERS
 SEARCH TIME: 00.00.01

=> b hcap

FILE 'HCAPLUS' ENTERED AT 13:09:20 ON 24 AUG 2006
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FILE COVERS 1907 - 24 Aug 2006 VOL 145 ISS 9
FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

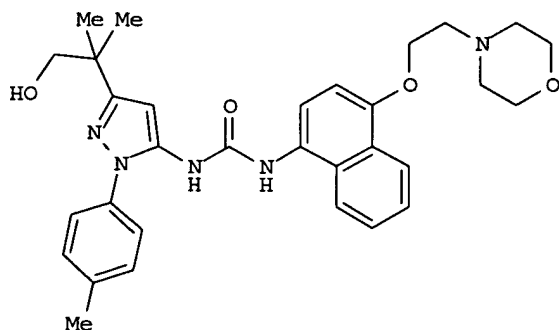
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitind hitstr l28 tot

L28 ANSWER 1 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:150529 HCAPLUS
DN 138:205052
TI Preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory agents
IN Cirillo, Pier Francesco; Dinallo, Roger; Regan, John Robinson; Riska, Paul S.; Swinamer, Alan David; Tan, Zhulin; Walter, Brian Andrew
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
SO U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 879,776, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---6525046	B1	20030225	2002US-0165372	20020607 <--
	US---6319921	B1	20011120	2000US-0484638	20000118 <--
	US---6333325	B1	20011225	2001US-0871559	20010531 <--
	US2002058678	A1	20020516	2001US-0879776	20010612 <--
	US---6329415	B1	20011211	2001US-0891579	20010626 <--
	US2002065285	A1	20020530	2001US-0891820	20010626 <--
	US---6506748	B2	20030114		
PRAI	2000US-0484638	A3	20000118	<--	
	2001US-0879776	B2	20010612		
	1999US-116400P	P	19990119	<--	
OS	MARPAT 138:205052				
GI					

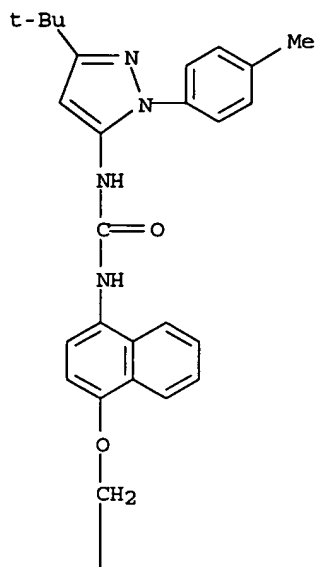


AB The title compds. Ar1NHC(:X)NHAr2LQ [Ar1 = pyrazolyl, pyrrolyl, imidazolyl, etc.; Ar2 = Ph, naphthyl, quinolyl, etc.; L = alkylene wherein one or more methylene groups are optionally replaced by O, N or S; Q = Ph, naphthyl, pyridyl, etc.; X = O, S], useful for treating diseases involving inflammation such as chronic inflammatory diseases, were prepared E.g., a

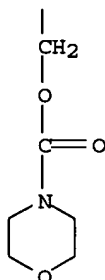
multi-step synthesis of I, starting from Me 2,2-dimethyl-3-hydroxypropionate, was given. Representative title ureas showed IC₅₀ of < 10 µM against TNF production in THP cells.

IC ICM A61K-0031/5377
ICS A61K-0031/541; A61P-0019/02; C07D-0413/12; C07D-0417/12
INCL 514227800; 514230800; 514236500; 544058200; 544131000; 544140000;
546275400; 546256000
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT **Antiartherosclerotics**
(antiatherosclerotics; preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas
as antiinflammatory agents)
IT Anti-Alzheimer's agents
Anti-inflammatory agents
Antiasthmatics
Antidiabetic agents
Antirheumatic agents
Antiulcer agents
Bone resorption inhibitors
Cardiovascular agents
Human
Immunosuppressants
(preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory
agents)
IT 285983-41-7P 285983-42-8P 285983-43-9P 285983-44-0P 285983-45-1P
285983-46-2P 285983-47-3P 285983-48-4P 285983-49-5P 285983-50-8P
285983-51-9P 285983-52-0P 285983-53-1P 285983-54-2P 285983-55-3P
285983-56-4P 285983-57-5P 285983-58-6P 285983-59-7P 285983-60-0P
285983-61-1P 285983-62-2P 285983-63-3P 285983-64-4P
285983-66-6P 285983-68-8P 285983-70-2P 285983-75-7P 285983-76-8P
285983-77-9P 285983-78-0P 285983-79-1P 285983-80-4P 285983-81-5P
285983-82-6P 285983-83-7P 285983-84-8P 285983-85-9P 285983-86-0P
285983-87-1P 285983-88-2P 285983-89-3P 285983-90-6P 285983-91-7P
285984-07-8P 285984-08-9P 285984-10-3P 285984-11-4P 285984-12-5P
285984-13-6P 285984-14-7P 285984-15-8P 285984-17-0P 285984-18-1P
285984-20-5P 285984-21-6P 476010-09-0P 477844-70-5P 477844-71-6P
489432-45-3P 489432-48-6P 489432-49-7P 499971-96-9P 499971-97-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory
agents)
IT 285983-63-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(preparation of 1-(pyrazol-3-yl)-3-(1-naphthyl)ureas as antiinflammatory
agents)
RN 285983-63-3 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[[[3-(1,1-dimethylethyl)-1-(4-
methylphenyl)-1H-pyrazol-5-yl]amino]carbonyl]amino]-1-
naphthalenyl]oxy]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:696457 HCAPLUS
DN 137:237728
TI Peptide conjugates for enhancing drug delivery across and into epithelial tissues
IN Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha V. S.; Kirschberg, Thorsten A.
PA Cellgate, Inc., USA
SO U.S. Pat. Appl. Publ., 80 pp., Cont.-in-part of U.S. Ser. No. 648,400.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US2002127198	A1	20020912	2001US-0792480	20010223 <--
	US---6669951	B2	20031230		
	US---6593292	B1	20030715	2000US-0648400	20000824 <--
	CA---2438784	AA	20020906	2002CA-2438784	20020225

WO2002067917 A1 20020906 2002WO-US05804 20020225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA---2438326 AA 20020912 2002CA-2438326 20020225
WO2002069930 A1 20020912 2002WO-US05829 20020225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US2003022831 A1 20030130 2002US-0083960 20020225 <--
EP---1367995 A1 20031210 2002EP-0731103 20020225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP---1372626 A1 20040102 2002EP-0713692 20020225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP2004530657 T2 20041007 2002JP-0569108 20020225
JP2004533414 T2 20041104 2002JP-0567285 20020225
US2003083256 A1 20030501 2002US-0209421 20020730 <--
US---6759387 B2 20040706
US2004186045 A1 20040923 2003US-0740365 20031217 <--
PRAI 1999US-150510P P 19990824 <--
2000US-0648400 A2 20000824 <--
2001US-0792480 A 20010223
2002WO-US05804 W 20020225
2002WO-US05829 W 20020225
OS MARPAT 137:237728
AB This invention provides compns. and methods for enhancing delivery of
drugs and other agents across epithelial tissues, including the skin,
gastrointestinal tract, pulmonary epithelium, ocular tissues and the like.
The compns. and methods are also useful for delivery across endothelial
tissues, including the blood brain barrier. The compns. and methods
employ a delivery enhancing transporter that has sufficient guanidino or
amidino side-chain moieties to enhance delivery of a compound conjugated to
the reagent across one or more layers of the tissue, compared to the
non-conjugated compound. The delivery-enhancing polymers include, for
example, poly-arginine mols. that are preferably between about 6 and 25
residues in length. E.g., biotinylated polymers of D-arginine were prepared
and their penetration into the skin of nude mice studied.
IC ICM A61K-0038/16
ICS A61K-0031/765
INCL 424078370
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 34
IT 50-23-7, Hydrocortisone 60-32-2, 6-Aminohexanoic acid 67-43-6
108-31-6, Maleic anhydride, reactions 541-88-8, Chloroacetic anhydride
33069-62-4, Taxol 56074-21-6 59277-89-3, Acyclovir
59865-13-3, Cyclosporin a 84625-61-6, Itraconazole 104987-11-3, FK 506
165893-48-1 216584-13-3 324077-01-2 324077-02-3 374568-19-1
457906-31-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide conjugates for enhancing drug delivery across and into
epithelial tissues)
IT 79217-60-0, Cyclosporin 104987-12-4, Ascomycin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide conjugates for enhancing drug delivery across and into
epithelial tissues)

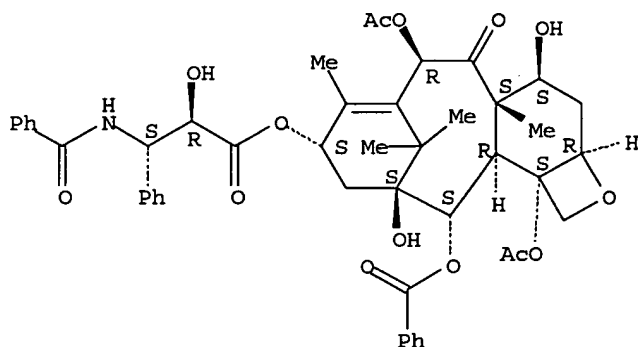
IT 33069-62-4, Taxol 56074-21-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide conjugates for enhancing drug delivery across and into
epithelial tissues)

RN 33069-62-4 HCAPLUS

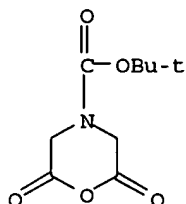
CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-,
(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-
2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-
tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 56074-21-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2,6-dioxo-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)



IT 79217-60-0, Cyclosporin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide conjugates for enhancing drug delivery across and into
epithelial tissues)

RN 79217-60-0 HCAPLUS

CN Cyclosporin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L28 ANSWER 3 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:610348 HCAPLUS

DN 137:169530

TI Preparation of prodrugs of non-steroidal anti-inflammatory agents and
carboxylic acid containing compounds

IN Jilani, Jamal A.

PA Specialized Pharmaceutical Research Ltd. Co., Jordan

SO Eur. Pat. Appl., 47 pp.

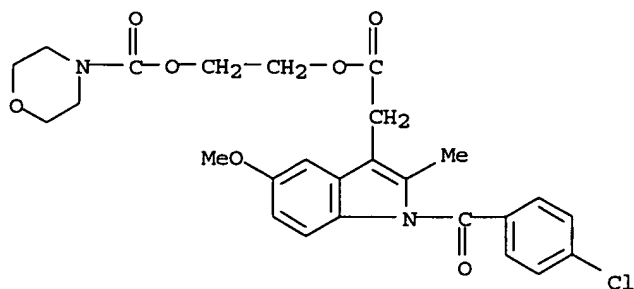
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

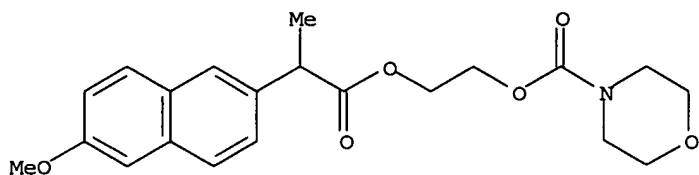
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP---1231209	A1	20020814	2001EP-0130083	20011218 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US2003060465	A1	20030327	2001US-0059959	20011218 <--
	US2005004118	A1	20050106	2004US-0767581	20040129 <--
PRAI	2000US-256634P	P	20001219	<--	
	2001US-0059959	B1	20011218		
OS	MARPAT 137:169530				
AB	Claimed are compds. of the formula RC(O)O-spacer-OC(O)R', wherein (i) RC(O)- is the acyl residue of an NSAID or other pharmaceutically active agent bearing a carboxylic acid function, (ii) spacer is Cn alkyl, (iii) n is from 1 to 6, and (iv) R' is substituted or unsubstituted heteroaryl or heterocycle. The compds. are prodrugs of NSAIDS and can be used to treat inflammation. For example, α -methyl-4-(2-methylpropyl)benzeneacetic acid morpholinocarbonyloxyethyl ester (a prodrug of ibuprofen) was prepared				
IC	ICM C07D-0295/20				
	ICS C07D-0209/26; C07D-0487/04; A61K-0031/535; A61P-0029/00				
CC	28-13 (Heterocyclic Compounds (More Than One Hetero Atom))				
	Section cross-reference(s): 1, 63				
IT	Anti-inflammatory agents (nonsteroidal; preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)				
IT	Antibiotics Anticonvulsants Cardiovascular agents Cytotoxic agents Diuretics Drug delivery systems Muscle relaxants (prodrugs; preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)				
IT	446311-17-7P 446311-18-8P 446311-19-9P 446311-20-2P 446311-21-3P 446311-22-4P 446311-23-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)				
IT	446311-24-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)				
IT	446311-17-7P 446311-18-8P 446311-19-9P 446311-20-2P 446311-21-3P 446311-22-4P 446311-23-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)				
RN	446311-17-7 HCAPLUS				
CN	1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-, 2-[(4-morpholinylcarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)				



RN 446311-18-8 HCAPLUS

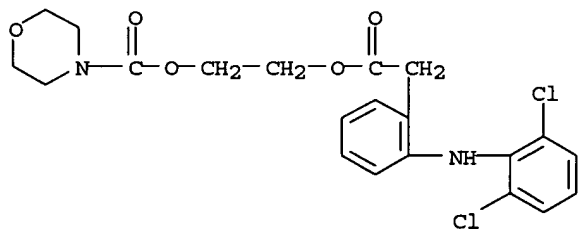
CN 4-Morpholinecarboxylic acid, 2-[2-(6-methoxy-2-naphthalenyl)-1-oxopropoxy]ethyl ester, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



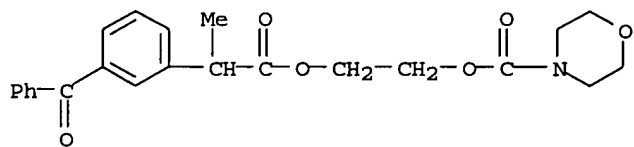
RN 446311-19-9 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[[2-[(2,6-dichlorophenyl)amino]phenyl]acetyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



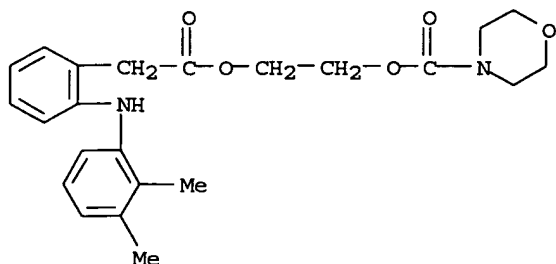
RN 446311-20-2 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[2-(3-benzoylphenyl)-1-oxopropoxy]ethyl ester (9CI) (CA INDEX NAME)



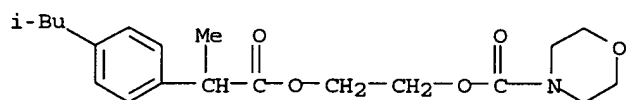
RN 446311-21-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[[2-[(2,3-dimethylphenyl)amino]phenyl]acetyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



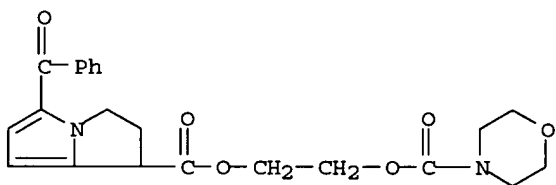
RN 446311-22-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[2-[4-(2-methylpropyl)phenyl]-1-oxopropoxy]ethyl ester (9CI) (CA INDEX NAME)



RN 446311-23-5 HCAPLUS

CN 1H-Pyrrolizine-1-carboxylic acid, 5-benzoyl-2,3-dihydro-, 2-[(4-morpholinylcarbonyl)oxy]ethyl ester (9CI) (CA INDEX NAME)



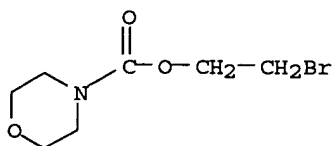
IT 446311-24-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of prodrugs of non-steroidal anti-inflammatory agents and carboxylic acid containing compds.)

RN 446311-24-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-bromoethyl ester (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:368496 HCAPLUS

DN 136:374803

TI FAP-activated anti-tumor compounds

IN Peters, Stefan; Leipert, Dietmar; Park, John-Edward; Lenter, Martin; Garin-Chesa, Pilar

PA Boehringer Ingelheim Pharma K.-G., Germany

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

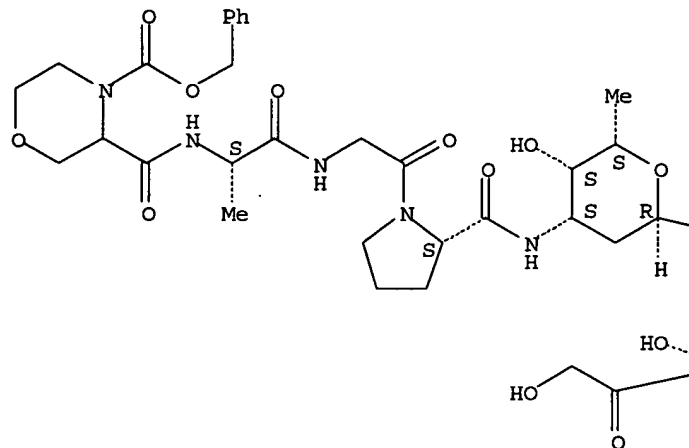
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2002038591	A1	20020516	2001WO-EP12815	20011106 <--
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	RW:				
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	AU2002016012	A5	20020521	2002AU-0016012	20011106 <--
	US2003055052	A1	20030320	2001US-0036111	20011109 <--
PRAI	2000GB-0027551	A	20001110	<--	
	2001US-262281P	P	20010117		
	2001WO-EP12815	W	20011106		
OS	MARPAT 136:374803				
AB	The invention relates to a prodrug that is capable of being converted into a drug by the catalytic action of human fibroblast activation protein (FAP- α), said prodrug having a cleavage site which is recognized by FAP- α , and said drug being cytotoxic or cytostatic under physiol. conditions.				
IC	ICM C07K-0005/08 ICS A61K-0047/48				
CC	63-5 (Pharmaceuticals) Section cross-reference(s): 1, 34				
IT	Antitumor agents Human Human Molecular cloning Transformation, genetic (human fibroblast activation protein (FAP)-activated antitumor prodrugs)				
IT	423719-47-5P	423719-48-6P	423719-49-7P	423719-50-0P	
	423719-51-1P	423719-52-2P			
	RL: PAC (Pharmacological activity); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (human fibroblast activation protein (FAP)-activated antitumor prodrugs)				
IT	121-44-8, Triethylamine, reactions 147-85-3D, L-Proline, chlorotriethylchloride resin-bound, reactions 148-82-3, Melphalan 501-53-1, Benzyl chloroformate 538-75-0, Dicyclohexylcarbodiimide 693-13-0 2592-95-2, 1-Hydroxybenzotriazole 6066-82-6, N-Hydroxysuccinimide 7087-68-5, Diea 7693-46-1, 4-Nitrophenyl chloroformate 25316-40-9, Doxorubicin hydrochloride 29022-11-5 35661-39-3 39968-33-7, Hoat 106562-32-7, Amca 148893-10-1, Hatu 423719-54-4 RL: RCT (Reactant); RACT (Reactant or reagent) (human fibroblast activation protein (FAP)-activated antitumor prodrugs)				
IT	423719-51-1P RL: PAC (Pharmacological activity); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (human fibroblast activation protein (FAP)-activated antitumor prodrugs)				
RN	423719-51-1 HCAPLUS				
CN	5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-[[4-[(phenylmethoxy)carbonyl]-3-morpholinyl]carbonyl]-L-alanylglycyl-L-				

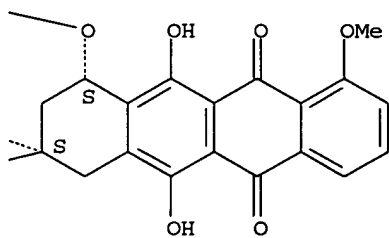
prolyl]amino]- α -L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 148-82-3, Melphalan

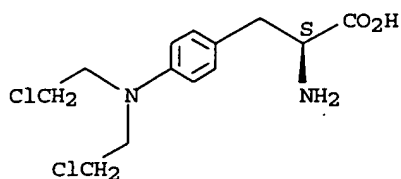
RL: RCT (Reactant); RACT (Reactant or reagent)

(human fibroblast activation protein (FAP)-activated antitumor prodrugs)

RN 148-82-3 HCAPLUS

CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:220554 HCAPLUS

DN 136:262995

TI Preparation of hydroxamic acids as deacetylase inhibitors

IN Bair, Kenneth Walter; Green, Michael A.; Perez, Lawrence B.; Remiszewski, Stacy W.; Sambucetti, Lidia; Versace, Richard William; Sharma, Sushil Kumar

PA Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH; Novartis Pharma GmbH

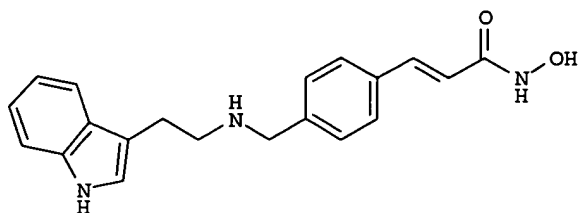
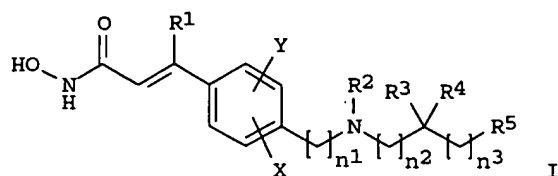
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2002022577	A2	20020321	2001WO-EP10037	20010830 <--
	WO2002022577	A3	20020906		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA---2420899	AA	20020321	2001CA-2420899	20010830 <--
	AU2001082129	A5	20020326	2001AU-0082129	20010830 <--
	BR2001013669	A	20030603	2001BR-0013669	20010830 <--
	EP---1318980	A2	20030618	2001EP-0960717	20010830 <--
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	JP2004509105	T2	20040325	2002JP-0526830	20010830 <--
	NZ---524365	A	20041126	2001NZ-0524365	20010830 <--
	US2003018062	A1	20030123	2001US-0944275	20010831 <--
	US---6552065	B2	20030422		
	US2004024067	A1	20040205	2002US-0299518	20021116 <--
	ZA2003001423	A	20040421	2003ZA-0001423	20030221 <--
	NO2003000867	A	20030225	2003NO-0000867	20030225 <--
	US2005085507	A1	20050421	2004US-0984501	20041109 <--
	US---7067551	B2	20060627		
PRAI	2000US-229943P	P	20000901	<--	
	2001US-292232P	P	20010518		
	2001US-307490P	P	20010724		
	2001WO-EP10037	W	20010830		
	2001US-0944275	A1	20010831		
	2002US-0299518	A1	20021116		
OS	MARPAT 136:262995				
GI					



II

AB The title compds. [I; R1 = H, halo, alkyl; R2 = H, alkyl, cycloalkyl, etc.; R3, R4 = H, alkyl, acyl, acylamino; or R3 and R4 together with the carbon atom to which they are bound = CO, CS, C:NR8; or R2 together with the N atom to which is bound and R3 together with the C atom to which it is bound form heterocycloalkyl, heteroaryl, etc.; R5 = H, alkyl, aryl, etc.; n1-n3 = 0-6; X, Y = H, halo, alkyl, etc.; R8 = H, alkyl, aryl, etc.] which are deacetylase inhibitors and therefore suitable for pharmaceutical compns. having anti-proliferative properties, were prepared E.g., a 3-step synthesis of II, starting with 4-formylcinnamic acid, was given. The exemplified compds. I showed IC50 of 0.005-0.5 μ M against HDA.

IC ICM C07D-0209/16

ICS C07C-0259/06; C07D-0417/12; C07D-0403/12; C07D-0471/04; C07D-0519/00; C07D-0295/02; A61K-0031/4045; A61K-0031/16; A61P-0035/00

CC 25-22 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT Antitumor agents

(preparation of hydroxamic acids as deacetylase inhibitors)

IT	404948-38-5P	404948-39-6P	404948-40-9P	404948-41-0P	404948-42-1P
	404948-43-2P	404948-44-3P	404948-45-4P	404948-46-5P	404948-47-6P
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404951-13-9P	404951-14-0P	404951-16-2P	404951-17-3P	404951-18-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of hydroxamic acids as deacetylase inhibitors)

IT 404948-73-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

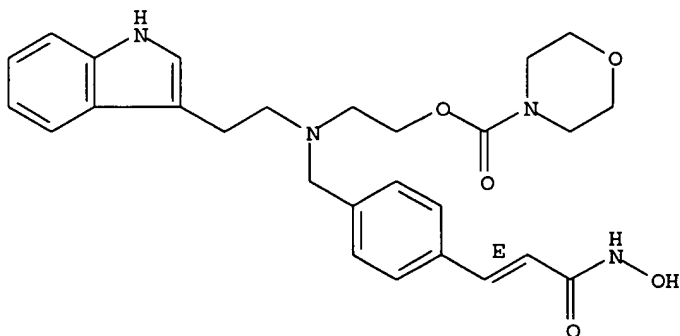
(Preparation); USES (Uses)

(preparation of hydroxamic acids as deacetylase inhibitors)

RN 404948-73-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[[4-[(1E)-3-(hydroxyamino)-3-oxo-1-propenyl]phenyl]methyl][2-(1H-indol-3-yl)ethyl]amino]ethyl ester (9CI)
(CA INDEX NAME)

Double bond geometry as shown.



L28 ANSWER 6 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:220550 HCAPLUS

DN 136:263097

TI Preparation of heterocyclic compounds, e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters.

IN Aquila, Brian M.; Bannister, Thomas D.; Cuny, Gregory D.; Hauske, James R.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike; Wang, Fengjian; Shao, Liming

PA Sepracor, Inc., USA

SO PCT Int. Appl., 275 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO2002022572	A2	20020321	2001WO-US28654	20010912 <--	
	WO2002022572	A3	20020801			
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	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
	JP2004509103	T2	20040325	2002JP-0526825	20010912 <--	
PRAI	2000US-231667P	P	20000911	<--		
	2001US-273530P	P	20010305			
	2001US-298057P	P	20010613			
	2000US-273530P	P	20010305			
	2000US-298057P	P	20010613			
	2001WO-US28654	W	20010912			
OS	MARPAT 136:263097					
GI						

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. (4 Markush structures given), e.g., I [X = C(R3)2, O, SO0-2, NR2, NC(O)R7, NC(O)OR2, NS(O)2R7, C=O; Z = C(R3)2, C(O), O, NR, NC(O)OR, SO0-2; m = 1-5; n = 1-2; p = 0-2; q = 0-3; R = H, (cyclo)alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R1 = H, alkyl, (hetero)aryl, aralkyl, heteroaralkyl; R, R1 may be connected through a covalent bond; R2 = H, alkyl, fluoroalkyl, aryl, heteroaryl, cycloalkyl; R3 = H, alkyl, aryl, OR2, OC(O)R2, CH2OR2, CO2R2; wherein any two instances of R3 may be connected by a covalent tether whose backbone consists of 1, 2, 3, or 4-carbon atoms; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, OR; R5-6 = H, alkyl, (CH2)qY, aryl, heteroaryl, F, OR2, OC(O)R2, or an instance of CR5R6 taken together is C(O); R7 = (cyclo)alkyl, (hetero)aryl, aralkyl, or heteroaralkyl; R8-9 = H, alkyl, (CH2)qY, (hetero)aryl, F, OR2, OC(O)R2, or an instance of CR8R9 taken together is C(O); Y = OR2, N(R2)2, SO0-2R2, P(O)(OR2)2; any two instances of R2 may be connected through a covalent bond; a covalent bond may connect R4 and an instance of R5 or R6; any two instances of R5 and R6 may be connected through a covalent bond; any two geminal or vicinal instances of R8 and R9 may be connected through a covalent bond; and the stereochem. configuration at any stereocenter of I is R, S or a mixture of these configurations.] were prepared. Examples include synthesis of several hundred compds. of structure I, functional assays for norepinephrine (NE), dopamine (DA) and serotonin (5-HT) antagonism, determination of NE, DA and 5-HT reuptake inhibition, spontaneous locomotor activity/antidepressant behavioral assay in rats and the synthesis of a 96-member combinatorial library in which the library compds. were screened for monoamine uptake inhibition. For instance, 3-((4-trifluoromethylphenoxy)methyl)piperidine trifluoroacetate was alkylated with 1-[(4-chlorophenyl)cyclobutyl]-2-chloroethanone (preparation given) and the resulting product reduced with NaBH4 to give II. All 4 enantiomers of II were prepared by a stereospecific synthesis, and X-ray crystallog. determination of one enantiomer allowed the absolute stereochem. of III to be assigned. III had EC50 < 10 nM for DA reuptake inhibition compared to nomifensine = 11 nM. I are useful for the treatment of depression, sexual dysfunction, Alzheimer's disease, anxiety, etc.

IC ICM C07D
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 63, 75
 IT Anti-Alzheimer's agents
 Anti-inflammatory agents
 Antidepressants
 Antihypertensives
 Antimigraine agents
 Antiobesity agents
 Anxiolytics
 Biological transport
 Combinatorial library
 Drug dependence
 Human
 Lesch-Nyhan syndrome
 Menstrual disorder
 Sexual disorders
 Vomiting
 Wilson's disease
 (preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl
 substituted analogs as ligands for monoamine receptors and
 transporters)

IT 61472-23-9P 88466-74-4P 109887-33-4P 124391-76-0P 161285-05-8P
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 405089-07-8P 405089-09-0P 405089-10-3P 405089-16-9P 405089-18-1P
 405089-24-9P 405089-25-0P 405089-26-1P 405089-28-3P 405089-30-7P
 405089-32-9P 405089-34-1P 405089-37-4P 405089-53-4P 405089-55-6P
 405089-56-7P 405089-59-0P 405089-61-4P 405089-64-7P 405089-66-9P
 405089-67-0P 405089-72-7P 405089-75-0P 405089-76-1P 405089-78-3P
 405089-80-7P 405089-81-8P 405089-83-0P 405089-86-3P 405089-87-4P
 405089-88-5P 405089-90-9P 405089-94-3P 405090-09-7P 405090-10-0P
 405090-11-1P 405090-12-2P 405090-13-3P 405090-14-4P
 405090-20-2P 405090-21-3P 405090-22-4P 405090-23-5P
 405090-74-6P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
 study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl
 substituted analogs as ligands for monoamine receptors and
 transporters)

IT 62-53-3, Aniline, reactions 87-51-4, 1H-Indole-3-acetic acid, reactions
 100-39-0, Benzyl bromide 100-52-7, Benzaldehyde, reactions 104-47-2,
 (4-Methoxyphenyl)acetonitrile 105-60-2, Azepan-2-one, reactions
 106-39-8, 1-Bromo-4-chlorobenzene 106-89-8, Epichlorohydrin, reactions
 108-95-2, Phenol, reactions 109-64-8, 1,3-Dibromopropane 140-53-4,
 (4-Chlorophenyl)acetonitrile 141-43-5, 2-Aminoethanol, reactions
 150-76-5, 4-Methoxyphenol 156-87-6, 3-Amino-1-propanol 371-41-5,
 4-Fluorophenol 402-44-8, 4-Trifluoromethylfluorobenzene 402-45-9,
 4-Trifluoromethylphenol 402-49-3, 4-Trifluoromethylbenzylbromide
 459-22-3, (4-Fluorophenyl)acetonitrile 533-31-3, Sesamol 536-38-9,
 2-Bromo-4'-chloroacetophenone 825-83-2 828-27-3, 4-
 Trifluoromethoxyphenol 874-61-3, 4-Oxocyclohexanecarboxylic acid

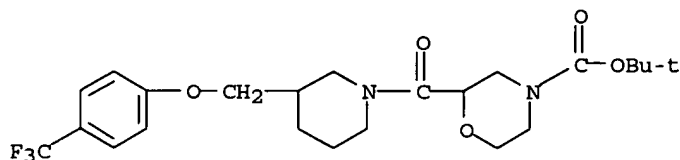
1529-41-5, (3-Chlorophenyl)acetonitrile 1878-66-6, 4-Chlorophenylacetic acid 2212-05-7 3471-31-6, (5-Methoxy-1H-indol-3-yl)acetic acid 4335-77-7 4439-02-5, (Benzo[1,3]dioxol-5-yl)acetonitrile 5728-52-9, [1,1'-Biphenyl]-4-acetic acid 6258-30-6 29786-44-5 32247-96-4, 1-Bromomethyl-3,5-bis(trifluoromethyl)benzene 33000-64-5, 2-Bromo-1-(4-chlorophenyl)-2-methylpropanone 38693-11-7, 2-Chloro-1-(5-chloro-1H-indol-3-yl)ethanone 39945-51-2, 3-Hydroxymethylpiperidine-1-carboxylic acid benzyl ester 40114-49-6, 1-Benzylpiperidin-3-one 49561-96-8, 4-(Trifluoromethoxy)phenylacetonitrile 50921-39-6 64051-79-2, 3-Hydroxypiperidine hydrochloride 70918-53-5, (R)-2,3-Dihydrobenzo[1,4]dioxine-2-carboxylic acid 70918-54-6, (S)-2,3-Dihydrobenzo[1,4]dioxine-2-carboxylic acid 74205-38-2 83602-37-3 84485-51-8, 1-(4-Chlorophenyl)-1-(carboxymethyl)cyclobutane 110013-18-8 110013-19-9 116574-71-1, 1-Boc-3-hydroxymethylpiperidine 118892-74-3 129383-92-2, 4-(Trifluoromethoxy)benzyltriphenylphosphonium bromide 142253-55-2 151157-53-8, 1-(4-(Trifluoromethoxy)phenyl)-1-(carboxy)cyclobutane 160706-62-7, (R)-1-Benzylloxycarbonylnipecotic acid 163343-71-3 183483-09-2 189321-66-2 201478-72-0 245057-78-7 404886-68-6 404886-96-0 405059-86-1, 3-(Phenoxymethyl)piperidine trifluoroacetate 405060-21-1, 3-(4-Methoxyphenoxymethyl)piperidine trifluoroacetate 405061-52-1 405063-39-0 405066-01-5, 1-(2-(Trifluoromethoxy)phenyl)-1-(bromoacetyl)cyclobutane 405090-67-7, 1-(4-Chlorophenyl)-1-(bromomethyl)cyclobutane 405090-72-4 405090-73-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

IT 405090-20-2P 405090-22-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl substituted analogs as ligands for monoamine receptors and transporters)

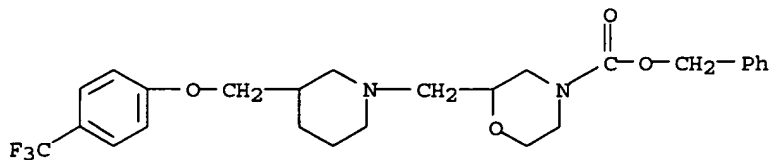
RN 405090-20-2 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[3-[[4-(trifluoromethyl)phenoxy]methyl]-1-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 405090-22-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[3-[[4-(trifluoromethyl)phenoxy]methyl]-1-piperidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



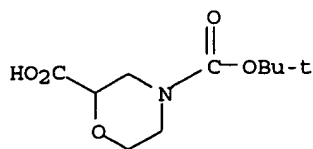
IT 189321-66-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of heterocyclic compds., e.g., N-alkylpiperidin-3-yl

substituted analogs as ligands for monoamine receptors and transporters)

RN 189321-66-2 HCAPLUS

CN 2,4-Morpholinedicarboxylic acid, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L28 ANSWER 7 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:83983 HCAPLUS

DN 136:151156

TI Preparation of 3-(5-phenylthien-2-yl)oxazolidin-2-ones as TNF inhibitors

IN Mueller, Ulrich; Handke, Gabriele; Fischer, Ruediger; Petesch, Nicole; Schmeck, Carsten; Kretschmer, Axel; Nielsch, Ulrich; Bremm, Klaus-Dieter; Zaiss, Siegfried

PA Bayer A.-G., Germany

SO Ger. Offen., 54 pp.

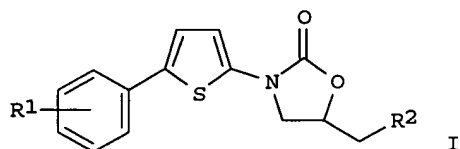
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE--10034625	A1	20020131	2000DE-1034625	20000717 <--
PRAI	2000DE-1034625		20000717	<--	
OS	MARPAT 136:151156				
GI					



AB Title compds. [I; R1 = (substituted) (annelated) alkylheterocyclyl; R2 = amino (fused) OH], were prepared Thus, 1-(4-[5-(1-hydroxymethyl-2-oxooxazolidin-3-yl)thien-2-yl]benzyl)-1H-imidazole-4,5-dicarboxylic acid di-Me ester was obtained in an yield of 97% by Mitsunobu reaction of 3-[5-(4-formylphenyl)thien-2-yl]-5-[dimethyl-(1,1-dimethylethyl)silyloxymethyl]oxazolidin-2-one (preparation given) with 1H-imidazole-4,5-dicarboxylic acid di-Me ester. Several I tested by an enzyme-linked immuno sorbent assay (ELISA) gave 50% TNF- α biosynthesis inhibition with EC50 = 500-8,000 nM in human blood monocytes.

IC ICM C07D-0413/14

ICS A61K-0031/422

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT Antiarteriosclerotics

Antiarthritics

Human

(preparation of (phenylthienyl)oxazolidinones as TNF inhibitors)

IT 392681-83-3P 392681-85-5P 392681-87-7P 392681-89-9P
 392681-91-3P 392681-93-5P 392681-99-1P 392682-01-8P
 392682-03-0P 392682-05-2P 392682-07-4P 392682-09-6P 392682-11-0P

392682-13-2P 392682-15-4P 392682-17-6P 392682-19-8P
 392682-21-2P 392682-23-4P 392682-25-6P 392682-27-8P
 392682-29-0P 392682-31-4P 392682-33-6P 392682-35-8P 392682-37-0P
 392682-39-2P 392682-41-6P 392682-43-8P 392682-44-9P
 392682-46-1P 392682-48-3P 392682-50-7P 392682-53-0P
 392682-55-2P 392682-57-4P 392682-59-6P 392682-61-0P 392682-63-2P
 392682-64-3P 392682-66-5P 392682-68-7P 392682-70-1P 392682-72-3P
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 392682-84-7P 392682-86-9P 392682-88-1P 392682-90-5P 392682-92-7P
 392682-94-9P 392682-96-1P 392682-98-3P 392683-00-0P 392683-02-2P
 392683-04-4P 392683-06-6P 392683-08-8P 392683-10-2P 392683-12-4P
 392683-14-6P 392683-16-8P 392683-18-0P 392683-20-4P 392683-22-6P
 392683-24-8P 392683-26-0P 392683-28-2P 392683-30-6P 392683-32-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)

(preparation of (phenylthienyl)oxazolidinones as TNF inhibitors)

IT 392681-87-7P 392681-91-3P 392682-17-6P

392682-23-4P 392682-43-8P 392682-48-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

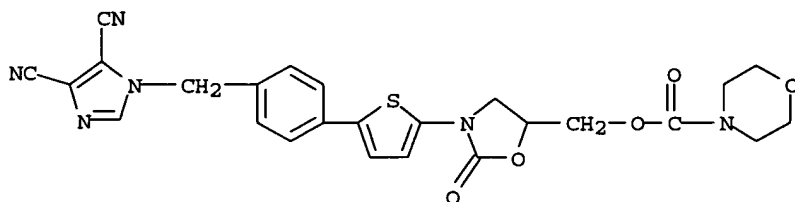
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of (phenylthienyl)oxazolidinones as TNF inhibitors)

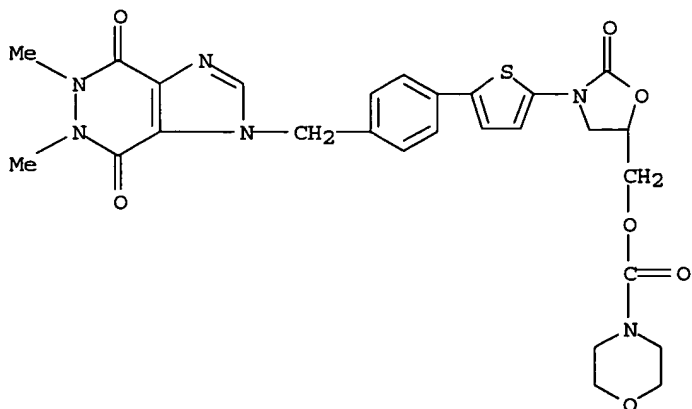
RN 392681-87-7 HCAPLUS

CN 4-Morpholinecarboxylic acid, [3-[5-[4-[(4,5-dicyano-1H-imidazol-1-yl)methyl]phenyl]-2-thienyl]-2-oxo-5-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)



RN 392681-91-3 HCAPLUS

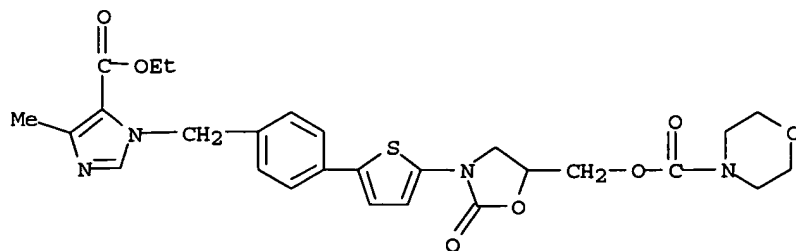
CN 4-Morpholinecarboxylic acid, [2-oxo-3-[5-[4-[(4,5,6,7-tetrahydro-5,6-dimethyl-4,7-dioxo-1H-imidazo[4,5-d]pyridazin-1-yl)methyl]phenyl]-2-thienyl]-5-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)



RN 392682-17-6 HCAPLUS

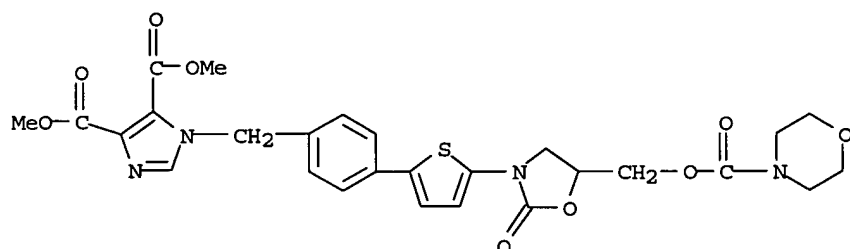
CN 4-Morpholinecarboxylic acid, [3-[5-[4-[[5-(ethoxycarbonyl)-4-methyl-1H-imidazol-1-yl)methyl]phenyl]-2-thienyl]-2-oxo-5-oxazolidinyl]methyl ester

(9CI) (CA INDEX NAME)



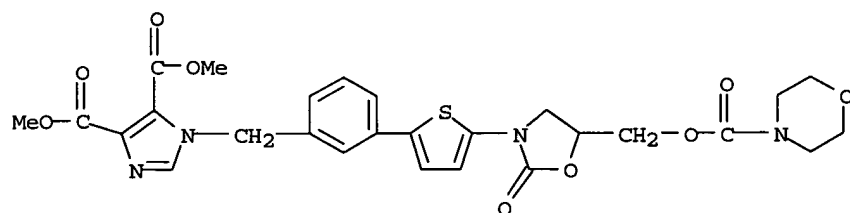
RN 392682-23-4 HCAPLUS

CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[5-[[4-morpholinylcarbonyl]oxy]methyl]-2-oxo-3-oxazolidinyl]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 392682-43-8 HCAPLUS

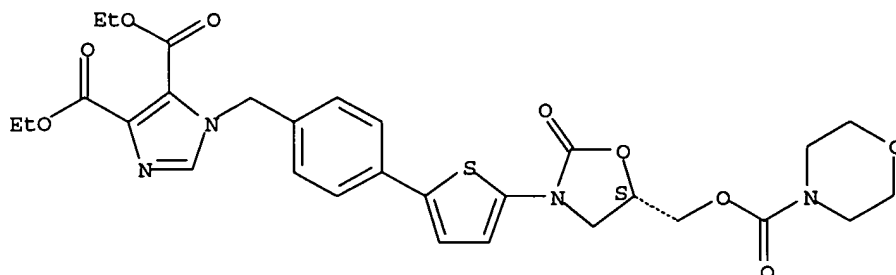
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[3-[5-[5-[[4-morpholinylcarbonyl]oxy]methyl]-2-oxo-3-oxazolidinyl]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 392682-48-3 HCAPLUS

CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[(5S)-5-[[4-morpholinylcarbonyl]oxy]methyl]-2-oxo-3-oxazolidinyl]-2-thienyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 8 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:83980 HCAPLUS

DN 136:134763

TI Preparation of 1-benzyl-1H-imidazoles as TNF inhibitors

IN Mueller, Ulrich; Handke, Gabriele; Fischer, Ruediger; Petesch, Nicole;
Schmeck, Carsten; Kretschmer, Axel; Nielsch, Ulrich; Bremm, Klaus-Dieter

PA Bayer A.-G., Germany

SO Ger. Offen., 40 pp.

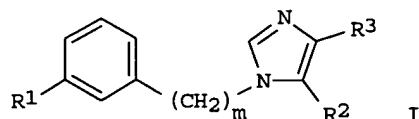
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE--10034622	A1	20020131	2000DE-1034622	20000717 <--
PRAI	2000DE-1034622		20000717	<--	
OS	MARPAT 136:134763				
GI					



AB Title compds. [I; R2, R3 = (alkoxycarbonyl-substituted) hydrocarbon group; m = 1-6; R1 = (substituted) 5-6 membered heterocyclyl], were prepared. Thus, a mixture of 2-thienylboronic acid, 1-[(4-phenyl)methyl]-1H-imidazole-4,5-dicarboxylic acid di-Me ester, and tetrakis(triphenylphosphine)-palladium(0) in THF was refluxed for 1 h followed by addition of Na2CO3 and reflux for 20 h to give 27% 1-[4-(2-thienyl)benzyl]-1H-imidazole-4,5-dicarboxylic acid di-Me ester. Several I tested by an enzyme-linked immuno sorbent assay (ELISA) gave 50% TNF- α biosynthesis inhibition with EC50 = 2.7-5.5 μ M in human blood monocytes.

IC ICM C07D-0409/10

ICS C07D-0409/14; C07D-0413/12; A61K-0031/422; A61K-0031/4178

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT Antiarteriosclerotics

Antiarthritics

Human

(preparation of (benzyl)imidazoles as TNF inhibitors)

IT 392249-98-8P 392249-99-9P 392250-00-9P 392250-06-5P 392250-08-7P

392250-13-4P 392250-14-5P 392250-15-6P 392250-16-7P

392250-17-8P 392250-18-9P 392250-19-0P 392250-20-3P

392250-21-4P 392250-23-6P 392250-24-7P 392250-25-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of (benzyl)imidazoles as TNF inhibitors)

IT 392250-13-4P 392250-14-5P 392250-19-0P

392250-20-3P 392250-24-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

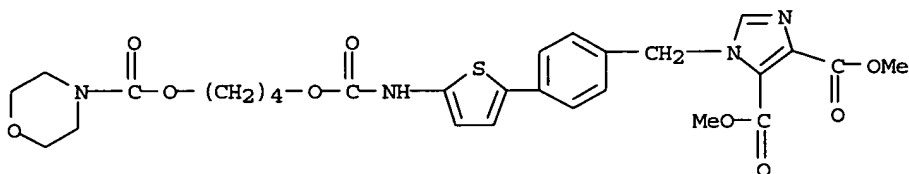
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

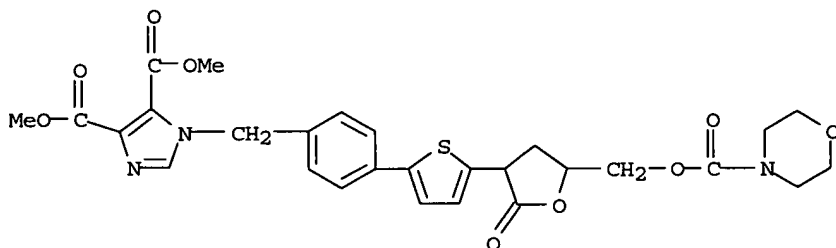
(preparation of (benzyl)imidazoles as TNF inhibitors)

RN 392250-13-4 HCAPLUS

CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[[[4-[(4-morpholinylcarbonyl)oxy]butoxy]carbonyl]amino]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

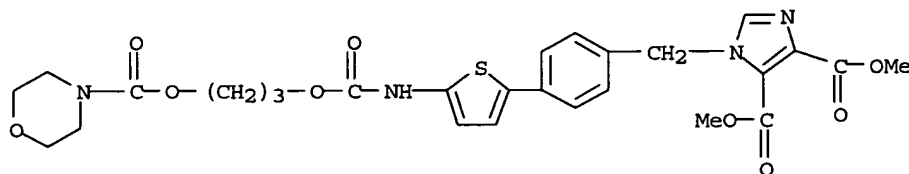


RN 392250-14-5 HCAPLUS

CN Pentonic acid, 2,3-dideoxy-2-[5-[4-[4,5-bis(methoxycarbonyl)-1H-imidazol-1-yl]methyl]phenyl]-2-thienyl]-, γ -lactone, 5-(4-morpholinecarboxylate) (9CI) (CA INDEX NAME)

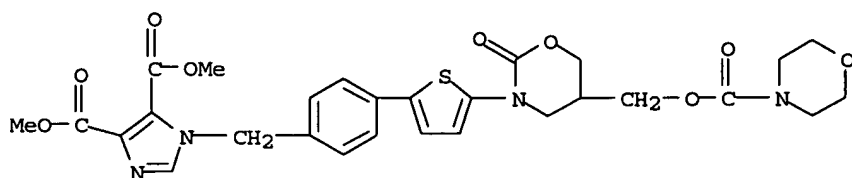
RN 392250-19-0 HCAPLUS

CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[[[3-[(4-morpholinylcarbonyl)oxy]propoxy]carbonyl]amino]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 392250-20-3 HCAPLUS

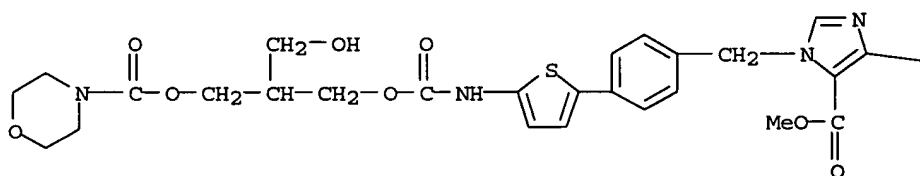
CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[dihydro-5-[[[4-morpholinylcarbonyl]oxy]methyl]-2-oxo-2H-1,3-oxazin-3(4H)-yl]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



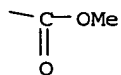
RN 392250-24-7 HCAPLUS

CN 1H-Imidazole-4,5-dicarboxylic acid, 1-[[4-[5-[[[2-(hydroxymethyl)-3-[(4-morpholinylcarbonyl)oxy]propoxy]carbonyl]amino]-2-thienyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

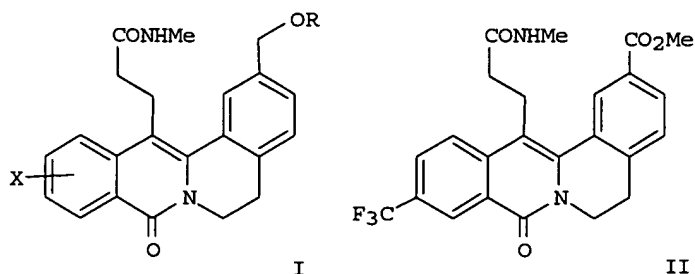


PAGE 1-B



L28 ANSWER 9 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:713348 HCAPLUS
 DN 135:273108
 TI Preparation of 8-oxo-5,8-dihydro-6<i>h</i>-dibenzo[<i>a,g</i>]quinolizine-13-propanoic acid derivatives and the therapeutic use thereof
 IN Dachary, Emmanuelle; Estenne-Bouhtou, Genevieve; George, Pascal; Gillet, Gerard; Granger, Patrick; Marabout, Benoit; Sevrin, Mireille
 PA Sanofi-Synthelabo, Fr.
 SO PCT Int. Appl., 25 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2001070739	A1	20010927	2001WO-FR00856	20010322 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
FR---2806723	A1	20010928	2000FR-0003723	20000323 <--
FR---2806723	B1	20020510		
PRAI 2000FR-0003723	A	20000323 <--		
OS CASREACT 135:273108; MARPAT 135:273108				
GI				



AB The invention concerns compds. I [X = H, halogen, C1-3-alkyl, C1-3-alkoxy, CF₃; R = H, C1-4-alkyl, C3-5-cycloalkylmethyl, CH₂Ph, CONR₁R₂; R₁, R₂ = H, C1-4-alkyl, or together, with the nitrogen atom bearing them, a morpholinyl or piperidinyl cycle, or still a group of general formula COR₃; R₃ = C1-4-alkyl] which have therapeutic application for disorders related to the transmission of GABA-ergics of GABAA receptors. Thus, I (X = CF₃-10, R = CO-morpholino) was prepared from ester II via alc. I (X = X = CF₃-10, R = H). GABAA receptor binding and the electrophysiol. of I were investigated (no data).

IC ICM C07D-0455/03

ICS A61K-0031/4375; A61P-0025/00

CC 31-4 (Alkaloids)

Section cross-reference(s): 1, 63

IT Acylation

Amidation

Anticonvulsants

Anxiolytics

Etherification

Reduction

(preparation and therapeutic use of 8-oxo-5,8-dihydro-6*h*-dibenzo[*a,g*]quinolizine-13-propanoic acid derivs.)

IT Muscle relaxants

(spasmolytics; preparation and therapeutic use of 8-oxo-5,8-dihydro-6*h*-dibenzo[*a,g*]quinolizine-13-propanoic acid derivs.)

IT 362509-47-5P 362509-51-1P 362509-55-5P 362509-59-9P 362509-63-5P
362509-67-9P 362509-71-5P 362509-75-9P 362509-79-3P 362509-83-9P
362509-87-3P 362509-91-9P 362509-95-3P 362509-99-7P 362510-03-0P
362510-06-3P 362510-09-6P 362510-11-0P 362510-14-3P
362510-17-6P 362510-19-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and therapeutic use of 8-oxo-5,8-dihydro-6*h*-dibenzo[*a,g*]quinolizine-13-propanoic acid derivs.)

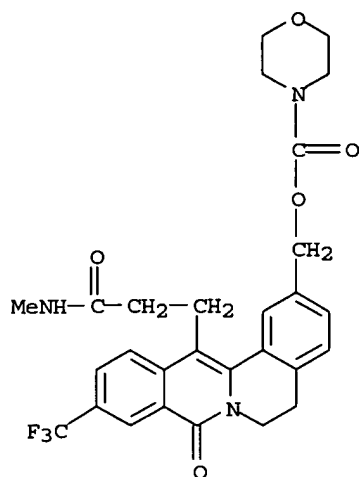
IT 362510-17-6P 362510-19-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

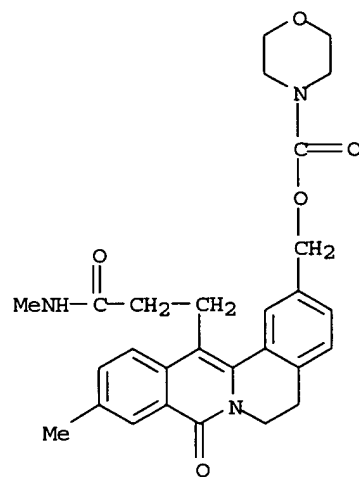
(preparation and therapeutic use of 8-oxo-5,8-dihydro-6*h*-dibenzo[*a,g*]quinolizine-13-propanoic acid derivs.)

RN 362510-17-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, [5,8-dihydro-13-[3-(methylamino)-3-oxopropyl]-8-oxo-10-(trifluoromethyl)-6H-dibenzo[*a,g*]quinolizine-2-yl]methyl ester (9CI) (CA INDEX NAME)



RN 362510-19-8 HCAPLUS
 CN 4-Morpholinecarboxylic acid, [5,8-dihydro-10-methyl-13-[3-(methylamino)-3-oxopropyl]-8-oxo-6H-dibenzo[a,g]quinolizin-2-yl]methyl ester (9CI) (CA INDEX NAME)

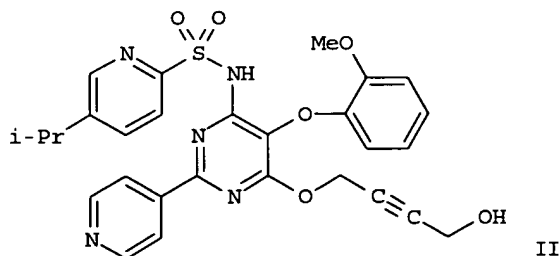
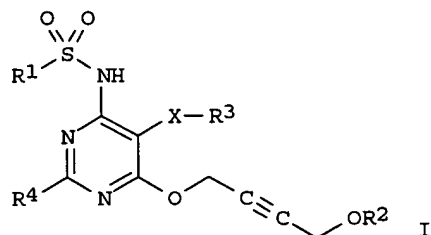


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 10 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:472681 HCAPLUS
 DN 135:76885
 TI Preparation of 4-(4-pyrimidinylloxy)-2-butyne-1-ol derivatives as endothelin receptor antagonists
 IN Bolli, Martin; Boss, Christoph; Clozel, Martine; Fischli, Walter
 PA Actelion Pharmaceuticals Ltd., Switz.
 SO PCT Int. Appl., 89 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO2001046156 A1 20010628 2000WO-EP12743 20001214 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA---2389479 AA 20010628 2000CA-2389479 20001214 <--
AU2001035367 A5 20010703 2001AU-0035367 20001214 <--
EP---1244637 A1 20021002 2000EP-0991788 20001214 <--
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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JP2003518102 T2 20030603 2001JP-0547067 20001214 <--
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US---6720322 B2 20040413
PRAI 1999WO-EP10276 W 19991222 <--
2000WO-EP12743 W 20001214 <--
OS MARPAT 135:76885
GI



AB The title butynediol derivs. (I) [wherein R1 = (un)substituted Ph, heterocyclyl, 2-pyridyl, benzyl, or (hetero)aryl; R2 = H, alkyl, CF3 or (un)substituted Ph, heterocyclyl, heteroaryl, benzyl, 2-pyrimidyl, (hetero)aryl, (thio)carbonyl, (thio)acyl, etc.; R3 = H, alkyl, or (un)substituted Ph, benzofuranyl, or heteroaryl; R4 = H, halo, CF3, alkyl, alkoxy(alkyl), alkylthio(alkyl), hydroxyalkyl, aminoalkyl(alkyl), aryl(alkyl), arylamino, arylthio, aryloxy, heteroaryl, heterocyclyl, or (un)substituted amino or Ph, etc.; X = O, S, NH, or a bond; or the enantiomers, diastereomers, and diastereomeric racemates thereof] were prepared as endothelin (ET) receptor antagonists. For example, cycloaddn. of 4-amidinopyridine•HCl to di-Me (o-methoxyphenoxy)malonate (preparation of starting materials given) to give the dihydroxypyrimidine, chlorination using PCl5, addition of 5-isopropylpyridine-2-sulfonamide•K, and reaction

with 2-butyne-1,4-diol afforded II. The latter inhibited the binding of [125I]endothelin-1 to microsomal membranes from recombinant CHO cells expressing recombinant ETA or ETB receptors with IC₅₀ values of 26 nM and 77 nM, resp. I are useful for the treatment of endothelin-related disorders, such as circulatory disorders, proliferative disorders, migraine, asthma, and inflammatory disorders (no data).

IC ICM C07D-0239/52

ICS C07D-0239/34; C07D-0401/14; C07D-0401/04; C07D-0403/04; C07D-0413/14; C07D-0401/12; A61K-0031/505; C07D-0401/14; C07D-0239/00; C07D-0213/00; C07D-0213/00; C07D-0401/04; C07D-0239/00; C07D-0213/00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT Anti-inflammatory agents

Anti-ischemic agents

Antianginal agents

Antiasthmatics

Antihypertensives

Antimigraine agents

Antitumor agents

Cytotoxic agents

(preparation of 4-(4-pyrimidinyloxy)-2-butyne-1-ol endothelin receptor antagonists for treatment of circulatory disorders, proliferative disorders, migraine, asthma, and inflammatory disorders)

IT Proliferation inhibition

(proliferation inhibitors; preparation of 4-(4-pyrimidinyloxy)-2-butyne-1-ol endothelin receptor antagonists for treatment of circulatory disorders, proliferative disorders, migraine, asthma, and inflammatory disorders)

IT 346671-41-8P 346671-44-1P 346671-45-2P 346671-47-4P 346671-49-6P
 346671-50-9P 346671-51-0P 346671-52-1P 346671-56-5P 346671-57-6P
 346671-59-8P 346671-63-4P 346671-64-5P 346671-65-6P 346671-66-7P
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 346672-26-2P 346672-27-3P 346672-28-4P 346672-29-5P 346672-30-8P
 346672-31-9P 346672-32-0P 346672-33-1P, N-Methyl-N-phenylcarbamic acid
 4-[6-(5-isopropylpyridine-2-sulfonylamino)-5-(p-tolyl)pyrimidin-4-yloxy]-
 but-2-ynyl ester 346672-34-2P 346672-35-3P 346672-36-4P
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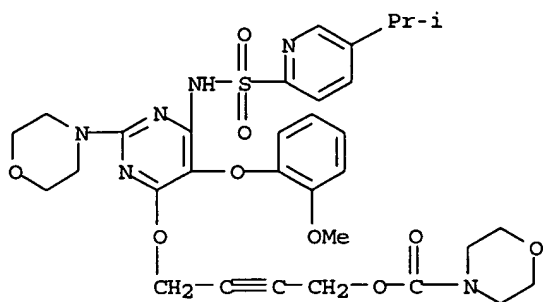
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-(4-pyrimidinyloxy)-2-butyne-1-ol endothelin receptor antagonists by reaction of chloropyrimidines with 2-butyne-1,4-diols or hydroxy-protected 2-butyne-1,4-diols)

IT 346672-20-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-(4-pyrimidinyloxy)-2-butyne-1-ol endothelin receptor antagonists by reaction of chloropyrimidines with 2-butyne-1,4-diols or hydroxy-protected 2-butyne-1,4-diols)

RN 346672-20-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 4-[[[5-(2-methoxyphenoxy)-6-[[[5-(1-methylethyl)-2-pyridinyl]sulfonyl]amino]-2-(4-morpholinyl)-4-pyrimidinyl]oxy]-2-butyne-1-ol ester (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 11 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:380438 HCAPLUS

DN 135:24657

TI Selective cellular targeting: multifunctional delivery vehicles

IN Glazier, Arnold

PA Drug Innovation & Design, Inc., USA

SO PCT Int. Appl., 981 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2001036003	A2	20010525	2000WO-US31262	20001114 <--
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	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
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	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	
	US2003138432	A1	20030724	2000US-0738625	20001215 <--
PRAI	1999US-165485P	P	19991115	<--	
	2000US-239478P	P	20001011	<--	
	2000US-241937P	P	20001020	<--	
	2000WO-US31262	W	20001114	<--	
	2000US-0712465	B1	20001115	<--	
AB	The present invention relates to the compns., methods, and applications of a novel approach to selective cellular targeting. The purpose of this invention is to enable the selective delivery and/or selective activation of effector mols. to target cells for diagnostic or therapeutic purposes. The present invention relates to multi-functional prodrugs or targeting vehicles wherein each functionality is capable of enhancing targeting selectivity, affinity, intracellular transport, activation or detoxification. The present invention also relates to ultralow dose, multiple target, multiple drug chemotherapy and targeted immunotherapy for cancer treatment.				
IC	ICM A61K-0047/48				
CC	63-5 (Pharmaceuticals)				
	Section cross-reference(s): 1, 2, 8, 15, 25, 28				

IT Antitumor agents
 Cell division
 Chelating agents
 Cytotoxic agents
 Drug targeting
 Imaging agents
 Immunization
 Immunostimulants
 (multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Proliferation inhibition
 (proliferation inhibitors; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT Antitumor agents
 (vaccines; multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 23214-92-8DP, immucillin G derivs. 209799-75-7DP, doxorubicin derivs. 341549-52-8P 341549-53-9P 341549-71-1P 341549-87-9P
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 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 50-07-7, Mitomycin c 57-22-7, Vincristine 58-85-5D, Biotin, masked derivs. 59-30-3D, Folic acid, masked derivs. 518-28-5D, Podophyllotoxin, derivs. 519-23-3D, Ellipticine, derivs. 865-21-4, Vinblastine 7689-03-4, Camptothecin 10159-53-2D, Phosphoramidate mustard, analogs 11116-31-7D, Bleomycin A2, derivs. 24280-93-1, Mycophenolic acid 33069-62-4D, Taxol, derivs. 52128-35-5, Trimetrexate 65271-80-9D, Mitoxantrone, derivs. 77327-05-0, Didemnin B 112953-11-4 114899-77-3D, Ecteinascidin 743, derivs. 124689-65-2D, analogs 139987-54-5, BW 1843U89 175795-76-3 236743-94-5, Phthalascidin 265646-19-3, Indanocine
 RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (multifunctional delivery vehicles for selective cellular targeting of drugs)

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RL: PNU (Preparation, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(multifunctional delivery vehicles for selective cellular targeting of drugs)

IT 23214-92-8DP, immucillin G derivs.

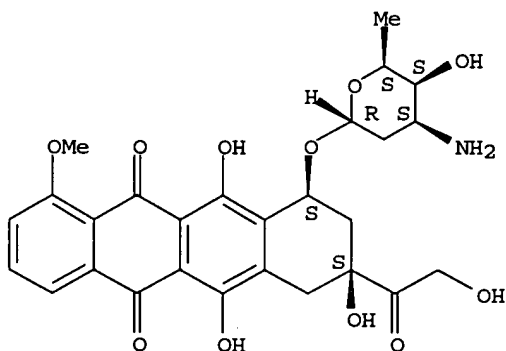
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(multifunctional delivery vehicles for selective cellular targeting of drugs)

RN 23214-92-8 HCAPLUS

CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 865-21-4, Vinblastine 33069-62-4D, Taxol, derivs.

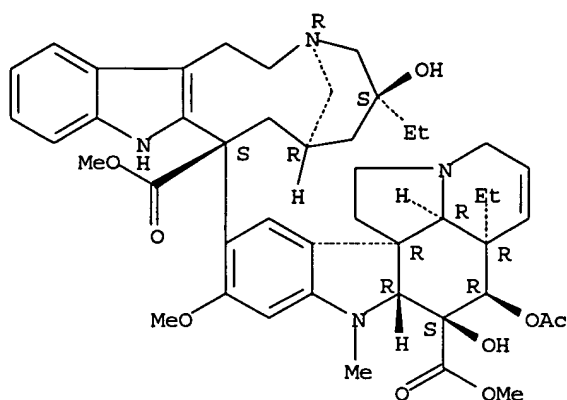
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(multifunctional delivery vehicles for selective cellular targeting of drugs)

RN 865-21-4 HCAPLUS

CN Vincaleukoblastine (6CI, 8CI, 9CI) (CA INDEX NAME)

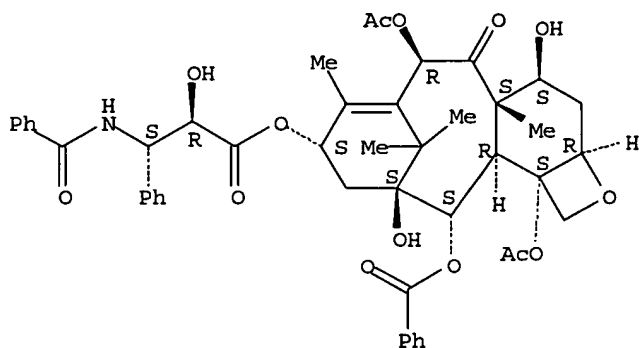
Absolute stereochemistry. Rotation (+).



RN 33069-62-4 HCAPLUS

CN Benzenepropanoic acid, β -(benzoylamino)- α -hydroxy-,
(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-
2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-
tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
ester, (α R, β S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 341552-46-3P

RL: PNU (Preparation, unclassified); RCT (Reactant); THU (Therapeutic
use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or
reagent); USES (Uses)
(multifunctional delivery vehicles for selective cellular targeting of
drugs)

RN 341552-46-3 HCAPLUS

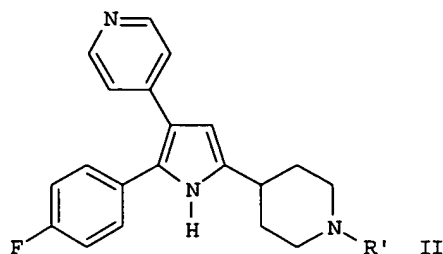
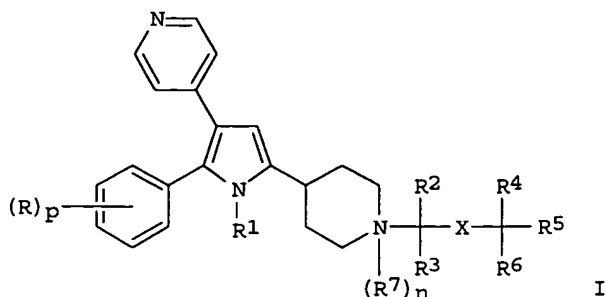
CN 4-Morpholinecarboxylic acid, 3-[4-[[[(1-[1,1'-biphenyl]-4-yl-1-
methylethoxy)carbonyl]amino][[(1-[1,1'-biphenyl]-4-yl-1-
methylethoxy)carbonyl]imino]methyl]amino]phenyl]-6-(iodomethylene)-2-oxo-,
9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Cc1ccc(cc1)C(C)(C)OC(=O)NC(=O)Nc2ccc(cc2)C3C(=O)N(C(=O)C3)C=CCOC12C=CC=CC=C1C3=CC=CC=C23

L28 ANSWER 12 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:359799 HCAPLUS
DN 134:366803
TI Synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole
derivatives as antiprotozoal agents
IN Biftu, Tesfaye; Feng, Danding D.; Liang, Gui-Bai; Ponpipom, Mitree M.;
Qian, Xiaoxia; Girotra, Narindar; Fisher, Michael H.; Wyvratt, Matthew J.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2001034150	A1	20010517	2000WO-US30948	20001110 <--
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 US---6432980 B1 20020813 2000US-0710165 20001110 <--
 PRAI 1999US-165143P P 19991112 <--
 OS MARPAT 134:366803
 GI



AB Trisubstituted pyrroles I are antiprotozoal agents (no data), useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry [wherein: n = 0-1; p = 1-3; X = bond, (CRaRa)p, cycloalkylene or cycloalkylidene; R = halo; R1 = H or alkyl; R2, R3 = H, (un)substituted alk(en/yn)yl, (un)substituted phenyl/benzyl, ester, or taken together are oxo; R4 = NH2 or CONH2 or their derivs.; R5, R6 = H, alk(en/yn)yl, cycloalkyl(alkyl), heterocycl(alkyl), (hetero)aryl(alkyl), or together represent oxo; or R4, R5 and the carbon to which they are attached form a 3-7 membered non-aromatic (substituted) ring containing a substituted nitrogen and (substituted) with an addnl. heteroatom chosen from O, S(O)0-2 and N; R7 = O or Me; Ra = H, alkyl or ether]. Approx. 170 compds. were prepared. For instance, 4-picoline was lithiated and condensed with 4-FC6H4CONMeOMe, and the resulting ketone was deprotonated and coupled with 4-(2-iodoacetyl)-1-(benzyloxycarbonyl)piperidine to give a 1,4-diketone. Cyclization of this with ammonium acetate and deprotection gave pyrrole intermediate II [R' = H], which was reductively N-alkylated by N-methyl-4-piperidone and NaBH(OAc)3 to give title compound II [R' = 1-methylpiperidin-4-yl].

IC ICM A61K-0031/4545

ICS C07D-0401/14

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 5, 18

IT 57-62-5, Chlortetracycline 59-06-3, Ethopabate 79-57-2, Oxytetracycline 121-25-5, Amprolium 148-01-6, Dinitolmide 330-95-0, Nicarbazine 2971-90-6, Clopidol 11054-70-9, Lasalocid 17090-79-8, Monensin 18507-89-6, Decoquinatone 25875-51-8, Robenidine 53003-10-4, Salinomycin 55134-13-9, Narasin 55837-20-2, Halofuginone 101831-37-2, Diclazuril 113378-31-7, Semduramicin 119758-39-3, Maduramicin

RL: AGR (Agricultural use); BAC (Biological activity or effector, except

adverse); BSU (Biological study, unclassified); FFD (Food or feed use);
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combination anticoccidial agent containing; synthesis and use of aliphatic
 amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal
 agents)

IT 340185-28-6P 340185-34-4P 340185-35-5P 340185-36-6P 340185-41-3P
 340185-43-5P 340185-48-0P 340185-49-1P 340185-50-4P 340185-51-5P
 340185-52-6P 340185-53-7P 340185-56-0P 340185-66-2P 340185-67-3P
 340185-68-4P 340185-69-5P 340185-75-3P 340185-80-0P 340185-81-1P
 340185-84-4P 340186-07-4P 340186-16-5P 340186-32-5P 340186-35-8P
 340186-38-1P 340186-39-2P 340186-40-5P 340186-41-6P 340186-42-7P
 340186-43-8P 340186-44-9P 340186-53-0P 340186-64-3P
 340186-76-7P 340186-77-8P 340186-78-9P 340186-79-0P 340186-82-5P
 340186-83-6P 340186-87-0P 340186-88-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except
 adverse); BSU (Biological study, unclassified); FFD (Food or feed use);
 RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use)
 ; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
 USES (Uses)

(drug candidate; synthesis and use of aliphatic amine substituted
 piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

IT 340185-26-4P 340185-27-5P 340185-29-7P 340185-30-0P 340185-31-1P
 340185-32-2P 340185-33-3P 340185-37-7P 340185-38-8P 340185-39-9P
 340185-40-2P 340185-42-4P 340185-44-6P 340185-45-7P 340185-46-8P
 340185-47-9P 340185-54-8P 340185-55-9P 340185-57-1P 340185-58-2P
 340185-59-3P 340185-60-6P 340185-61-7P 340185-62-8P 340185-63-9P
 340185-64-0P 340185-65-1P 340185-70-8P 340185-71-9P 340185-72-0P
 340185-73-1P 340185-74-2P 340185-76-4P 340185-77-5P 340185-78-6P
 340185-79-7P 340185-88-8P 340185-90-2P 340185-92-4P 340185-94-6P
 340185-96-8P 340185-97-9P 340185-98-0P 340185-99-1P 340186-00-7P
 340186-01-8P 340186-02-9P 340186-03-0P 340186-04-1P 340186-05-2P
 340186-06-3P 340186-08-5P 340186-09-6P 340186-10-9P 340186-11-0P
 340186-12-1P 340186-13-2P 340186-14-3P 340186-15-4P 340186-17-6P
 340186-18-7P 340186-19-8P 340186-20-1P 340186-21-2P 340186-22-3P
 340186-23-4P 340186-24-5P 340186-25-6P 340186-26-7P 340186-27-8P
 340186-28-9P 340186-29-0P 340186-30-3P 340186-31-4P 340186-33-6P
 340186-34-7P 340186-36-9P 340186-37-0P 340186-45-0P 340186-47-2P
 340186-49-4P 340186-51-8P 340186-55-2P 340186-56-3P 340186-58-5P
 340186-60-9P 340186-61-0P 340186-62-1P 340186-63-2P
 340186-65-4P 340186-66-5P 340186-67-6P 340186-68-7P
 340186-69-8P 340186-70-1P 340186-71-2P 340186-72-3P 340186-73-4P
 340186-74-5P 340186-75-6P 340186-80-3P 340186-81-4P 340186-84-7P
 340186-85-8P 340186-86-9P 340186-89-2P 340186-90-5P 340186-91-6P
 340186-92-7P 340186-93-8P 340186-94-9P 340186-95-0P 340186-96-1P
 340186-97-2P 340186-98-3P 340186-99-4P 340187-00-0P 340187-01-1P
 340187-02-2P 340187-03-3P 340187-04-4P 340187-05-5P 340187-06-6P
 340187-07-7P 340187-08-8P 340187-09-9P 340187-10-2P 340187-40-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except
 adverse); BSU (Biological study, unclassified); FFD (Food or feed use);
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; synthesis and use of aliphatic amine substituted
 piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

IT 79-10-7, Acrylic acid, reactions 96-34-4, Methyl chloroacetate
 108-89-4, 4-Picoline 503-29-7, Azetidine 693-11-8 775-16-6,
 N-Benzyl-3-pyrrolidinone 867-44-7 1069-72-3 1445-73-4,
 N-Methyl-4-piperidinone 1956-21-4 2044-64-6 2235-46-3 2488-15-5
 2592-18-9 2680-03-7, N,N-Dimethylacrylamide 2917-91-1 3033-77-0
 3303-84-2 3601-66-9 3647-69-6, 4-(2-Chloroethyl)morpholine
 hydrochloride 3978-80-1 5241-64-5 5241-66-7 5455-98-1 5734-12-3,
 2-Methylthio-2-imidazoline hydrochloride 5875-25-2, 2-Bromopropionamide
 6972-41-4 7250-67-1, 1-(2-Chloroethyl)pyrrolidine hydrochloride
 7764-95-6 13139-14-5 13726-69-7 14676-01-8 15030-72-5 15761-38-3
 16948-16-6 17201-66-0 18942-49-9 19146-51-1 22818-40-2
 26371-07-3, 1-Piperidinepropanoic acid 33996-33-7 34306-42-8
 37784-17-1 40371-51-5 51077-14-6 55533-24-9 69610-41-9

70642-86-3 72155-45-4 79069-50-4 87184-23-4 88950-64-5
 89943-03-3 95715-87-0 102308-32-7 116332-54-8 129101-25-3
 142121-93-5 186431-96-9 312965-04-1 339989-63-8
 340187-11-3 340187-12-4 340187-13-5 340187-14-6 340187-15-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; synthesis and use of aliphatic amine substituted piperidyl
 diaryl pyrrole derivs. as antiprotozoal agents)

IT 79-57-2, Oxytetracycline 11054-70-9, Lasalocid

53003-10-4, Salinomycin

RL: AGR (Agricultural use); BAC (Biological activity or effector, except
 adverse); BSU (Biological study, unclassified); FFD (Food or feed use);

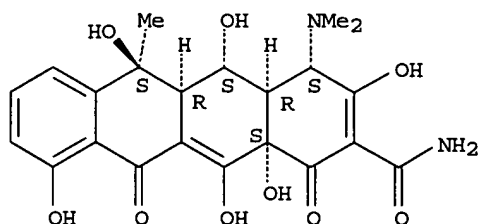
THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination anticoccidial agent containing; synthesis and use of aliphatic
 amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal
 agents)

RN 79-57-2 HCAPLUS

CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-
 3,5,6,10,12,12a-hexahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6S,12aS)-
 (9CI) (CA INDEX NAME)

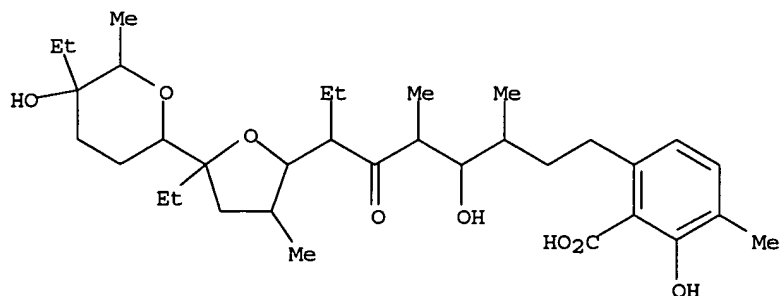
Absolute stereochemistry.



RN 11054-70-9 HCAPLUS

CN Benzoic acid, 6-[7-[5-ethyl-5-(5-ethyltetrahydro-5-hydroxy-6-methyl-2H-
 pyran-2-yl)tetrahydro-3-methyl-2-furanyl]-4-hydroxy-3,5-dimethyl-6-
 oxononyl]-2-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

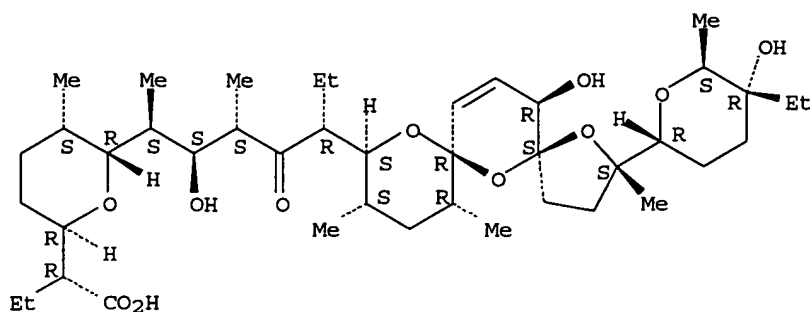
Currently available stereo shown.



RN 53003-10-4 HCAPLUS

CN Salinomycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.



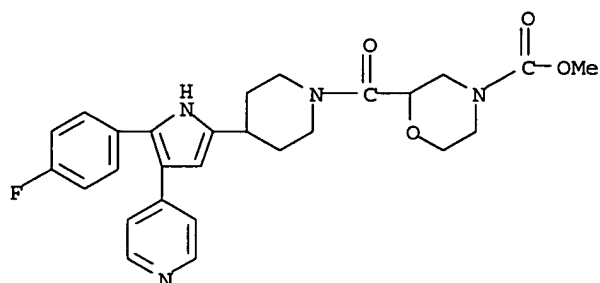
IT 340186-64-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

RN 340186-64-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



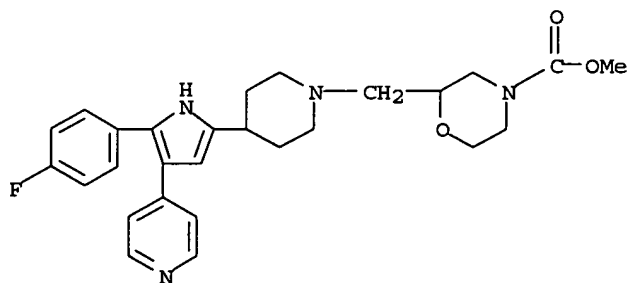
IT 340186-65-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FFD (Food or feed use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

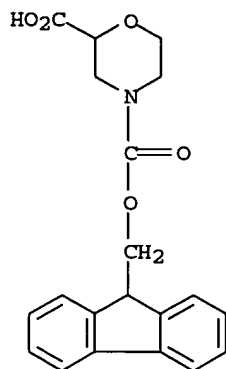
(drug candidate; synthesis and use of aliphatic amine substituted piperidyl diaryl pyrrole derivs. as antiprotozoal agents)

RN 340186-65-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



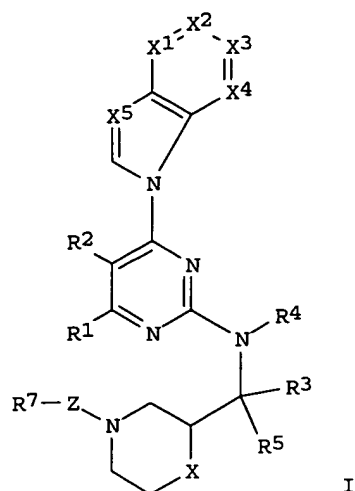
IT 312965-04-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; synthesis and use of aliphatic amine substituted piperidyl
 diaryl pyrrole derivs. as antiprotozoal agents)
 RN 312965-04-1 HCAPLUS
 CN 2,4-Morpholinedicarboxylic acid, 4-(9H-fluoren-9-ylmethyl) ester (9CI)
 (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:12274 HCAPLUS
 DN 134:86272
 TI Preparation of pyrimidine derivatives as Src-family protein tyrosine
 kinase inhibitor compounds
 IN Hunt, Julianne A.; Mills, Sander G.; Sinclair, Peter J.; Zaller, Dennis M.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 181 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2001000214	A1	20010104	2000WO-US17472	20000626 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA---2376951	AA	20010104	2000CA-2376951	20000626 <--
US---6316444	B1	20011113	2000US-0603699	20000626 <--
EP---1194152	A1	20020410	2000EP-0944858	20000626 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP2003503354	T2	20030128	2001JP-0505923	20000626 <--
PRAI 1999US-141597P	P	19990630 <--		
2000WO-US17472	W	20000626 <--		
OS MARPAT 134:86272				
GI				



AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO₂, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, alkoxycarbonylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl (Ph or naphthyl unsubstituted or substituted with 1-3 substituents), or R3 and R5 taken together can represent :O. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl, or R4 and X can join together to form a 5- or 6-membered ring with substituted methylene or ethylene. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5 = N, CH. R7 = H, alkyl, alkoxy, amino. X = O, S, SO, SO₂, imino. Z = C:O, SO₂, substituted P(:O)(OH) or a single bond. 44 Example preps. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

IC ICM A61K-0031/506

ICS C07D-0403/04; C07D-0403/14; C07D-0413/14; C07D-0417/14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 7, 63

IT **Antiarteriosclerotics**

(antiatherosclerotics; preparation of pyrimidine derivs. useful as)

IT **Anti-inflammatory agents**

Chemotherapy

(in combination with pyrimidine derivs. for inhibition of protein tyrosine kinase-associated disorders)

IT **Anti-inflammatory agents**

(nonsteroidal; in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)

IT **Angiogenesis inhibitors**

Antirheumatic agents

Antitumor agents

(preparation of pyrimidine derivs. useful as)

IT **Proliferation inhibition**

(proliferation inhibitors; in combination with pyrimidine derivs. for inhibition of protein tyrosine kinase-associated disorders)

- IT 51-17-2, Benzimidazole 66-25-1, Hexanal 78-84-2, Isobutyraldehyde 86-84-0, 1-Naphthyl isocyanate 94-52-0, 5-Nitrobenzimidazole 98-09-9, Benzenesulfonyl chloride 100-39-0, Benzyl bromide 103-71-9, Phenyl isocyanate, reactions 105-36-2, Ethyl bromoacetate 110-73-6, 2-(Ethylamino)ethanol 120-72-9, Indole, reactions 141-90-2, Thiouracil 500-22-1, 3-Pyridinecarboxaldehyde 501-53-1, Benzyl chloroformate 661-69-8, Hexamethylditin 872-85-5, 4-Pyridinecarboxaldehyde 1120-87-2, 4-Bromopyridine 1121-60-4, 2-Pyridinecarboxaldehyde 2762-32-5, Piperazine-2-carboxylic acid 3934-20-1, 2,4-Dichloropyrimidine 25495-92-5, Iodoheptane 36082-50-5, 2,4-Dichloro-5-bromopyrimidine 49844-90-8, 4-Chloro-2-methylthiopyrimidine 58632-95-4, 2-(tert-Butoxycarbonyloxyimino)-2-phenylacetoneitrile 73183-34-3 146548-59-6, 2,4,6-Trimethoxybenzylamine hydrochloride 147650-70-2, (S)-Piperazine-2-carboxylic acid 317365-90-5, N-Benzyloxycarbonyloxy-5-norbornene-2,3-dicarboxamide 317365-91-6, (R*,S*)-2-(1-Hydroxyethyl)-4-benzyloxycarbonylmorpholine 317365-92-7, 2-Methylthio-4-[5-iodobenzimidazol-1-yl]pyrimidine 317830-67-4, 4-(Fluorenyloxycarbonyl)morpholine-2-carboxylic acid
- RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)
- IT 53123-88-9, Rapamycin 104987-11-3, FK506
- RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)
- IT 934-22-5P, 5-Aminobenzimidazole 135782-20-6P, 2-Hydroxymethyl-4-benzyloxycarbonylmorpholine 152192-95-5P, 2-(N-Tert-Butyloxycarbonyl-N-ethyl)aminoethanol 293292-31-6P, 2-Chloro-4-(benzimidazol-1-yl)-5-bromopyrimidine 315716-98-4P, 2-Hexylthio-4-[benzimidazol-1-yl]pyrimidine 315716-99-5P, 2-Methylthio-4-[5-aminobenzimidazol-1-yl]pyrimidine 315717-00-1P, 2-Hexylthio-4-[5-aminobenzimidazol-1-yl]pyrimidine 315717-71-6P, 2-Methylthio-4-[benzimidazol-1-yl]pyrimidine 315717-72-7P, 2-Hexylthio-4-hydroxypyrimidine 315717-73-8P, 4-Chloro-2-hexylthiopyrimidine 315718-04-8P, 2-Methylthio-4-[6-aminobenzimidazol-1-yl]pyrimidine 315718-06-0P, 2-(N-Tert-Butyloxycarbonyl-N-ethyl)aminoacetaldehyde 317364-83-3P, 2-Methylsulfonyl-4-[benzimidazol-1-yl]pyrimidine 317365-07-4P, (S,S)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butylloxycarbonylpiperazine 317365-09-6P, 2-Methylsulfonyl-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]-pyrimidine 317365-12-1P 317365-14-3P, 2-Methylthio-4-[5-(2-chloropyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-27-8P 317365-31-4P, 2-Aminomethyl-4-benzyloxycarbonylmorpholine 317365-32-5P, 2-[(Morpholin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-33-6P, 1-(Benzyloxycarbonyl)-2-hydroxymethyl-4-(tert-butylloxycarbonyl)piperazine 317365-34-7P, 1-(Benzyloxycarbonyl)-2-aminomethyl-4-(tert-butylloxycarbonyl)piperazine 317365-35-8P, 2-[(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-36-9P, 4-Fluorenyloxycarbonylmorpholin-2-(N-methyl-N-methoxy)carboxamide 317365-37-0P, 4-Benzyloxycarbonylmorpholin-2-(N-methyl-N-methoxy)carboxamide 317365-38-1P, 2-Acetyl-4-benzyloxycarbonylmorpholine 317365-39-2P, (R*,R*)-2-(1-Hydroxyethyl)-4-benzyloxycarbonylmorpholine 317365-40-5P, (R*,R*)-2-(1-Aminoethyl)-4-benzyloxycarbonylmorpholine 317365-41-6P, (R*,R*)-2-[1-(4-(Benzyloxycarbonyl)morpholin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-42-7P, (R*,S*)-2-(1-Aminoethyl)-4-benzyloxycarbonylmorpholine 317365-43-8P, (R*,S*)-2-[1-(4-(Benzyloxycarbonyl)morpholin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-44-9P, 1-Benzyloxycarbonyl-4-tert-butylloxycarbonylpiperazin-2-(N-methyl-N-methoxy)carboxamide 317365-45-0P, 1-Benzyloxycarbonyl-2-acetyl-4-tert-

butyloxycarbonylpiperazine 317365-46-1P, (R*,R*)-1-Benzyloxycarbonyl-2-(1-hydroxyethyl)-4-tert-butyloxycarbonylpiperazine 317365-47-2P, (R*,R*)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butyloxycarbonylpiperazine 317365-48-3P, (R*,R*)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-49-4P, (R*,R*)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-50-7P, (R*,S*)-1-Benzyloxycarbonyl-2-(1-hydroxyethyl)-4-tert-butyloxycarbonylpiperazine 317365-51-8P, (R*,S*)-1-Benzyloxycarbonyl-2-(1-aminoethyl)-4-tert-butyloxycarbonylpiperazine 317365-52-9P, (R*,S*)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-53-0P, (R*,S*)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-54-1P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine 317365-55-2P, 2-[1-(4-(Tert-Butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)pyrimidine 317365-56-3P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine 317365-57-4P, 2-[7-Methyl-4-(N-tert-butyloxycarbonyl)-1,4,8-triazabicyclo[4.3.0]nonan-8-yl]-4-[benzimidazol-1-yl]pyrimidine 317365-58-5P, 2-[1-(1-Methyl-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-59-6P, 2-[Benzimidazol-1-yl]-4-methylthiopyrimidine 317365-60-9P, 2-[Benzimidazol-1-yl]-4-methylsulfonylpyrimidine 317365-61-0P, 2-[Benzimidazol-1-yl]-4-[1-(1-(benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]pyrimidine 317365-62-1P, 2-[Benzimidazol-1-yl]-4-[1-(1-(benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]pyrimidine 317365-63-2P, (S)-1-Benzyloxycarbonyl-4-tert-butyloxycarbonylpiperazin-2-(N-methyl-N-methoxy)carboxamide 317365-64-3P, (S)-1-Benzyloxycarbonyl-2-acetyl-4-tert-butyloxycarbonylpiperazine 317365-65-4P 317365-66-5P 317365-67-6P, 2-Methylsulfonyl-4-[indol-1-yl]pyrimidine 317365-68-7P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(indol-1-yl)pyrimidine 317365-69-8P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-(indol-1-yl)pyrimidine 317365-70-1P 317365-72-3P 317365-74-5P, 2-Methylthio-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-75-6P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-76-7P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-77-8P, 2-Methylthio-4-[5-trimethylstannylbenzimidazol-1-yl]pyrimidine 317365-78-9P, 2-Methylthio-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-79-0P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-80-3P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-81-4P, 2-Methylthio-4-[5-(pinacolatoboronyl)benzimidazol-1-yl]pyrimidine 317365-82-5P, 2-Methylthio-4-[5-(2-(2,4,6-trimethoxybenzylamino)pyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-83-6P, 2-Methylsulfonyl-4-[5-(2-(2,4,6-trimethoxybenzylamino)pyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-84-7P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[5-(2-(2,4,6-trimethoxybenzylamino)pyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-85-8P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-[5-(2-aminopyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-86-9P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-87-0P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-88-1P, (S,S)-2-[1-(4-(N-Naphth-1-ylcarbamoyle)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine

317829-95-1P, Methyl 4-(fluorenyloxycarbonyl)morpholine-2-carboxylate 317829-96-2P, 2-Hydroxymethyl-4-(fluorenyloxycarbonyl)morpholine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

IT 317364-84-4P, 2-[(4-(Benzyloxycarbonyl)morpholin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation as inhibitor of Src-family protein tyrosine kinases and deprotection of)

IT 317365-91-6, (R*,S*)-2-(1-Hydroxyethyl)-4-benzyloxycarbonylmorpholine

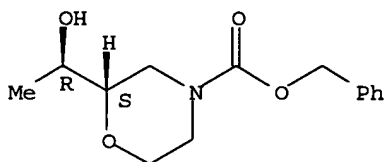
RL: RCT (Reactant); RACT (Reactant or reagent)

(for preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

RN 317365-91-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-hydroxyethyl]-, phenylmethyl ester, (2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 53123-88-9, Rapamycin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)

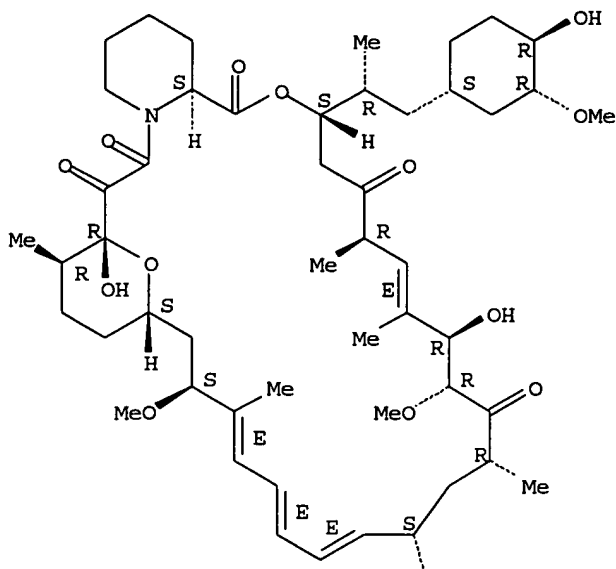
RN 53123-88-9 HCAPLUS

CN Rapamycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

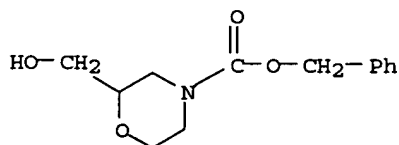


PAGE 2-A

Me

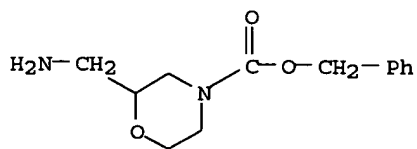
IT 135782-20-6P, 2-Hydroxymethyl-4-benzyloxycarbonylmorpholine
 317365-31-4P, 2-Aminomethyl-4-benzyloxycarbonylmorpholine
 317365-37-0P, 4-Benzyloxycarbonylmorpholin-2-(N-methyl-N-methoxy)carboxamide 317365-38-1P, 2-Acetyl-4-benzyloxycarbonylmorpholine 317365-39-2P, (R*,R*)-2-(1-Hydroxyethyl)-4-benzyloxycarbonylmorpholine 317365-40-5P, (R*,R*)-2-(1-Aminoethyl)-4-benzyloxycarbonylmorpholine 317365-41-6P, (R*,R*)-2-[1-(4-(Benzyloxycarbonyl)morpholin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-42-7P, (R*,S*)-2-(1-Aminoethyl)-4-benzyloxycarbonylmorpholine 317365-43-8P, (R*,S*)-2-[1-(4-(Benzyloxycarbonyl)morpholin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317829-95-1P, Methyl 4-(fluorenyloxycarbonyl)morpholine-2-carboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

RN 135782-20-6 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 2-(hydroxymethyl)-, phenylmethyl ester (9CI)
 (CA INDEX NAME)



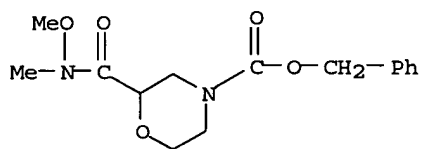
RN 317365-31-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-(aminomethyl)-, phenylmethyl ester (9CI)
(CA INDEX NAME)



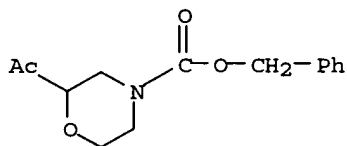
RN 317365-37-0 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[(methoxymethylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 317365-38-1 HCAPLUS

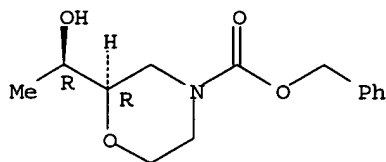
CN 4-Morpholinecarboxylic acid, 2-acetyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 317365-39-2 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-hydroxyethyl]-, phenylmethyl ester, (2R)-rel- (9CI) (CA INDEX NAME)

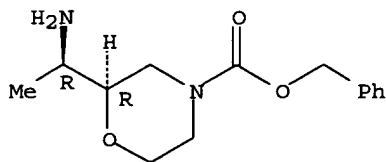
Relative stereochemistry.



RN 317365-40-5 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-aminoethyl]-, phenylmethyl ester, (2R)-rel- (9CI) (CA INDEX NAME)

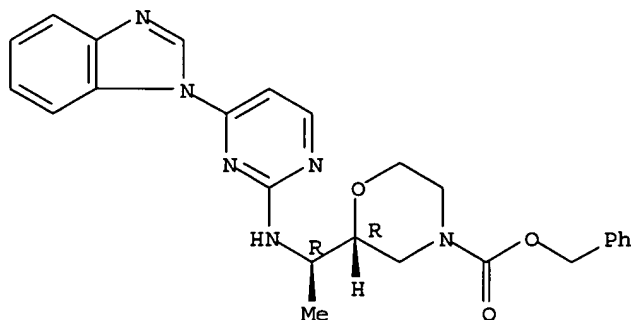
Relative stereochemistry.



RN 317365-41-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester, (2R)-rel- (9CI) (CA INDEX NAME)

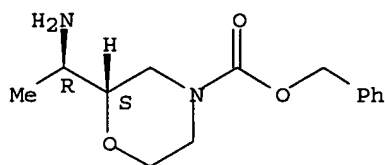
Relative stereochemistry.



RN 317365-42-7 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-aminoethyl]-, phenylmethyl ester, (2S)-rel- (9CI) (CA INDEX NAME)

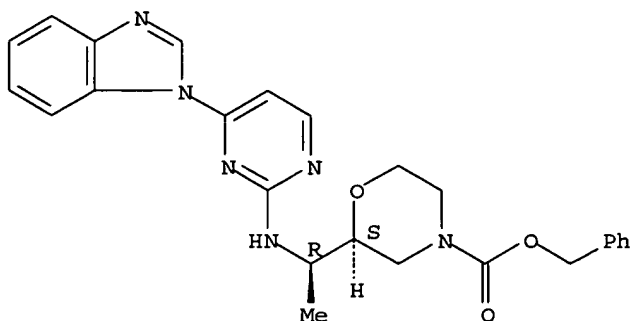
Relative stereochemistry.



RN 317365-43-8 HCAPLUS

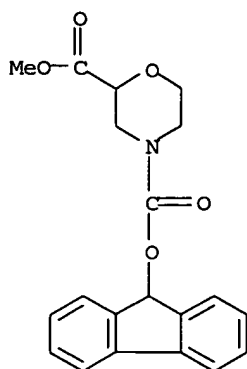
CN 4-Morpholinecarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester, (2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

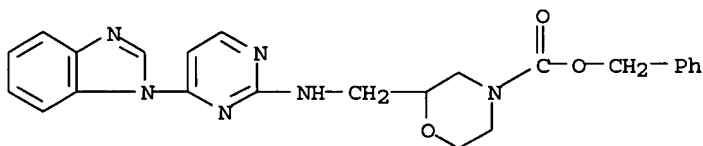


RN 317829-95-1 HCAPLUS

CN 2,4-Morpholinedicarboxylic acid, 4-(9H-fluoren-9-yl) 2-methyl ester (9CI) (CA INDEX NAME)



IT 317364-84-4P, 2-[[4-(Benzyloxycarbonyl)morpholin-2-yl]methylamino]-4-[benzimidazol-1-yl]pyrimidine
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation as inhibitor of Src-family protein tyrosine kinases and deprotection of)
 RN 317364-84-4 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:12273 HCAPLUS
 DN 134:86271
 TI Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
 IN Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 470 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2001000213	A1	20010104	2000WO-US17443	20000626 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				

AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example preps. are given, but no preparative method is claimed and no data

relating to the usefulness of the compds. are given.

- IC ICM A61K-0031/506
ICS C07D-0401/14; C07D-0403/04; C07D-0403/14
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7, 63
- IT **Antiartherosclerotics**
(antiatherosclerotics; preparation of pyrimidine derivs. useful as)
- IT **Anti-inflammatory agents**
Chemotherapy
(in combination with pyrimidine derivs. for inhibition of protein tyrosine kinase-associated disorders)
- IT **Anti-inflammatory agents**
(nonsteroidal; in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)
- IT **Angiogenesis inhibitors**
Antirheumatic agents
Antitumor agents
(preparation of pyrimidine derivs. useful as)
- IT **Proliferation inhibition**
(proliferation inhibitors; in combination with pyrimidine derivs. for inhibition of protein tyrosine kinase-associated disorders)
- IT 53123-88-9, Rapamycin 104987-11-3, FK506
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)
- IT 267-87-8P, 5,6-Methylenedioxybenzimidazole 269-07-8P, Naphtho[2,3-d]imidazole 326-55-6P, 5-Trifluoromethylbenzimidazole 934-22-5P, 5-Aminobenzimidazole 1576-46-1P, 3-(N,N-Diethylaminosulfonyl)benzoic acid 2223-96-3P, 2-Ethylthio-4-chloro-5-(ethoxycarbonyl)pyrimidine 5518-76-3P, 2-(Ethylthio)-4-hydroxy-5-(ethoxycarbonyl)pyrimidine 5731-17-9P, 1-Benzyl-3-hydroxymethylpyrrolidine 5973-83-1P, 3-Acetylpyridine hydroxime 6148-64-7P, Potassium ethyl malonate 6287-83-8P, 5-Cyanobenzimidazole 6478-73-5P, 5,6-Dichlorobenzimidazole 13480-95-0P, 2-(Ethylthio)-4-hydroxy-5-methylpyrimidine 13480-96-1P, 2-(Ethylthio)-4-chloro-5-methylpyrimidine 13493-88-4P, N-Methylpyrrolidine-2-carboxaldehyde 26663-77-4P, 5-Methoxycarbonylbenzimidazole 27835-00-3P, Ethyl 4-methylbenzoylacetate 38158-26-8P, 3-(N,N-Diethylaminosulfonyl)acetophenone 39807-30-2P, 3-Chloro-6-(3-dimethylaminopropan-1-oxyl)pyridazine 39945-51-2P, 1-Benzoyloxycarbonyl-3-piperidinemethanol 41292-65-3P, 5-Hydroxybenzimidazole 46118-11-0P, 2-Ethylthio-4-hydroxy-5-cyanopyrimidine 53449-18-6P, lin-Benzohypoxanthine 56129-55-6P, 1-(3-Pyridyl)ethylamine 60189-64-2P, lin-Benzoxanthine 61587-90-4P, 6-Methyl-5-nitrobenzimidazole 62459-07-8P, 2-Ethylthio-4-hydroxy-6-propylpyrimidine 72351-49-6P, 1-Benzylpyrrolidine-3-carboxaldehyde 76116-24-0P, (R)-1-(3-Nitrophenyl)ethanol 82718-15-8P, 5-(Pyridin-4-yl)benzimidazole 86954-05-4P, 1-Benzoyloxycarbonyl-2-pyrrolidinemethanol 105706-75-0P, 1-Benzoyloxycarbonyl-2-piperidinemethanol 105706-76-1P, 1-Benzoyloxycarbonylpiperidine-2-carboxaldehyde 105706-84-1P, 1-Benzoyloxycarbonylpyrrolidine-2-carboxaldehyde 106429-29-2P, 5-Hydroxymethylbenzimidazole 109943-02-4P, 5-Chloro-6-methylbenzimidazole 126937-42-6P, Methyl 1-(benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazine-2-carboxylate 127852-22-6P, (S)-1-(3-Cyanophenyl)ethylamine 135782-20-6P, 4-Benzoyloxycarbonyl-2-hydroxymethylmorpholine 157991-72-5P, 2,5-Dimethyl-3-acetylfuran hydroxime 167993-16-0P, Benzimidazole-5,6-dicarboxylic anhydride 167993-17-1P, 5,6-Di(methoxycarbonyl)benzimidazole 177843-72-0P, 5-Amino-6-methylbenzimidazole 179323-60-5P, 1-(Pyrazin-2-yl)ethylamine 192717-32-1P, 5-(N-Methyl-N-methoxyaminocarbonyl)benzimidazole 201478-72-0P, 1-Benzoyloxycarbonylpiperidine-3-carboxaldehyde 210827-43-3P, 5-Aminosulfonylbenzimidazole 297730-25-7P, (S)-1-(3-Nitrophenyl)-1-aminoethane 315716-98-4P, 2-Hexylthio-4-[benzimidazol-1-yl]pyrimidine 315716-99-5P, 2-Methylthio-4-[5-aminobenzimidazol-1-yl]pyrimidine 315717-00-1P, 2-Hexylthio-4-[5-aminobenzimidazol-1-yl]pyrimidine

315717-42-1P, 2-Hexylthio-4-[5-iodobenzimidazol-1-yl]pyrimidine
315717-64-7P, 2-Hexylthio-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine
315717-71-6P, 2-Methylthio-4-[benzimidazol-1-yl]pyrimidine 315717-72-7P,
2-Hexylthio-4-hydroxypyrimidine 315717-73-8P, 4-Chloro-2-
hexylthiopyrimidine 315717-85-2P, 2-Methylthio-4-[5-(N-methyl-N-
methoxyaminocarbonyl)benzimidazol-1-yl]pyrimidine 315717-86-3P,
2-Methylthio-4-[6-(N-methyl-N-methoxyaminocarbonyl)benzimidazol-1-
yl]pyrimidine 315717-94-3P, 2-Hexylsulfinyl-4-[5-(pyridin-4-
yl)benzimidazol-1-yl]pyrimidine 315717-98-7P, 2-Hexylthio-4-[5-
trimethylstannylbenzimidazol-1-yl]pyrimidine 315717-99-8P,
2-Hexylsulfonyl-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine
315718-04-8P, 2-Methylthio-4-[6-aminobenzimidazol-1-yl]pyrimidine
317364-83-3P, 2-Methylsulfonyl-4-[benzimidazol-1-yl]pyrimidine
317365-33-6P, 1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)-2-
hydroxymethylpiperazine 317824-78-5P, 2-[(S)-1-Phenylethylamino]-4-
chloropyrimidine 317826-53-2P, 2-[(S)-1-Phenylethylamino]-4-[6-(4-
tributylstannyl-1,2,3-triazol-1-yl)benzimidazol-1-yl]pyrimidine
317826-66-7P, 2-Ethylthio-4-(benzimidazol-1-yl)-5-
(ethoxycarbonyl)pyrimidine 317826-99-6P, 2-[(S)-1-Phenylethylamino]-4-[5-
(tributylstannyl)benzimidazol-1-yl]pyrimidine 317828-02-7P,
2-[(S)-1-Phenylethylamino]-4-[5-trimethylstannylbenzimidazol-1-
yl]pyrimidine 317829-65-5P, 3-(N,N-Diethylaminosulfonyl)-N-methoxy-N-
methylbenzamide 317829-66-6P, 3-(N,N-Diethylaminosulfonyl)acetophenone
O-benzyl oxime 317829-67-7P, 1-(3-N,N-Diethylaminosulfonylphenyl)ethylam-
ine 317829-69-9P, (R)-1-(3-Cyanophenyl)ethanol 317829-71-3P,
(S)-1-(3-Cyanophenyl)-1-azidoethane 317829-73-5P, Tert-Butyl
(3-acetyl)benzoate 317829-74-6P, (R)-1-(3-Tert-
Butyloxycarbonylphenyl)ethanol 317829-75-7P, (R)-1-(3-Tert-
Butyloxycarbonylphenyl)ethyl methanesulfonyl ether 317829-76-8P,
(S)-1-(3-Tert-Butyloxycarbonylphenyl)-1-azidoethane 317829-77-9P,
(S)-1-(3-Tert-Butyloxycarbonylphenyl)ethylamine 317829-78-0P,
2-Methylthio-4-[5-carboxybenzimidazol-1-yl]pyrimidine 317829-79-1P,
2-Methylthio-4-[6-carboxybenzimidazol-1-yl]pyrimidine 317829-80-4P,
2-Methylsulfonyl-4-[5-carboxybenzimidazol-1-yl]pyrimidine 317829-81-5P,
2-Methylsulfonyl-4-[6-carboxybenzimidazol-1-yl]pyrimidine 317829-83-7P,
2-[(S)-1-Phenylethylamino]-4-[5-carboxybenzimidazol-1-yl]pyrimidine
317829-84-8P, 2-[(S)-1-Phenylethylamino]-4-[6-carboxybenzimidazol-1-
yl]pyrimidine 317829-86-0P, 2-Methylthio-4-[6-N-
(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317829-88-2P,
2-Methylsulfonyl-4-[6-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine
317829-90-6P, 5-(4-Formylaminophenoxy)benzimidazole 317829-92-8P,
2-[(S)-1-Phenylethylamino]-4-[5-N-(S)-1-(benzyloxycarbonyl)pyrrolidin-2-
oyl]aminobenzimidazol-1-yl]pyrimidine 317829-93-9P, 2-[(S)-1-
Phenylethylamino]-4-[5-N-(N-(tert-butyloxycarbonyl)piperidin-4-
oyl]aminobenzimidazol-1-yl]pyrimidine 317829-94-0P, 2-[(S)-1-
Phenylethylamino]-4-[5-N-(N-(tert-butyloxycarbonyl)piperidin-3-
oyl]aminobenzimidazol-1-yl]pyrimidine 317829-95-1P
317829-96-2P 317829-97-3P, 4-Benzyloxycarbonylmorpholine-2-
carboxaldehyde 317829-98-4P, 1-(Benzyloxycarbonyl)-4-(tert-
butyloxycarbonyl)piperazine-2-carboxaldehyde 317829-99-5P,
2-[(S)-1-Phenylethylamino]-4-[5-N-((1-benzyloxycarbonyl)piperazin-2-
yl)methyl]aminobenzimidazol-1-yl]pyrimidine 317830-00-5P,
2-Methylthio-4-[5-N-(tert-butyloxycarbonyl)aminobenzimidazol-1-
yl]pyrimidine 317830-01-6P, 2-Methylsulfonyl-4-[5-N-(tert-
butyloxycarbonyl)aminobenzimidazol-1-yl]pyrimidine 317830-02-7P,
2-Methylthio-4-[6-(methylcarbonyl)benzimidazol-1-yl]pyrimidine
317830-03-8P, 2-Ethylthio-4-chloro-5-cyanopyrimidine 317830-04-9P,
2-Ethylthio-4-(benzimidazol-1-yl)-5-cyanopyrimidine 317830-05-0P,
2-Ethylthio-4-(benzimidazol-1-yl)-5-methylpyrimidine 317830-06-1P,
2-[(S)-1-Phenylethylamino]-4-hydroxy-6-methylpyrimidine 317830-07-2P,
2-[(S)-1-Phenylethylamino]-4-chloro-6-methylpyrimidine 317830-08-3P,
2-Ethylthio-4-chloro-6-propylpyrimidine 317830-09-4P,
2-Ethylthio-4-[benzimidazol-1-yl]-6-propylpyrimidine 317830-10-7P,
2-Ethylsulfonyl-4-[benzimidazol-1-yl]-6-propylpyrimidine 317830-11-8P,
2-[(S)-1-Phenylethylamino]-4,6-dichloropyrimidine 317830-12-9P,
2-[(S)-1-Phenylethylamino]-4-[benzimidazol-1-yl]-6-chloropyrimidine

317830-13-0P, 2-[(S)-1-Phenylethylamino]-4-[5-methylbenzimidazol-1-yl]-6-chloropyrimidine 317830-14-1P, 2-[(S)-1-Phenylethylamino]-4-[6-methylbenzimidazol-1-yl]-6-chloropyrimidine 317830-15-2P, 2-[1-(3-Nitrophenyl)ethylamino]-4,6-dichloropyrimidine 317830-16-3P, 2-[1-(3-Nitrophenyl)ethylamino]-4-[benzimidazol-1-yl]-6-chloropyrimidine 317830-17-4P, 2-[(S)-1-Phenylethylamino]-4-[benzimidazol-1-yl]-6-azidopyrimidine 317830-18-5P, 2-[1-(1-N-tert-Butoxycarbonylindol-3-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317830-19-6P, 2-[1-(N-tert-Butoxycarbonylimidazol-4-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317830-20-9P, 1-(2,5-Dimethylfuran-3-yl)ethylamine 317830-21-0P, 2-[(S)-1-Phenylethylamino]-4-[5-(2-(3,4,5-trimethoxybenzylamino)pyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317830-22-1P, 5-(4-Aminophenoxy)benzimidazole 317830-23-2P, 317830-24-3P, Benzimidazol-5,6-N-benzylsuccinimide 317830-25-4P, 2-[(S)-1-(3-(N-Methoxy-N-methylamino)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317830-26-5P, (S)-1-(3-Nitrophenyl)-1-azidoethane 317830-29-8P, (S)-1-(3-Aminophenyl)-1-aminoethane 317830-31-2P, 2-[(S)-1-(3-Aminophenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317830-33-4P, 5-Nitro-1-((2-trimethylsilylethoxy)methyl)benzimidazole 317830-35-6P, 5-Amino-1-((2-trimethylsilylethoxy)methyl)benzimidazole 317830-37-8P, 6-Amino-1-((2-trimethylsilylethoxy)methyl)benzimidazole 317830-39-0P, 5-Iodo-1-((2-trimethylsilylethoxy)methyl)benzimidazole 317830-44-7P, 6-Iodo-1-((2-trimethylsilylethoxy)methyl)benzimidazole 317830-46-9P 317830-49-2P 317830-51-6P, 5-(Pyridin-4-yl)-1-((2-trimethylsilylethoxy)methyl)benzimidazole 317830-52-7P, 6-(Pyridin-4-yl)-1-((2-trimethylsilylethoxy)methyl)benzimidazole 317830-54-9P, 2-[(S)-1-Phenylethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]-6-chloropyrimidine 317830-56-1P, 2-[(S)-1-Phenylethylamino]-4-[6-(pyridin-4-yl)benzimidazol-1-yl]-6-chloropyrimidine 318283-75-9P, (S)-1-Phenylethylguanidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

IT 315717-70-5P, 2-Hexylthio-4-[6-aminobenzimidazol-1-yl]pyrimidine 317823-66-8P, 2-[(S)-1-Phenylethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-67-9P, 2-[(R)-1-Phenylethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-68-0P, 2-[(S)-1-Phenylethyl-N-methylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-69-1P, 2-Benzylamino-4-[benzimidazol-1-yl]pyrimidine 317823-71-5P, 2-[Diphenylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-72-6P, 2-[2-Phenylethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-73-7P, 2-[3,4-Methylenedioxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-74-8P, 2-[2,3-Dichlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-75-9P, 2-[1-Phenyl-1-methylethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-76-0P, 2-[2-Pyridylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-77-1P, 2-[2-(2-Methoxyphenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-78-2P, 2-[1-Naphthylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-79-3P, 2-[4-Methylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-81-7P, 2-[2-Methylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-82-8P, 2-[3-Methylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-83-9P, 2-[4-Methoxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-84-0P, 2-[2-Methoxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-85-1P, 2-[3-Methoxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-86-2P, 2-[2-Furanylmethylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-87-3P, 2-[(4-Fluorobenzyl)amino]-4-[benzimidazol-1-yl]pyrimidine 317823-88-4P, 2-[4-Trifluoromethoxybenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-89-5P, 2-[3-Trifluoromethylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-91-9P, 2-[3,4-Dichlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-92-0P, 2-[2-Fluorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-93-1P, 2-[2-Chlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-94-2P, 2-[2-Chloro-6-methylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-95-3P, 2-[3-Chlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-96-4P, 2-[3,5-Dichlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine 317823-97-5P, 2-[3-Fluorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine

317823-98-6P, 2-[3-Bromobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317823-99-7P, 2-[3-Phenylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-00-3P, 2-[3,5-Difluorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-01-4P, 2-[2-Bromobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-02-5P, 2-[3-Iodobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-03-6P, 2-[3-Fluoro-5-trifluoromethylbenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-04-7P, 2-[3-Nitrobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-05-8P, 2-[4-Chlorobenzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-06-9P, 2-[(S)-1-(1-Naphthyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-07-0P, 2-[(S)-1-(2-Naphthyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-08-1P, 2-[(S)-1-Phenylpropylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-09-2P, 2-[3,5-Bis(trifluoromethyl)benzylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-10-5P, 2-[(S)-1-Phenyl-2-hydroxyethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-13-8P, 2-[4-Pyridylmethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-14-9P, 2-[(R)-1-(3-Nitrophenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-15-0P, 2-[(S)-1-(4-Methylphenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-16-1P, 2-[(S)-1-(3-N,N-Diethylaminosulfonylphenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-17-2P, 2-[(S)-1-(3-Pyridyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-18-3P, 2-[(S)-1-(3-Cyanophenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-22-9P, 2-[(S)-1-(3-(Benzylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-23-0P, 2-[(S)-1-(3-(1-Naphthylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-24-1P, 2-[(S)-1-(3-(1-Ethylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-25-2P, 2-[(S)-1-(3-(Phenylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-26-3P, 2-[(S)-1-(3-(2-Phenylethylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-27-4P, 2-[(S)-1-(3-(Ethoxycarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-28-5P, 2-[(S)-1-(3-(N-Benzyl-N-methylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-29-6P, 2-[(S)-1-(3-((S)-1-Phenylethylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-30-9P, 2-[(S)-1-(3-((4-Pyridylmethyl)aminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-31-0P, 2-[(S)-1-(3-(Indol-5-ylaminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-32-1P, 2-[(S)-1-(3-((4-Chlorophenyl)aminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-33-2P, 2-[(S)-1-(3-((4-Methoxyphenyl)aminocarbonyl)phenyl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
317824-34-3P, 2-[(S)-1-Phenylethylamino]-4-[5-methylbenzimidazol-1-yl]pyrimidine
317824-35-4P, 2-[(S)-1-Phenylethylamino]-4-[2-methylbenzimidazol-1-yl]pyrimidine
317824-37-6P, 2-[(S)-1-Phenylethylamino]-4-[5,6-dimethylbenzimidazol-1-yl]pyrimidine
317824-38-7P, 2-[(S)-1-Phenylethylamino]-4-[5-methoxybenzimidazol-1-yl]pyrimidine
317824-39-8P, 2-[(S)-1-Phenylethylamino]-4-[5-nitrobenzimidazol-1-yl]pyrimidine
317824-40-1P, 2-[(S)-1-Phenylethylamino]-4-[5-bromobenzimidazol-1-yl]pyrimidine
317824-41-2P, 2-[(S)-1-Phenylethylamino]-4-[5-methylbenzotriazol-1-yl]pyrimidine
317824-43-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(acetyl)aminobenzimidazol-1-yl]pyrimidine
317824-44-5P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(acetyl)aminobenzimidazol-1-yl]pyrimidine
317824-45-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(pyridin-3-oyl)aminobenzimidazol-1-yl]pyrimidine
317824-46-7P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(pyridin-3-oyl)aminobenzimidazol-1-yl]pyrimidine
317824-47-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(pyridin-4-oyl)aminobenzimidazol-1-yl]pyrimidine
317824-48-9P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-bromobenzoyl)aminobenzimidazol-1-yl]pyrimidine
317824-49-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(thiophen-2-oyl)aminobenzimidazol-1-yl]pyrimidine
317824-50-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2-methylbenzoyl)aminobenzimidazol-1-yl]pyrimidine
317824-52-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-methoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine
317824-53-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(furan-2-oyl)aminobenzimidazol-1-yl]pyrimidine
317824-54-7P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(furan-2-oyl)aminobenzimidazol-1-yl]pyrimidine

317824-55-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-56-9P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-57-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(naphth-1-oyl)aminobenzimidazol-1-yl]pyrimidine 317824-58-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(phenylacetyl)aminobenzimidazol-1-yl]pyrimidine 317824-59-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(1-phenylpropionoyl)aminobenzimidazol-1-yl]pyrimidine 317824-60-5P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(1-phenylpropionoyl)aminobenzimidazol-1-yl]pyrimidine 317824-61-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(benzyloxyacetyl)aminobenzimidazol-1-yl]pyrimidine 317824-62-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(naphthylene-2-sulfonyl)aminobenzimidazol-1-yl]pyrimidine 317824-63-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(allyl)aminobenzimidazol-1-yl]pyrimidine 317824-64-9P, 2-[(S)-1-Phenylethylamino]-4-[6-N-(allyl)aminobenzimidazol-1-yl]pyrimidine 317824-65-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(benzyl)aminobenzimidazol-1-yl]pyrimidine 317824-66-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,3-dimethylallyl)aminobenzimidazol-1-yl]pyrimidine 317824-67-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N,N-dimethylaminobenzimidazol-1-yl]pyrimidine 317824-68-3P, 2-[(S)-1-Phenylethylamino]-4-[5-(2-methylphenyl)benzimidazol-1-yl]pyrimidine 317824-69-4P, 2-[(S)-1-Phenylethylamino]-4-[5-(n-butyl)benzimidazol-1-yl]pyrimidine 317824-70-7P, 2-[(S)-1-Phenylethylamino]-4-[6-methoxycarbonylbenzimidazol-1-yl]pyrimidine 317824-71-8P, 2-[(S)-1-Phenylethylamino]-4-[6-benzylaminocarbonylbenzimidazol-1-yl]pyrimidine 317824-72-9P, 2-[(S)-1-Phenylethylamino]-4-[6-phenylaminocarbonylbenzimidazol-1-yl]pyrimidine 317824-73-0P, 2-[(S)-1-(3-Nitrophenyl)ethylamino]-4-[5-methylbenzimidazol-1-yl]pyrimidine 317824-74-1P, 2-[(1-(3-Nitrophenyl)ethyl)amino]-4-[6-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-75-2P, 2-[(1-(3-Nitrophenyl)ethylamino)-4-[5-N-(benzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-76-3P, 2-[(S)-1-Phenylethylamino]-4-[5-azabenzimidazol-1-yl]pyrimidine 317824-77-4P, 2-[(S)-1-Phenylethylamino]-4-[6-azabenzimidazol-1-yl]pyrimidine 317824-79-6P, 2-[(S)-1-Phenylethylamino]-4-[5-(methoxycarbonyl)benzimidazol-1-yl]pyrimidine 317824-80-9P, 2-[(S)-1-Phenylethylamino]-4-[5-(phenylamino)benzimidazol-1-yl]pyrimidine 317824-81-0P, 2-[(S)-1-Phenylethylamino]-4-[5-methyl-6-aminobenzimidazol-1-yl]pyrimidine 317824-82-1P, 2-[(S)-1-Phenylethylamino]-4-[4-trifluoromethyl-5-aminobenzimidazol-1-yl]pyrimidine 317824-83-2P, 2-[(S)-1-Phenylethylamino]-4-[4-nitro-5-methylbenzimidazol-1-yl]pyrimidine 317824-84-3P, 2-[(S)-1-Phenylethylamino]-4-[5,6-dichlorobenzimidazol-1-yl]pyrimidine 317824-85-4P, 2-[(S)-1-Phenylethylamino]-4-[5-trifluoromethylbenzimidazol-1-yl]pyrimidine 317824-86-5P, 2-[(S)-1-Phenylethylamino]-4-[5-(4-formylaminophenoxy)benzimidazol-1-yl]pyrimidine 317824-87-6P, 2-[(S)-1-Phenylethylamino]-4-[5-chloro-6-methylbenzimidazol-1-yl]pyrimidine 317824-88-7P, 2-[(S)-1-Phenylethylamino]-4-[5,6-methylenedioxybenzimidazol-1-yl]pyrimidine 317824-89-8P, 2-[(S)-1-Phenylethylamino]-4-[5-aminosulfonylbenzimidazol-1-yl]pyrimidine 317824-90-1P, 2-[(S)-1-Phenylethylamino]-4-[5,6-di(methoxycarbonyl)benzimidazol-1-yl]pyrimidine 317824-91-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2-methoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-92-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-methoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-93-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-methylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-94-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-methylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-95-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-chlorobenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-96-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-chlorobenzoyl)aminobenzimidazol-1-yl]pyrimidine 317824-97-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(propanoyl)aminobenzimidazol-1-yl]pyrimidine 317824-99-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(butanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-01-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,4-dimethylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-02-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,4-dimethylbenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-03-9P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,4-methylenedioxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-04-0P

azol-1-yl]pyrimidine 317825-04-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(picolinoyl)aminobenzimidazol-1-yl]pyrimidine 317825-05-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(benzofuran-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-06-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-N,N-dimethylaminobenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-07-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-N,N-dimethylaminobenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-08-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-butenoylamino)benzimidazol-1-yl]pyrimidine 317825-09-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,4-dimethoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-10-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,4-dimethoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-11-9P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,3-dimethoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-12-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,5-dimethoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-13-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,5-dimethoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-14-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,6-dimethoxybenzoyl)aminobenzimidazol-1-yl]pyrimidine 317825-15-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((E)-3-phenyl-2-propenoyl)aminobenzimidazol-1-yl]pyrimidine 317825-16-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2-methylpropanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-17-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(pentanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-18-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-methylbutanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-19-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(pivaloyl)aminobenzimidazol-1-yl]pyrimidine 317825-20-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(trifluoroacetyl)aminobenzimidazol-1-yl]pyrimidine 317825-21-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(cyclohexanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-22-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(cyclopentanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-23-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-N,N-diethylaminopropanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-24-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(N,N-dimethylaminoacetyl)aminobenzimidazol-1-yl]pyrimidine 317825-25-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-N,N-dimethylaminobutanoyl)aminobenzimidazol-1-yl]pyrimidine 317825-28-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(benzimidazol-5-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-29-9P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(indol-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-30-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(imidazol-4-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-31-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((S)-2-pyrrolidon-5-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-32-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((R)-2-pyrrolidon-5-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-33-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((S)-1-methylpyrrolidin-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-39-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((R)-pyrrolidin-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-40-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((S)-piperidin-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-41-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((R)-piperidin-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-42-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((R)-3-hydroxypyrrolidin-5-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-43-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((S)-pyrrolidin-2-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-45-9P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(piperidin-4-oyl)aminobenzimidazol-yl]pyrimidine 317825-46-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(piperidin-3-oyl)aminobenzimidazol-1-yl]pyrimidine 317825-47-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(4-methoxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-48-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-methoxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-49-3P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2-methoxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-50-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,4-methylenedioxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-51-7P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,4-dimethoxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-52-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,4-dimethoxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-53-9P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,3-dimethoxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-54-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3,5-dimethoxybenzyl)aminobenzimidazol-1-

yl]pyrimidine 317825-56-2P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,6-dimethoxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-57-3P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,5-dimethoxybenzyl)aminobenzimidazol-1-yl]pyrimidine 317825-58-4P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(2-methylpropyl)aminobenzimidazol-1-yl]pyrimidine 317825-59-5P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(1-pentyl)aminobenzimidazol-1-yl]pyrimidine 317825-60-8P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(3-methylbutyl)aminobenzimidazol-1-yl]pyrimidine 317825-61-9P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,2-dimethyl-1-propyl)aminobenzimidazol-1-yl]pyrimidine 317825-62-0P, 2-[(S)-1-Phenylethylamino]-4-[5-N-(cyclohexylmethyl)aminobenzimidazol-1-yl]pyrimidine 317825-63-1P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(2,2-dimethyl-3-N,N-dimethylaminopropyl)aminobenzimidazol-1-yl]pyrimidine 317825-66-4P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-((1-benzyloxycarbonylpiperidin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-67-5P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-((1-benzyloxycarbonylpiperidin-3-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-68-6P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-((4-benzyloxycarbonylmorpholin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-73-3P
 , 2-[(S)-1-Phenylethylamino]-4-[5-N-((pyrrolidin-3-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-75-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((piperidin-3-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-76-6P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-((morpholin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-80-2P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-((piperazin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-82-4P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-((tert-butyloxycarbonyl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-83-5P, 2-[(S)-1-Phenylethylamino]-4-[5-N-methyl-N-((1-methylpyrrolidin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-84-6P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-methyl-N-((4-methylmorpholin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-87-9P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-methyl-N-((pyrrolidin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-95-9P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-methyl-N-((1-methyl-4-phenylpiperidin-4-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317825-99-3P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(2-aminoethyl)aminobenzimidazol-1-yl]pyrimidine 317826-07-6P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-((R)-piperidin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine 317826-08-7P,
 2-[(S)-1-Phenylethylamino]-4-[5-(1,3-diazabicyclo[3.3.0]oct-3-yl)benzimidazol-1-yl]pyrimidine 317826-09-8P,
 2-[(S)-1-Phenylethylamino]-4-[6-(1,3-diazabicyclo[3.3.0]oct-3-yl)benzimidazol-1-yl]pyrimidine 317826-11-2P,
 2-[(S)-1-Phenylethylamino]-4-[5-(1,3-diazabicyclo[3.3.0]octan-2-on-3-yl)benzimidazol-1-yl]pyrimidine 317826-12-3P,
 2-[(S)-1-Phenylethylamino]-4-[5-(1,3-diazabicyclo[4.3.0]nonan-2-on-3-yl)benzimidazol-1-yl]pyrimidine 317826-13-4P,
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 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-phenylcarbamoyl)aminobenzimidazol-1-yl]pyrimidine 317826-17-8P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-methylcarbamoyl)aminobenzimidazol-1-yl]pyrimidine 317826-18-9P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-ethylcarbamoyl)aminobenzimidazol-1-yl]pyrimidine 317826-19-0P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-propylcarbamoyl)aminobenzimidazol-1-yl]pyrimidine 317826-20-3P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-((1-methylethyl)carbamoyl)amino)benzimidazol-1-yl]pyrimidine 317826-21-4P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-butylcarbamoyl)aminobenzimidazol-1-yl]pyrimidine 317826-22-5P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-(1,1-dimethylethyl)carbamoyl)aminobenzimidazol-1-yl]pyrimidine 317826-23-6P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-hexylcarbamoyl)aminobenzimidazol-1-yl]pyrimidine 317826-24-7P,
 2-[(S)-1-Phenylethylamino]-4-[5-N-(N-cyclohexylcarbamoyl)aminobenzimidazol-1-yl]pyrimidine 317826-25-8P,
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

IT 53123-88-9, Rapamycin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in combination with pyrimidine derivs. for therapy of protein tyrosine kinase-associated disorders)

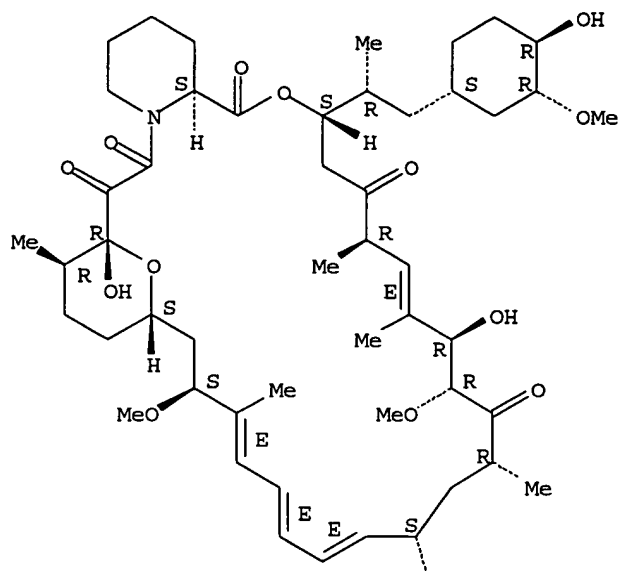
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CN Rapamycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

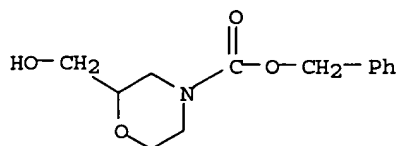
PAGE 1-A



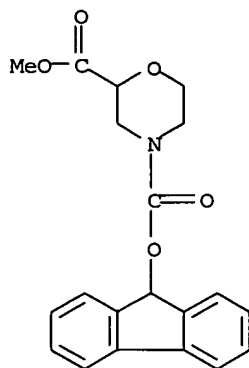
PAGE 2-A

Me

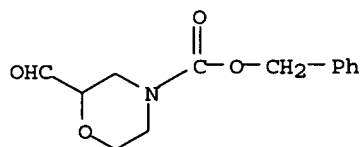
IT 135782-20-6P, 4-Benzoyloxycarbonyl-2-hydroxymethylmorpholine
 317829-95-1P 317829-97-3P, 4-Benzoyloxycarbonylmorpholine-
 2-carboxaldehyde
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of pyrimidine derivs. as Src-family protein
 tyrosine kinase inhibitor compds.)
 RN 135782-20-6 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 2-(hydroxymethyl)-, phenylmethyl ester (9CI)
 (CA INDEX NAME)



RN 317829-95-1 HCAPLUS
 CN 2,4-Morpholinedicarboxylic acid, 4-(9H-fluoren-9-yl) 2-methyl ester (9CI)
 (CA INDEX NAME)

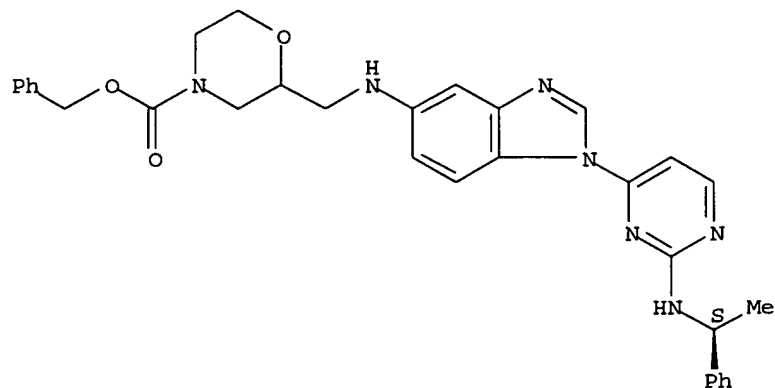


RN 317829-97-3 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 2-formyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT 317825-68-6P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((4-benzyloxycarbonylmorpholin-2-yl)methyl)aminobenzimidazol-1-yl]pyrimidine
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)
 RN 317825-68-6 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 2-[[[1-[2-[[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]amino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 15 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 2000:15173 HCAPLUS

DN 132:64526
 TI Preparation of amino acid derivatives as N type calcium channel inhibitors
 IN Seko, Takuya; Kato, Masashi
 PA Ono Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 237 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2000000470	A1	20000106	1999WO-JP03409	19990625 <--
	W: AU, BR, CA, CN, HU, JP, KR, MX, NO, NZ, RU, TR, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA---2336162	AA	20000106	1999CA-2336162	19990625 <--
	AU---9945315	A1	20000117	1999AU-0045315	19990625 <--
	AU---759488	B2	20030417		
	EP---1090912	A1	20010411	1999EP-0928205	19990625 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	TR-200100298	T2	20010621	TR 2001-200100298	19990625 <--
	BR---9911515	A	20020122	1999BR-0011515	19990625 <--
	RU---2211830	C2	20030910	2000RU-0132729	19990625 <--
	NZ---508757	A	20040227	1999NZ-0508757	19990625 <--
	JP---3620644	B2	20050216	2000JP-0557231	19990625 <--
	ZA2000007415	A	20020402	2000ZA-0007415	20001212 <--
	NO2000006646	A	20010226	2000NO-0006646	20001222 <--
	US---6605608	B1	20030812	2000US-0720433	20001222 <--
	US2003232806	A1	20031218	2003US-0429793	20030506 <--
	JP2005068152	A2	20050317	2004JP-0252307	20040831 <--
PRAI	1998JP-0195125	A	19980626	<--	
	2000JP-0557231	A3	19990625	<--	
	1999WO-JP03409	W	19990625	<--	
	2000US-0720433	A3	20001222	<--	
OS	MARPAT 132:64526				
AB	The title compds. R1ANR2CH(DER3)COJR4 [R1 = alkyl, etc.; A = CO, etc.; R2 = H, (un)substituted alkyl; D = alkylene, etc.; E = OCO, etc.; R3 = heterocyclic ring, etc.; J = O, etc.; R4 = alkyl, etc.] are prepared The title compds. are useful as preventives and/or remedies for brain infarction, transient ischemic attack, cerebrospinal failure following heart operation, spinal vascular failure, stress hypertension, neurosis, epilepsy, asthma, frequent urination, etc., or analgesics. In an in vitro test (using cells) for N type calcium channel inhibiting activity, (2R)-N-(1-benzylpiperidin-4-yl)-3-cyclohexylmethylthio-2-((4R)-3-tert-butoxycarbonylthiazolidin-4-ylcarbonylamino)propanamide at 3 µM gave 95% inhibition of calcium inflow. Formulations containing the title compds. are given.				
IC	ICM C07C-0323/60				
	ICS C07D-0417/12; C07D-0409/12; C07D-0211/58; C07D-0211/26; C07D-0277/06; C07D-0207/14; C07D-0295/18; C07D-0295/12; C07D-0213/74; C07K-0005/06; A61K-0031/445; A61K-0031/425; A61K-0031/535; A61K-0031/495; A61K-0031/165				
CC	34-2 (Amino Acids, Peptides, and Proteins)				
	Section cross-reference(s): 1, 27, 28, 63				
IT	Analgesics				
	Antiasthmatics				
	Anticonvulsants				
	Antihypertensives				
	Ischemia				
	(preparation and effect of amino acid derivs. with N type calcium channel inhibiting activity)				
IT	253306-08-0P	253306-09-1P	253306-10-4P	253306-11-5P	253306-12-6P
	253306-13-7P	253306-14-8P	253306-15-9P	253306-16-0P	253306-17-1P
	253306-18-2P	253306-19-3P	253306-20-6P	253306-21-7P	253306-22-8P
	253306-23-9P	253306-24-0P	253306-25-1P	253306-26-2P	253306-27-3P
	253306-28-4P	253306-29-5P	253306-30-8P	253306-31-9P	253306-32-0P

253306-33-1P 253306-34-2P 253306-35-3P 253306-36-4P 253306-37-5P
 253306-38-6P 253306-39-7P 253306-40-0P 253306-41-1P 253306-42-2P
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 253307-47-0P 253307-48-1P 253307-49-2P 253307-50-5P 253307-51-6P
 253307-52-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid derivs. as N type calcium channel inhibitors)

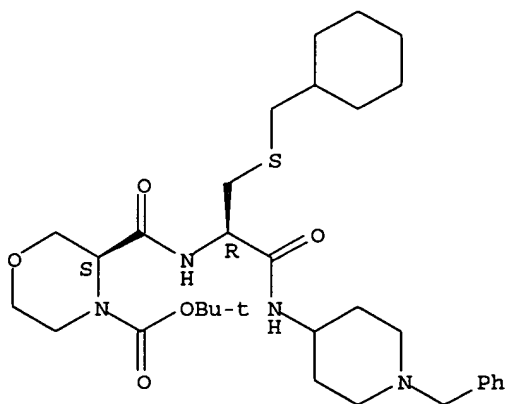
IT 253307-25-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid derivs. as N type calcium channel inhibitors)

RN 253307-25-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[[[(1R)-1-[[[(cyclohexylmethyl)thio]methyl]-2-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]amino]ethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 16 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

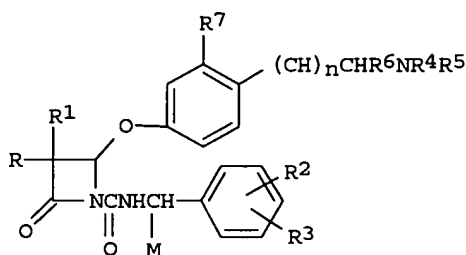
AN 1999:582647 HCAPLUS

DN 131:214124

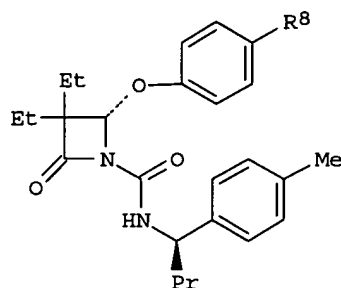
TI Substituted azetidinones as anti-inflammatory and antidegenerative agents

IN Doherty, James; Dorn, Conrad; Durette, Philippe; Finke, Paul; Maccoss, Malcolm; Mills, Sander; Shah, Shrenik; Sahoo, Soumya; Hagmann, William; Polo, Scott
 PA Merck and Co., Inc., USA
 SO U.S., 42 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---5952321	A	19990914	1996US-0764775	19961212 <--
PRAI	1996US-0764775		19961212	<--	
OS	MARPAT 131:214124				
GI					



I



II

AB New substituted azetidinones I [R = alkyl; R1 = alkyl, alkoxyalkyl; M = H, alkyl, hydroxyalkyl, haloalkyl, alkenyl, alkoxyalkyl; R2, R3 = H, alkyl, halo, CO2H, alkoxy, Ph, alkylcarbonyl, dialkylamino; or R2R3 = OCH2O, OCH:CH; R4 = H, alkyl, alkoxyalkyl, cyclopropyl; R5 = H, alkyl, alkoxyalkyl, various substituted alkyls; or NR4R5 = (un)substituted piperidino, piperazino, (thio)morpholino, pyrrolidino, pyrrolo, imidazolo; R6 = H, alkyl, alkoxyalkyl; or R5R6 = atoms to form (un)saturated monocyclic heterocyclic ring; R7 = H, halo, alkyl, OH, alkoxy; n = 0-5], which have been found to be potent elastase inhibitors and thereby useful as anti-inflammatory and antidegenerative agents, are described. For example, the azetidinone derivative II (R8 = CO2H) [preparation from racemic 3,3-diethyl-4-acetoxiazetidin-2-one given] underwent reduction by BH3.SMe2 (84%) to give II (R8 = CH2OH), which underwent bromination by Br2 and PPh3 in THF to give II (R8 = CH2Br). The latter, without isolation, reacted with MeOCH2CH2NH2 and Et3N to give 55% II (R8 = CH2NEtCH2CH2OMe) (III). III inhibited the proteolytic activity of human neutrophil elastase in vitro, with Kobs/[I] = 565,000 mol⁻¹·sec⁻¹. Approx. 130 I are described, with elastase inhibition data for most compds.

IC ICM C07D-0205/08
 ICS A61K-0031/395
 INCL 514210000

CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1, 7

IT Antitumor agents

(leukemia, preparation of substituted azetidinones as elastase inhibitors)

IT 161280-26-8P 161280-27-9P 161280-28-0P 161280-29-1P 161280-30-4P
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 161345-62-6P 161345-63-7P 161345-64-8P 161345-65-9P 161345-66-0P
 161345-67-1P 161345-68-2P 161345-69-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted azetidinones as antiinflammatories)

IT 161280-63-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

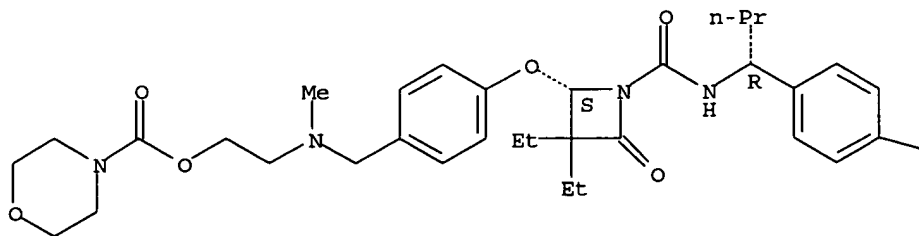
(preparation of substituted azetidinones as antiinflammatories)

RN 161280-63-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[[4-[[[(2S)-3,3-diethyl-1-[[[(1R)-1-(4-methylphenyl)butyl]amino]carbonyl]-4-oxo-2-azetidinyl]oxy]phenyl]methyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



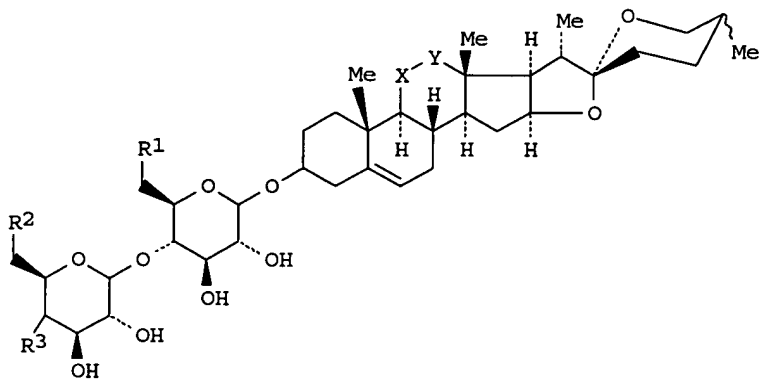
PAGE 1-B

Me

RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 17 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:518285 HCAPLUS
DN 131:144789
TI Preparation of steroidal glycosides as hypocholesterolemic and
antiatherosclerosis agents
IN Deninno, Michael Paul
PA Pfizer Inc., USA
SO U.S., 34 pp., Cont. of U.S. Ser. No. 652,478.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---5939398	A	19990817	1998US-0009037	19980120 <--
PRAI	1996US-0652478	A1	19960618	<--	
OS	MARPAT 131:144789				
GI					



I

AB This invention relates to certain steroidal glycosides useful as hypocholesterolemic agents and antiatherosclerosis agents and certain protected intermediates useful in the preparation of said steroidal glycosides. The title compds. [I; X = CO, (R)- or (S)-CH(OH); Y = CO, CH₂, (R)- or (S)-CH(OH); R₁ - R₃ = H, OH, halo, NH₂, N₃, C₁-6 alkoxy-C₁-6 alkoxy, Z-R₄; wherein Z = NHCO, O₂C, CO₂, NR₅, NHCONR₅, OCSNR₅; R₄ = each (un)substituted aryl, aryl-C₁-6 alkyl, C₂-4 alkenyl, C₁-6 alkyl, C₃-7 cycloalkyl, or C₃-7 cycloalkyl-C₁-6 alkyl; wherein R₅ = H, C₁-4 alkyl; NR₅ and R₄ which is a covalent bond are taken together to form pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl, or morpholinyl each optionally substituted on the C atom with C₁-4 alkoxy-carbonyl], useful for the treatment of hypercholesterolemia and atherosclerosis, are prepared

Thus, (3 β ,5 α ,25R)-3-[[4''-(2-fluorophenylcarbamoyl)- β -D-cellobiosyl]oxy]spirostan-11-one was prepared for the treatment of hypercholesterolemia and atherosclerosis. However, an effective dosage is in the range of 0.005 to 20 mg/kg/day, preferably 0.01 to 5 mg/kg/day, most preferably 0.01 to 1 mg/kg/day. For an average 70 kg human, this would amount to 0.00035 to 1.4 g/day, preferably 0.0007 to 0.35 g/day, most preferably 0.0007 to 0.07 g/day. In one mode of administration the compds. of this invention are taken with meals.

IC ICM A61K-0031/705

ICS C07J-0071/00

INCL 514026000

CC 33-4 (Carbohydrates)

Section cross-reference(s): 1, 32, 63

IT **Antiartherosclerotics**

Anticholesteremic agents

(preparation of steroidal glycosides as hypocholesterolemic and antiatherosclerotic agents)

IT	150332-34-6P	156590-64-6P	157187-66-1P	171660-12-1P	171660-13-2P
	171660-14-3P	171660-15-4P	171660-16-5P	171660-17-6P	171660-18-7P
	171660-19-8P	171660-20-1P	171660-21-2P	171660-22-3P	171660-23-4P
	171660-24-5P	171660-25-6P	171660-26-7P	171660-27-8P	171660-28-9P
	171660-29-0P	171660-30-3P	171660-32-5P	171660-33-6P	171660-34-7P
	171660-35-8P	171660-36-9P	171660-37-0P	171660-38-1P	171660-39-2P
	171660-40-5P	171660-41-6P	171660-42-7P	171660-43-8P	
	171660-44-9P	171660-45-0P	171660-46-1P	171660-47-2P	171660-48-3P
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	171661-41-9P	171661-43-1P	171661-49-7P	171661-50-0P	171661-52-2P
	171661-53-3P	192331-53-6P	235085-68-4P	235085-69-5P	235085-70-8P
	235085-71-9P	235085-72-0P	235085-73-1P	235085-75-3P	235085-76-4P
	235085-79-7P	235085-80-0P	235085-81-1P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of steroidal glycosides as hypocholesterolemic and antiatherosclerotic agents)

IT 171660-42-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

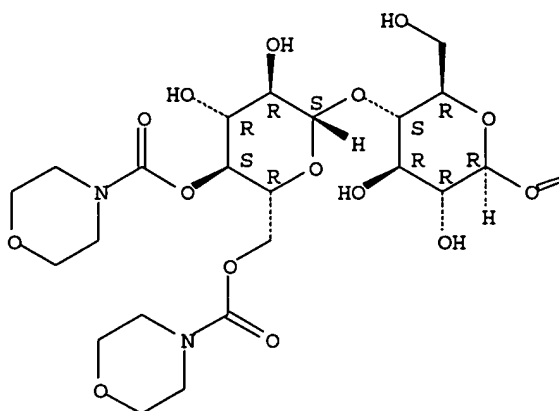
(preparation of steroidal glycosides as hypocholesterolemic and antiatherosclerotic agents)

RN 171660-42-7 HCAPLUS

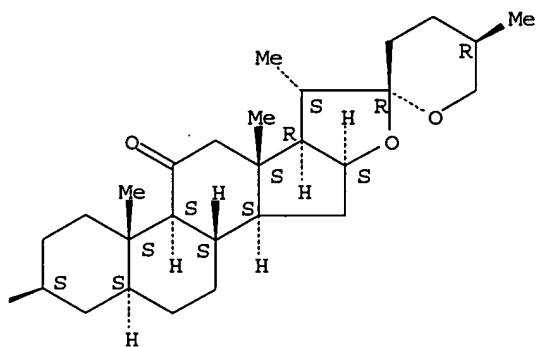
CN Spirostan-11-one, 3-[[4-O-[4,6-bis-O-(4-morpholinylcarbonyl)- β -D-glucopyranosyl]- β -D-glucopyranosyl]oxy]-, (3 β ,5 α ,25R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 18 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:468088 HCAPLUS
DN 131:116239
TI Preparation of morpholineacetates as GABAA antagonists
IN Kuo, Shen-chun; Blythin, David J.; Kreutner, William
PA Schering Corp., USA
SO U.S., 27 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---5929236	A	19990727	1995US-0525795	19950922 <--
	WO---9422843	A1	19941013	1994WO-US02803	19940323 <--
	W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI 1993US-0038584 B2 19930326 <--
 1994WO-US02803 W 19940323 <--

OS MARPAT 131:116239

AB RZCHR3R4 [I; R = H, (phenyl)alkyl, alkoxycarbonyl, etc.; R3 = H or
 (hydroxy)alkyl; R4 = CO2H, alkoxycarbonyl, CONH2, P(O)(OH)2, etc.; Z =
 (un)substituted (thio)morpholine-4,2-diyl] were prepared Thus, HOCH2CMe2NH2
 was N-alkylated by BrCH2CH:CHCO2Et and the product cyclized to give Et
 5,5-dimethylmorpholine-2-acetate. Data for biol. activity of I were
 given.

IC ICM C07D-0417/00
 ICS C07D-0413/00

INCL 544060000

CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

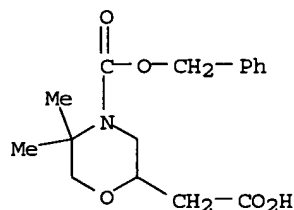
IT **Epilepsy**
 (petit mal, treatment; preparation of morpholineacetates as GABAA
 antagonists)

IT 160415-03-2P 160415-06-5P 160415-07-6P 160415-08-7P
 160415-09-8P 160415-10-1P 160415-12-3P 160415-13-4P
 160415-14-5P 160415-15-6P 160415-16-7P 160415-19-0P 160415-20-3P
 160415-21-4P 160415-22-5P 160415-23-6P 160415-24-7P 160415-25-8P
 160415-26-9P 160415-27-0P 160415-28-1P 160415-29-2P 160415-33-8P
 160415-34-9P 160415-36-1P 160415-37-2P 160415-38-3P 160415-39-4P
 160415-40-7P 160415-41-8P 160415-42-9P 160415-43-0P
 160415-44-1P 160415-45-2P 160415-46-3P 160415-47-4P 160415-50-9P
 160415-51-0P 160415-52-1P 160415-53-2P 160415-54-3P 160415-55-4P
 160415-56-5P 160415-57-6P 160415-58-7P 160415-59-8P 160415-60-1P
 160415-61-2P 160415-62-3P 160415-63-4P 160415-64-5P 180863-27-8P,
 2-Morpholineacetic acid 180863-28-9P 180863-32-5P 232261-39-1P
 232261-42-6P 232261-43-7P 232261-44-8P 232261-45-9P 232261-46-0P
 232261-47-1P 232261-49-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
 use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of morpholineacetates as GABAA antagonists)

IT 160415-06-5P 160415-09-8P 160415-10-1P
 160415-40-7P 160415-41-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
 use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of morpholineacetates as GABAA antagonists)

RN 160415-06-5 HCAPLUS

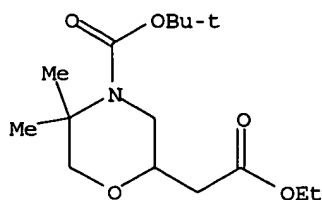
CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]- (9CI)
 (CA INDEX NAME)



RN 160415-09-8 HCAPLUS

CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-,
 ethyl ester, (+)- (9CI) (CA INDEX NAME)

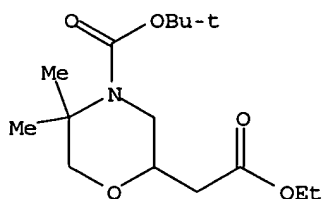
Rotation (+).



RN 160415-10-1 HCAPLUS

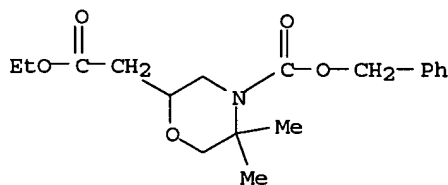
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester, (-)-(9CI) (CA INDEX NAME)

Rotation (-).



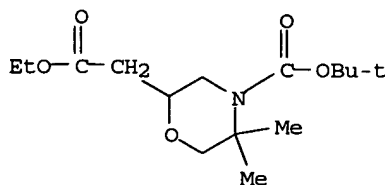
RN 160415-40-7 HCAPLUS

CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 160415-41-8 HCAPLUS

CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 19 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:804132 HCAPLUS

DN 130:33009

TI A method of treating cancer using an antineoplastic agent-prenyl-protein transferase inhibitor combination, and compound preparation

IN Rosen, Neal; Sepp-lorenzino, Laura; Moasser, Mark M.; Oliff, Allen I.; Gibbs, Jackson B.; Kohl, Nancy; Graham, Samuel L.; Prendergast, George C.

PA Merck & Co., Inc., USA; Sloan-Kettering Institute for Cancer Research

SO PCT Int. Appl., 379 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9854966	A1	19981210	1998WO-US08646	19980604 <--
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA---2292471	AA	19981210	1998CA-2292471	19980604 <--
	AU---9877957	A1	19981221	1998AU-0077957	19980604 <--
	EP---986302	A1	20000322	1998EP-0926029	19980604 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP2002503249	T2	20020129	1999JP-0502409	19980604 <--
	US---6989383	B1	20060124	2000US-0445054	20000327 <--
	US2005137207	A1	20050623	2005US-0059084	20050215 <--
PRAI	1997US-048736P	P	19970605	<--	
	1998GB-0001231	A	19980121	<--	
	1998WO-US08646	W	19980604	<--	
	2000US-0445054	A3	20000327	<--	
AB	Methods are provided for treating cancer using a combination of a compound which is an antineoplastic agent and a compound which is a inhibitor of prenyl-protein transferase. The methods comprise administering to a mammal, either sequentially in any order or simultaneously, amts. of ≥ 2 therapeutic agents selected from a compound which is an antineoplastic agent and a compound which is an inhibitor or prenyl-protein transferase. The invention also relates to methods of preparing such compns.				
IC	ICM A01N-0043/50				
	ICS A01N-0043/60; A61K-0031/415; A61K-0031/495				
CC	1-6 (Pharmacology)				
	Section cross-reference(s): 8, 34, 63				
IT	Alkylating agents, biological				
	Antitumor agents				
	Cell cycle				
	Drug delivery systems				
	Drug interactions				
	(antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compound preparation)				
IT	50-07-7, Mitomycin C 50-18-0, Cyclophosphamide 50-44-2, 6-Mercaptopurine 51-21-8, 5-Fluorouracil 52-24-4, Thiotepa 54-62-6, Aminopterin 57-22-7, Vincristine 59-05-2, Methotrexate 64-86-8, Colchicine 91-18-9D, Pteridine, derivs. 147-94-4, Cytosine arabinoside 148-82-3, Melphalan 518-28-5, Podophyllotoxin 528-74-5, Dichloromethotrexate 645-05-6, Hexamethylmelamine 671-16-9, Procarbazine 801-52-5, Porfiromycin 865-21-4, Vinblastine 1404-00-8, Mitomycin 2410-93-7, Methopterin 2998-57-4, Estramustine 3778-73-2, Ifosfamide 4342-03-4, Dacarbazine 4375-07-9, Epipodophyllotoxin 7440-06-4D, Platinum, coordination complexes, biological studies 7689-03-4, Camptothecin 9015-68-3, L-Asparaginase 10540-29-1, Tamoxifen 11056-06-7, Bleomycin 13311-84-7, Flutamide 15228-71-4, Leurosidine 15663-27-1, Cisplatin 20830-81-3, Daunorubicin 23214-92-8, Doxorubicin 23360-92-1, Leurosine 29767-20-2, Teniposide 33069-62-4, Paclitaxel 33419-42-0, Etoposide 39472-31-6, Carminomycin 41575-94-4, Carboplatin 52128-35-5, Trimetrexate 53643-48-4, Vindesine 53714-56-0, Leuprolide 65271-80-9, Mitoxantrone 80576-83-6, Edatrexate 90357-06-5, Bicalutamide 95058-81-4, Gemcitabine 100286-90-6, CPT-11 114977-28-5, Docetaxel 117091-64-2, Etoposide phosphate 123948-87-8, Topotecan 127943-53-7, Discodermolide 152044-53-6, Epothilone A 152044-54-7, Epothilone B				

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183499-63-0 183499-66-3 183502-17-2 183502-22-9 183502-24-1
183502-26-3 183502-27-4 183626-63-3 183626-80-4 185908-53-6
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186692-73-9, Desoxyepothilone A 187268-05-9 187268-05-9D, disulfides
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197847-84-0 197847-87-3 197913-74-9 197913-75-0 197913-76-1
197913-77-2 197913-80-7 197913-81-8 197958-21-7 197958-22-8
198084-07-0 198084-08-1 198084-09-2 198133-90-3 198133-91-4
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198205-47-9 198205-48-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compound preparation)

IT 160141-19-5P 160141-20-8P 160141-21-9P 160141-22-0P
160141-23-1P 160141-24-2P 160141-25-3P 160141-26-4P 160141-74-2P
160141-75-3P 160141-76-4P 160141-77-5P 160141-78-6P 160141-79-7P
210037-29-9P 210037-30-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; antineoplastic agent-prenyl-protein transferase inhibitor combination for treating cancer, and compound preparation)

IT 148-82-3, Melphalan 671-16-9, Procarbazine
865-21-4, Vinblastine 1404-00-8, Mitomycin
3778-73-2, Ifosfamide 10540-29-1, Tamoxifen
11056-06-7, Bleomycin 20830-81-3, Daunorubicin
23214-92-8, Doxorubicin 29767-20-2, Teniposide
33069-62-4, Paclitaxel 90357-06-5, Bicalutamide
100286-90-6, CPT-11

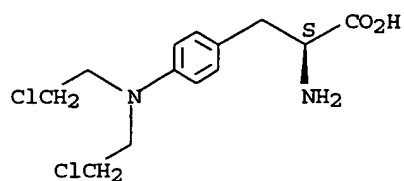
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antineoplastic agent-prenyl-protein transferase inhibitor combination
for treating cancer, and compound preparation)

RN 148-82-3 HCAPLUS

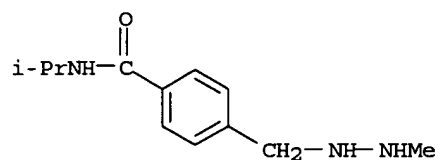
CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 671-16-9 HCAPLUS

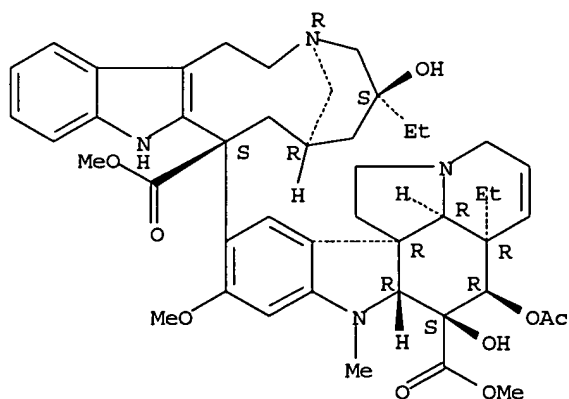
CN Benzamide, N-(1-methylethyl)-4-[(2-methylhydrazino)methyl]- (9CI) (CA INDEX NAME)



RN 865-21-4 HCAPLUS

CN Vincalukoblastine (6CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



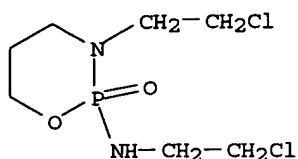
RN 1404-00-8 HCAPLUS

CN Mitomycin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

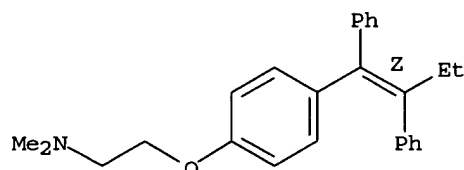
RN 3778-73-2 HCAPLUS

CN 2H-1,3,2-Oxazaphosphorin-2-amine, N,3-bis(2-chloroethyl)tetrahydro-,
2-oxide (9CI) (CA INDEX NAME)



RN 10540-29-1 HCAPLUS
 CN Ethanamine, 2-[4-[(1Z)-1,2-diphenyl-1-butenyl]phenoxy]-N,N-dimethyl- (9CI)
 (CA INDEX NAME)

Double bond geometry as shown.

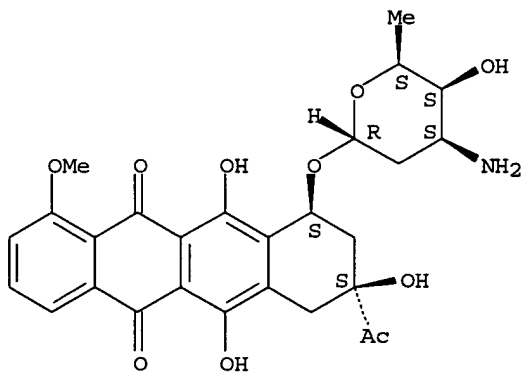


RN 11056-06-7 HCAPLUS
 CN Bleomycin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

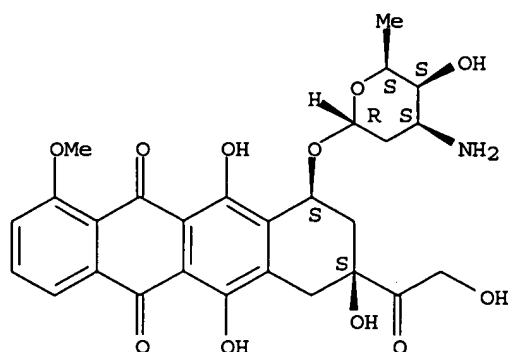
RN 20830-81-3 HCAPLUS
 CN 5,12-Naphthacenedione, 8-acetyl-10-[(3-amino-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23214-92-8 HCAPLUS
 CN 5,12-Naphthacenedione, 10-[(3-amino-2,3,6-trideoxy- α -L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

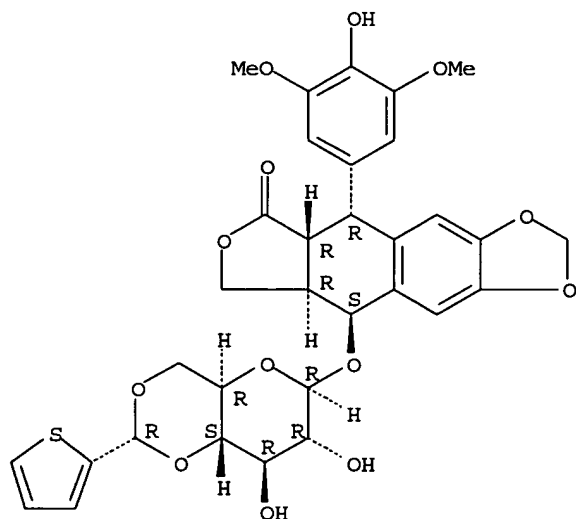
Absolute stereochemistry.



RN 29767-20-2 HCAPLUS

CN Furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-6(5aH)-one, 5,8,8a,9-tetrahydro-5-(4-hydroxy-3,5-dimethoxyphenyl)-9-[[4,6-O-[(R)-2-thienylmethylene]-β-D-glucopyranosyl]oxy]-, (5R,5aR,8aR,9S)- (9CI) (CA INDEX NAME)

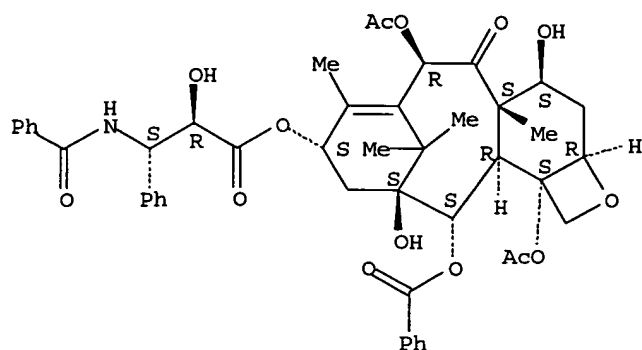
Absolute stereochemistry. Rotation (-).



RN 33069-62-4 HCAPLUS

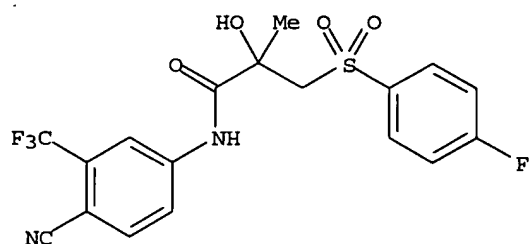
CN Benzenepropanoic acid, β-(benzoylamino)-α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-6,12b-bis(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 90357-06-5 HCAPLUS

CN Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

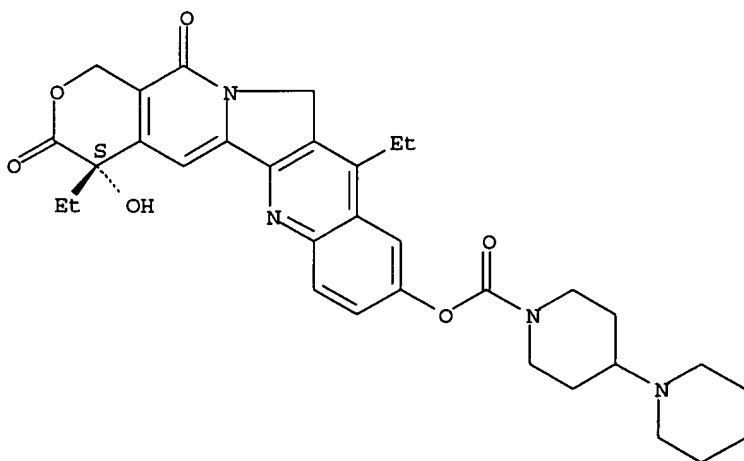


RN 100286-90-6 HCAPLUS

CN [1,4'-Bipiperidine]-1'-carboxylic acid, (4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

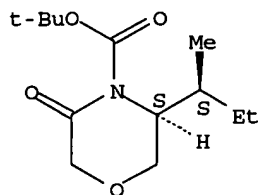


PAGE 2-A

● HCl

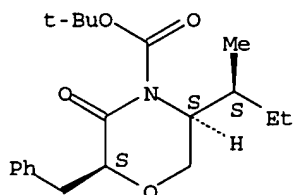
IT 160141-21-9P 160141-22-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction; antineoplastic agent-prenyl-protein transferase
 inhibitor combination for treating cancer, and compound preparation)
 RN 160141-21-9 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 3-[(1S)-1-methylpropyl]-5-oxo-,
 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160141-22-0 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 5-[(1S)-1-methylpropyl]-3-oxo-2-
 (phenylmethyl)-, 1,1-dimethylethyl ester, (2S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



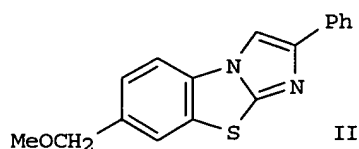
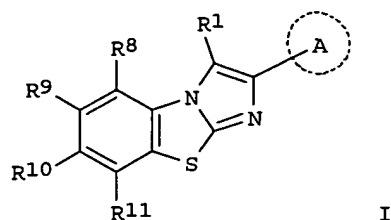
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 20 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1998:126257 HCAPLUS
 DN 128:192649
 TI Preparation of imidazo[2,1-b]benzothiazole derivatives as metabotropic
 glutamate receptor agonists
 IN Hayashibe, Satoshi; Itahana, Hirotune; Yahiro, Kiyoshi; Tsukamoto,
 Shin-Ichi; Okada, Masamichi; Yamashita, Hiroshi
 PA Yamanouchi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 148 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO---9806724	A1	19980219	1997WO-JP02748	19970807 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU---9737834	A1	19980306	1997AU-0037834	19970807 <--
PRAI 1996JP-0211278	A	19960809	<--	

OS 1997WO-JP02748
GI MARPAT 128:192649

W 19970807 <--



AB Disclosed are medicinal compns. containing compds. represented by general formula [I; the ring A = an optionally substituted aryl, monocyclic heterocycle or dicyclic heterocycle group; R1 = H, halogeno, lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl or lower alkoxy; R8-R11 = H, halogeno, lower alkyl, cyano, NO2, alkoxy, Y1-OR6, Y1-O-Y2-OR6, Y1-O-Y2-SR6, Y1-O-Y2-COR6, Y1-O-Y2-CO2R6, Y1-O-Y3-CONR6R7, Y1-O-Y2-NR6R7, Y1-S-Y2-OR6, Y1-S-Y2-SR6, Y1-SO-R6, Y1-SO2-R6, Y1-SO2-OR6, heterocyclylcarbonyl, etc.; wherein Y1 = bond, alkylene; Y2 = lower alkylene; R6, R7 = H, lower alkyl, C3-8 cycloalkyl, (un)substituted aryl, phenyl-lower alkyl] or pharmaceutically acceptable salts thereof having metabotropic glutamate receptor agonism and thus being useful as remedies for various diseases which can be cured or relieved thereby, in particular, convulsion, epilepsy, pain, Parkinson's syndrome, disorders of brain blood vessel, and an after effect (sequela) of external head trauma; the use of these compds. for producing these compns.; and methods for treating the above-mentioned diseases through the administration of these compds. in effective dosages. Thus, 7-ethoxycarbonyl-2-phenylimidazo[2,1-b]benzothiazole was reduced by LiAlH4 in THF under ice-cooling for 2 h to 7-hydroxymethyl-2-phenylimidazo[2,1-b]benzothiazole which was treated with NaH in DMF and methylated by Me iodide to give the title compound (II). II in vitro inhibited 100% glutamic acid-induced elevation of Ca++ in NIH3T3 cells.

IC ICM C07D-0513/04

ICS A61K-0031/425; C07D-0513/04; C07D-0235/00; C07D-0277/00

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT Analgesics

Anticonvulsants

Glutamate agonists

Parkinson's disease

(preparation of imidazo[2,1-b]benzothiazole derivs. as metabotropic glutamate receptor agonists for treatment of diseases)

IT	203446-65-5P	203446-67-7P	203446-68-8P	203446-69-9P	203446-71-3P
	203446-74-6P	203446-75-7P	203446-76-8P	203446-77-9P	203446-78-0P
	203446-80-4P	203446-81-5P	203446-82-6P	203446-83-7P	203446-84-8P
	203446-85-9P	203446-86-0P	203446-87-1P	203446-88-2P	203446-89-3P
	203446-90-6P	203446-91-7P	203446-93-9P	203446-96-2P	203446-97-3P
	203446-98-4P	203447-01-2P	203447-02-3P	203447-03-4P	203447-04-5P
	203447-05-6P	203447-06-7P	203447-07-8P	203447-12-5P	

203447-13-6P 203447-14-7P 203447-15-8P 203447-20-5P 203447-21-6P
 203447-22-7P 203447-23-8P 203447-24-9P 203447-25-0P 203447-26-1P
 203447-29-4P 203447-30-7P 203447-31-8P 203447-32-9P 203447-33-0P
 203447-35-2P

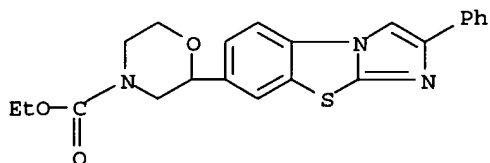
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of imidazo[2,1-b]benzothiazole derivs. as metabotropic glutamate receptor agonists for treatment of diseases)

IT 203447-05-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of imidazo[2,1-b]benzothiazole derivs. as metabotropic glutamate receptor agonists for treatment of diseases)

RN 203447-05-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-(2-phenylimidazo[2,1-b]benzothiazol-7-yl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 21 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:81044 HCAPLUS

DN 128:192655

TI Preparation of 4-phenylpyridine derivatives as endothelin antagonists

IN Sakurai, Kuniya; Niwa, Seiji; Oono, Seiji; Uchita, Hirohisa

PA Ajinomoto Co., Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 95 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP--10029979	A2	19980203	1997JP-0093782	19970411 <--
PRAI	1996JP-0091272	A	19960412	<--	
OS	MARPAT 128:192655				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I; R1 - R11 = H, halo, OH, NH2, NO2, lower alkyl, alkoxy, alkenyl, alkylamino, alkylthio, alkanoyl, hydroxyalkyl, hydroxyalkoxy, hydroxyalkenyl, haloalkyl, haloalkoxy, or haloalkenyl, aryl-lower alkoxy, aroyl; or two of R1 - R5 groups or two of R7 - R11 groups are linked to each other to form a ring; R6 = an acidic functional group; R12 = aryl, heteroaryl, heterocyclylcarbonyl, or groups listed for R1 - R5 and R7 - R11; X = CR13R14, NR15, O, S; Y = NR16, O, S, CR17:CR18;

R13 - R18 = H, lower alkyl; Z = H, OH, CO₂H, lower alkoxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, alkyl carbamoyl, aryl carbamoyl, heteroaryl carbamoyl, NH₂, alkylamino, arylamino, heteroaryl amino, acylamino, O₂CNR₁₉R₂₀, NR₂₁CONR₂₂R₂₃, O-CO₂R₂₄, NR₂₅CO₂R₂₆, OR₂₇, O₂CR₂₈; R19 - R28 = H, lower alkyl, aryl, heteroaryl; or R19 and R20, R21 and R22, R21 and R23, R22 and R23, or R25 and R26 are bonded to each other to form a ring; m = 0,1; n = 0-3) are prepared. They are useful for the treatment of hypertension, Raynaud's disease, acute kidney failure, myocardial infarction, angina pectoris, cerebral infarction, atrophy of brain blood vessels, arteriosclerosis, bronchial asthma, stomach ulcer, acute liver failure, diabetes, endotoxin shock, multi-organ failure, disseminated intravascular agglutination, and/or cyclosporin-induced kidney disorders. Thus, 3-cyano-5-(3-hydroxy-1-propenyl)-4-(4-methoxyphenyl)-6-methyl-2-(3,4-methylenedioxyphenyl)pyridine was dissolved in toluene, treated with Bu₃SnN₃, and refluxed overnight to give 60.5% the title 4-phenyl-3-tetrazolylpyridine compound (II). II in vitro inhibited the binding of [125I]endotoxin to a pig ventricular muscle membrane preparation with a -pIC₅₀ value of 8.1.

IC ICM C07D-0213/80

ICS A61K-0031/44; A61K-0031/47; A61K-0031/505; A61K-0031/535;
C07D-0405/04; C07D-0405/12; C07D-0405/14; C07D-0409/14; C07D-0413/14;
C07D-0417/14

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT Antiarteriosclerotics

Antidiabetic agents

Antihypertensives

Antiulcer agents

Multiple organ failure

Multiple organ failure

(preparation of phenylpyridine derivs. as endothelin antagonists for treatment endothelin-related diseases)

IT	203801-21-2P	203801-23-4P	203801-24-5P	203801-25-6P	203801-26-7P
	203801-27-8P	203801-28-9P	203801-29-0P	203801-30-3P	203801-31-4P
	203801-32-5P	203801-33-6P	203801-34-7P	203801-35-8P	203801-36-9P
	203801-37-0P	203801-38-1P	203801-39-2P	203801-40-5P	203801-41-6P
	203801-42-7P	203801-43-8P	203801-44-9P	203801-45-0P	203801-46-1P
	203801-47-2P	203801-48-3P	203801-49-4P	203801-50-7P	203801-51-8P
	203801-52-9P	203801-53-0P	203801-54-1P	203801-55-2P	203801-56-3P
	203801-57-4P	203801-58-5P	203801-59-6P	203801-60-9P	203801-61-0P
	203801-62-1P	203801-63-2P	203801-64-3P	203801-65-4P	203801-66-5P
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	203801-78-9P	203801-79-0P	203801-80-3P	203801-81-4P	
	203801-82-5P	203801-83-6P	203801-84-7P	203801-85-8P	203801-86-9P
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	203801-92-7P	203801-93-8P	203801-94-9P	203801-95-0P	203801-96-1P
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	203802-02-2P	203802-03-3P	203802-04-4P	203802-05-5P	203802-06-6P
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	203802-32-8P	203802-33-9P	203802-34-0P	203802-35-1P	203802-36-2P
	203802-37-3P	203802-38-4P	203802-39-5P	203802-40-8P	203802-41-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylpyridine derivs. as endothelin antagonists for treatment endothelin-related diseases)

IT 203801-78-9P

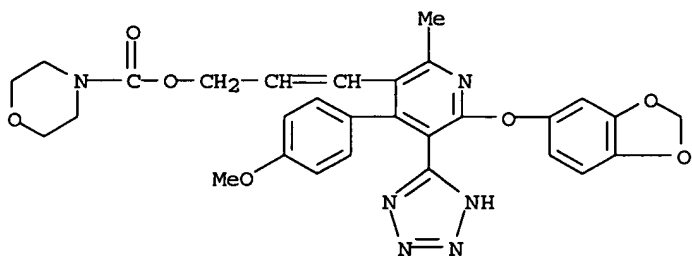
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylpyridine derivs. as endothelin antagonists for

treatment endothelin-related diseases)

RN 203801-78-9 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[6-(1,3-benzodioxol-5-yloxy)-4-(4-methoxyphenyl)-2-methyl-5-(1H-tetrazol-5-yl)-3-pyridinyl]-2-propenyl ester
(9CI) (CA INDEX NAME)



L28 ANSWER 22 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:740226 HCAPLUS

DN 128:13259

TI Novel antidiabetic compounds having hypolipidemic, antihypertensive properties, process for their preparation and pharmaceutical compositions containing them

IN Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Alla, Sekar Reddy; Ramanujam, Rajagopalan; Chakrabarti, Ranjan

PA Dr. Reddy's Research Foundation, India; Reddy-Cheminor, Inc.; Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Alla, Sekar Reddy; Ramanujam, Rajagopalan; Chakrabarti, Ranjan

SO PCT Int. Appl., 67 pp.

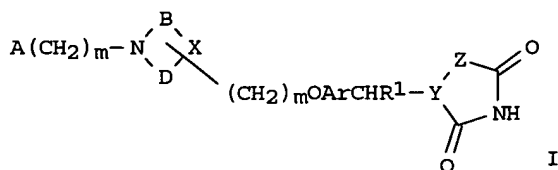
CODEN: PIXXD2

DT Patent

LA English

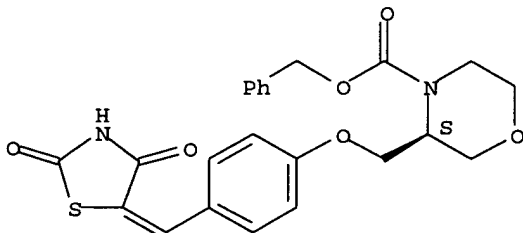
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9741119	A1	19971106	1997WO-US07417	19970502 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU---9729307	A1	19971119	1997AU-0029307	19970502 <--
	EP---981526	A1	20000301	1997EP-0923526	19970502 <--
	EP---981526	B1	20040225		
	R: CH, DE, FR, GB, LI, SE				
	JP2001518069	T2	20011009	1997JP-0539253	19970502 <--
PRAI	1997WO-US07417	W	19970502	<--	
OS	CASREACT 128:13259; MARPAT 128:13259				
GI					



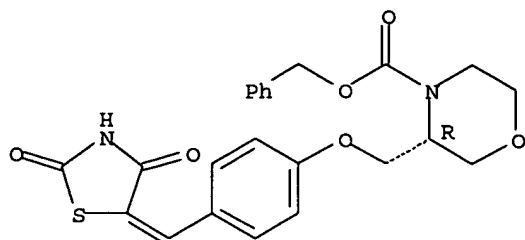
- AB New thiazolidine-2,4-dione derivs. I (A = substituted or unsubstituted, single or fused, aromatic group or substituted or unsubstituted, single or fused, heterocyclic group with 1 or more hetero atoms selected from N, O, S; W = O, S, NR₂ where R₂ = H or lower alkyl group; Q = heteroatom of O, S or NR₃ group where R₃ = H or lower alkyl or lower alkoxy group; B and D = substituted or unsubstituted hydrocarbon linking group between N and X which may be saturated or may contain 1 or more double bonds; X = CH₂ or hetero atom of N, S or O; Ar = optionally substituted divalent single or fused aromatic or optionally substituted single or fused heterocyclic group; R₁ = H, OH, alkoxy, halo or lower alkyl group or forms a bond together with adjacent group Y; Y = = N or CR₆ group where R₆ = H, OH, alkoxy, halo or lower alkyl group or R₂ forms a bond together with R₁; Z = O or S when Y = CR₂ and Z = O when Y = N; m = 1-4; n = 0-4) their tautomeric forms, their derivs., their stereoisomers, their polymorphs, their pharmaceutical acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compns. containing them are claimed. Methods for their preparation and their use as antidiabetic compds. are claimed.
- IC ICM C07D-0417/12
ICS C07D-0211/46; C07D-0211/22; A61K-0031/425
- CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
- IT Antidiabetic agents
Antihypertensives
Hypolipemic agents
(preparation of thiazolidine-2,4-dione derivs. as)
- IT 199103-09-8P 199103-11-2P 199103-13-4P 199103-14-5P 199103-15-6P
199103-16-7P 199103-18-9P 199103-28-1P 199103-29-2P
199103-30-5P 199103-31-6P 199103-32-7P
199103-33-8P 199103-34-9P 199103-35-0P
199103-36-1P 199103-37-2P 199103-38-3P 199103-39-4P
199103-40-7P 199103-79-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidine-2,4-dione derivs. as antidiabetic and antihypertensives and hypolipemic agents)
- IT 199103-30-5P 199103-31-6P 199103-32-7P
199103-33-8P 199103-34-9P 199103-35-0P
199103-36-1P 199103-79-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidine-2,4-dione derivs. as antidiabetic and antihypertensives and hypolipemic agents)
- RN 199103-30-5 HCAPLUS
- CN 4-Morpholinecarboxylic acid, 3-[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]methyl]-, phenylmethyl ester, (S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



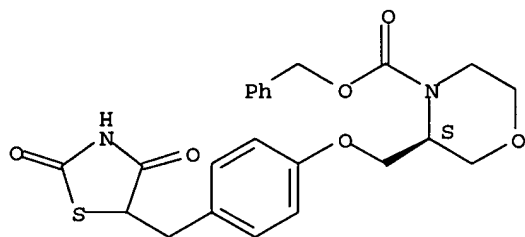
- RN 199103-31-6 HCAPLUS
- CN 4-Morpholinecarboxylic acid, 3-[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]methyl]-, phenylmethyl ester, (R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



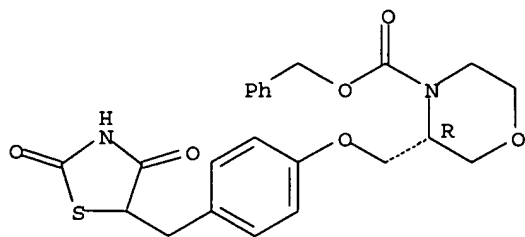
RN 199103-32-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-, phenylmethyl ester, (3S)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



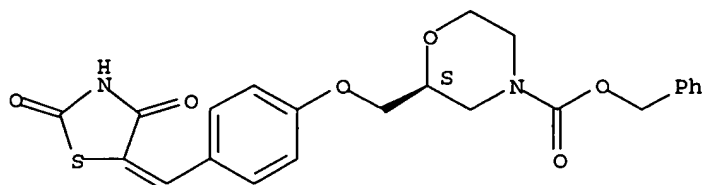
RN 199103-33-8 HCAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-, phenylmethyl ester, (3R)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 199103-34-9 HCAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]methyl]-, phenylmethyl ester, (S)-(9CI)
(CA INDEX NAME)

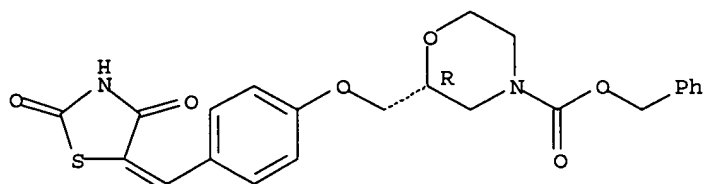
Absolute stereochemistry.
Double bond geometry unknown.



RN 199103-35-0 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]methyl]-, phenylmethyl ester, (R)- (9CI)
(CA INDEX NAME)

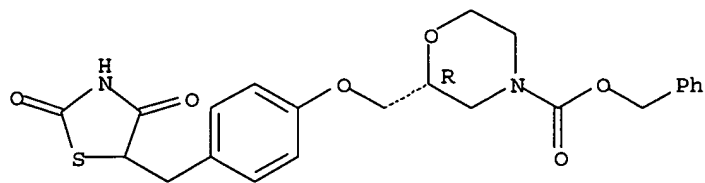
Absolute stereochemistry.
Double bond geometry unknown.



RN 199103-36-1 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-, phenylmethyl ester, (2R)- (9CI)
(CA INDEX NAME)

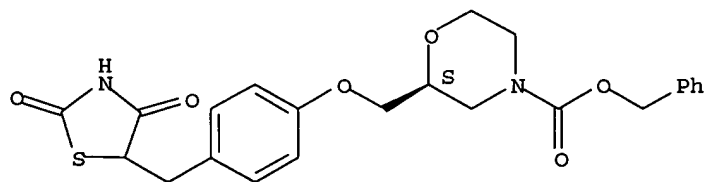
Absolute stereochemistry.



RN 199103-79-2 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-, phenylmethyl ester, (2S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 23 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:421294 HCAPLUS

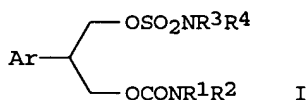
DN 127:34005

TI Novel sulfamate compounds containing an N-substituted carbamoyl group,
useful as CNS drugs, and method for preparing them

IN Choi, Yong Moon; Han, Dong Il; Kim, Hyung Cheol

PA Yukong Limited, S. Korea
 SO PCT Int. Appl., 146 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9716418	A1	19970509	1996WO-KR00190	19961101 <--
	W: CA, CN, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA---2209229	AA	19970509	1996CA-2209229	19961101 <--
	EP---801642	A1	19971022	1996EP-0935567	19961101 <--
	EP---801642	B1	20001220		
	R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE				
	CN---1173864	A	19980218	1996CN-0191830	19961101 <--
	CN---1077570	B	20020109		
	JP--10512591	T2	19981202	1997JP-0517235	19961101 <--
	ES---2154840	T3	20010416	1996ES-0935567	19961101 <--
	PT---801642	T	20010629	1996PT-0935567	19961101 <--
	GR---3035615	T3	20010629	2001GR-0400461	20010321 <--
PRAI	1995KR-0039456	A	19951102	<--	
	1996KR-0049052	A	19961028	<--	
	1996WO-KR00190	W	19961101	<--	
OS	MARPAT 127:34005				
GI					



AB Novel sulfamate compds. containing an N-substituted carbamoyl group are disclosed, specifically I [Ar = (un)substituted Ph; R1, R2, R3, R4 = H, alkyl, cycloalkyl, aryl; or NR1R2 and/or NR3R4 may form 3- to 7-membered aliphatic cyclic structure(s) with another N or O atom], including both their racemates and (R)- and (S)-optical isomers. I are useful for the prophylaxis and treatment of disorders of the central nervous system, especially nervous myalgia, epilepsy, and minimal brain dysfunction (no data). For instance, reaction of AcOCH2CHPhCH2OH with carbonyldiimidazole in CH2Cl2 and then with aqueous NH3 gave 95% AcOCH2CHPhCH2OCONH2. This compound was deacetylated with KCN in MeOH (88%), and the resultant alc. was sulfamoylated with ClSO2NH2 in pyridine (85%), to give title compound H2NSO2OCH2CHPhCH2OCONH2. A variety of substituted I, including (R)- and (S)-isomers, were prepared by this and other methods.

IC ICM C07C-0307/02

ICS A61K-0031/27

CC 25-21 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1

IT Anticonvulsants

Nervous system agents

(preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)

IT 25451-53-0P 171433-01-5P 171433-04-8P 178759-04-1P 178759-44-9P
 190589-95-8P 190589-96-9P 190589-97-0P 190589-98-1P 190589-99-2P
 190590-00-2P 190590-01-3P 190590-03-5P 190590-04-6P
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 190590-26-2P 190590-27-3P 190590-28-4P 190590-29-5P
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 190590-53-5P 190590-54-6P 190590-55-7P 190590-56-8P
 190590-57-9P 190590-58-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)

IT 190590-09-1P 190590-10-4P 190590-11-5P 190590-12-6P 190590-13-7P
190590-14-8P 190590-15-9P 190590-18-2P 190590-31-9P
190590-32-0P 190590-33-1P 190590-34-2P 190590-35-3P
190590-36-4P 190590-37-5P 190590-41-1P 190590-42-2P
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190590-59-1P

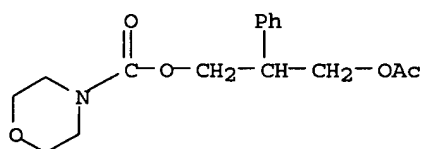
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)

IT 190590-00-2P 190590-07-9P 190590-23-9P
190590-29-5P 190590-51-3P 190590-57-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)

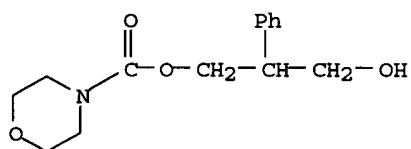
RN 190590-00-2 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-(acetyloxy)-2-phenylpropyl ester (9CI) (CA INDEX NAME)



RN 190590-07-9 HCAPLUS

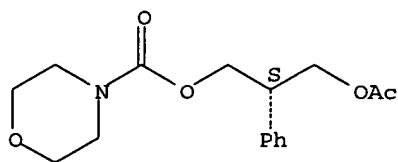
CN 4-Morpholinecarboxylic acid, 3-hydroxy-2-phenylpropyl ester (9CI) (CA INDEX NAME)



RN 190590-23-9 HCAPLUS

CN 4-Morpholinecarboxylic acid, (2S)-3-(acetyloxy)-2-phenylpropyl ester (9CI) (CA INDEX NAME)

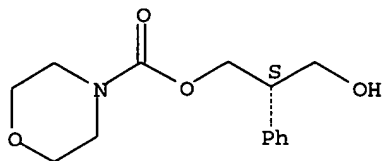
Absolute stereochemistry.



RN 190590-29-5 HCAPLUS

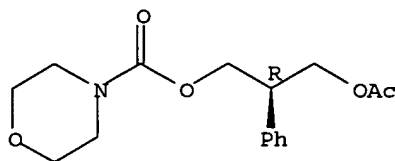
CN 4-Morpholinecarboxylic acid, (2S)-3-hydroxy-2-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



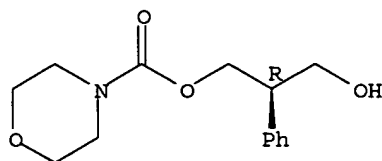
RN 190590-51-3 HCAPLUS
 CN 4-Morpholinecarboxylic acid, (2R)-3-(acetyloxy)-2-phenylpropyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

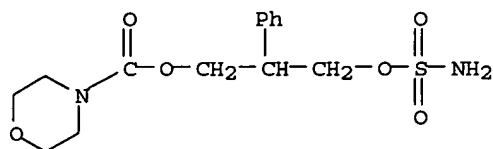


RN 190590-57-9 HCAPLUS
 CN 4-Morpholinecarboxylic acid, (2R)-3-hydroxy-2-phenylpropyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

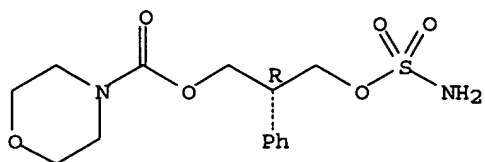


IT 190590-14-8P 190590-36-4P 190590-46-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phenylpropanediol carbamate sulfamate compds. as CNS agents)
 RN 190590-14-8 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 3-[(aminosulfonyl)oxy]-2-phenylpropyl ester (9CI) (CA INDEX NAME)



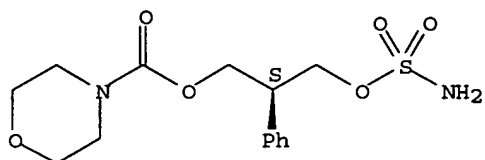
RN 190590-36-4 HCAPLUS
 CN 4-Morpholinecarboxylic acid, (2R)-3-[(aminosulfonyl)oxy]-2-phenylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 190590-46-6 HCAPLUS
 CN 4-Morpholinecarboxylic acid, (2S)-3-[(aminosulfonyl)oxy]-2-phenylpropyl ester (9CI) (CA INDEX NAME)

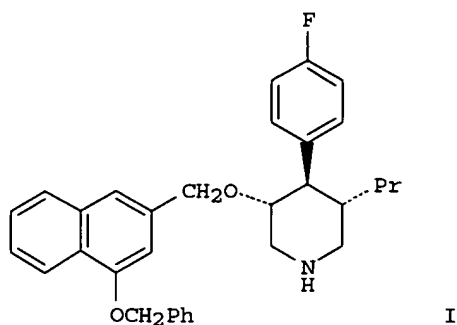
Absolute stereochemistry.



L28 ANSWER 24 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1997:307688 HCAPLUS
 DN 126:277402
 TI New 4-aryl-3-alkoxy-piperidines and -azabicyclooctanes for treating heart and kidney insufficiency
 IN Binggeli, Alfred; Breu, Volker; Bur, Daniel; Fischli, Walter; Gueller, Rolf; Hirth, Georges; Maerki, Hans-Peter; Mueller, Marcel; Oefner, Christian; Stadler, Heinz; Vieira, Eric; Wilhelm, Maurice; Wostl, Wolfgang
 PA F. Hoffmann-La Roche Ag, Switz.
 SO PCT Int. Appl., 492 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9709311	A1	19970313	1996WO-EP03803	19960829 <--
	W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, TR				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA---2230931	AA	19970313	1996CA-2230931	19960829 <--
	AU---9667432	A1	19970327	1996AU-0067432	19960829 <--
	AU---708616	B2	19990805		
	EP---863875	A1	19980916	1996EP-0927715	19960829 <--
	EP---863875	B1	20030604		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN---1202152	A	19981216	1996CN-0197674	19960829 <--
	JP---11500447	T2	19990112	1997JP-0510837	19960829 <--
	JP---3648251	B2	20050518		
	BR---9610385	A	19990706	1996BR-0010385	19960829 <--
	NZ---315677	A	20000228	1996NZ-0315677	19960829 <--
	RU---2167865	C2	20010527	1998RU-0106388	19960829 <--
	AT---242213	E	20030615	1996AT-0927715	19960829 <--
	IL---123293	A1	20030624	1996IL-0123293	19960829 <--
	CZ---292327	B6	20030917	1998CZ-0000684	19960829 <--
	PT---863875	T	20031031	1996PT-0927715	19960829 <--
	ES---2201192	T3	20040316	1996ES-0927715	19960829 <--
	ZA---9607424	A	19970307	1996ZA-0007424	19960902 <--
	TW---474932	B	20020201	TW 1996-85110684	19960902 <--
	NO---9800954	A	19980428	1998NO-0000954	19980305 <--
	NO---310069	B1	20010514		
	US---6051712	A	20000418	1999US-0255185	19990222 <--

US---6150526 A 20001121 1999US-0456283 19991207 <--
 PRAI 1995CH-0002548 A 19950907 <--
 1996CH-0001876 A 19960726 <--
 1996WO-EP03803 W 19960829 <--
 1996US-0711339 A3 19960906 <--
 1999US-0255185 A1 19990222 <--
 OS MARPAT 126:277402
 GI



AB New piperidine and azabicyclooctane derivs. (> 1000 compds.) are renin inhibitors for treatment of high blood pressure, heart and kidney insufficiency. Thus, the piperidine derivative I was prepared from 1-benzyl-3-propyl-4-piperidinone by reaction with 4-FC6H4Br, followed by 1-benzyl-3-propyl-4-piperidinone and deblocking. I had a renin-inhibiting IC50 of 0.317 μ M.

IC ICM C07D-0211/42
 ICS C07D-0401/12; C07D-0401/04; C07D-0401/06; A61K-0031/445

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 7

IT **Antihypertensives**
 (preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

IT 188863-51-6P 188863-52-7P 188863-53-8P 188863-54-9P 188863-55-0P
 188863-56-1P 188863-57-2P 188863-58-3P 188863-59-4P 188863-60-7P
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188868-37-3P	188868-40-8P	188868-43-1P	188868-46-4P	188868-48-6P
188868-50-0P	188868-51-1P			

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

IT

188860-53-9P	188860-70-0P	188860-71-1P	188860-72-2P	188860-74-4P
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188866-76-4P	188866-89-9P	188866-93-5P	188866-96-8P	188867-05-2P
188867-06-3P	188867-10-9P	188867-12-1P	188867-15-4P	188867-18-7P
188867-21-2P	188867-24-5P	188867-26-7P	188867-43-8P	188867-50-7P
188867-52-9P	188867-59-6P	188867-60-9P	188867-61-0P	188867-62-1P
188867-64-3P	188867-65-4P	188867-66-5P	188867-67-6P	188867-68-7P
188867-84-7P	188867-85-8P	188867-86-9P	188867-87-0P	188867-88-1P
188868-01-1P	188868-02-2P	188868-03-3P	188868-17-9P	188868-18-0P

188868-19-1P 188868-25-9P 188868-38-4P 188868-42-0P 188868-83-9P
 188868-93-1P 188868-95-3P 188869-08-1P 188869-09-2P 188869-10-5P
 188869-12-7P 188869-14-9P 188869-16-1P 188869-22-9P 188869-23-0P
 188869-35-4P 188869-47-8P 188869-54-7P 188869-61-6P 188869-63-8P
 188869-69-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

IT 188866-41-3P

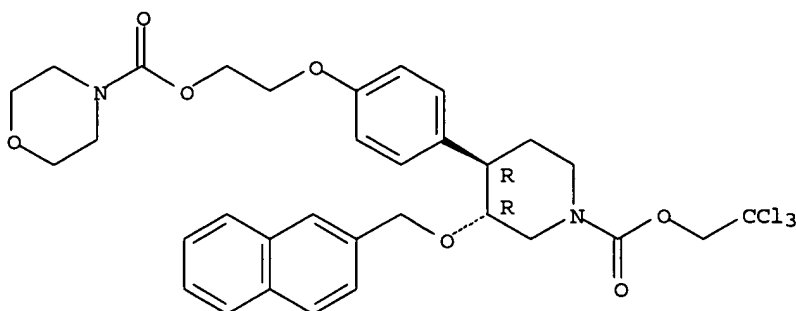
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

RN 188866-41-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[4-[(3R,4R)-3-(2-naphthalenylmethoxy)-1-
 [(2,2,2-trichloroethoxy)carbonyl]-4-piperidinyl]phenoxy]ethyl ester, rel-
 (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 188866-37-7P

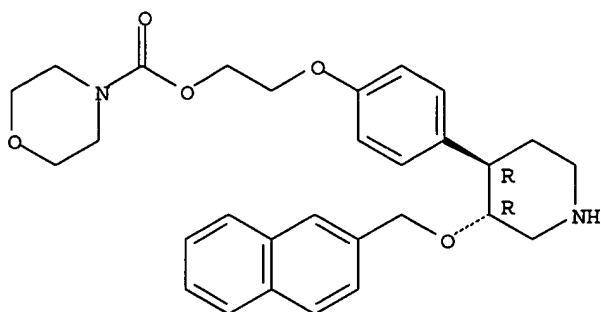
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL
 (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine and azabicyclooctane derivs. as renin inhibitors)

RN 188866-37-7 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[4-[(3R,4R)-3-(2-naphthalenylmethoxy)-4-
 piperidinyl]phenoxy]ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L28 ANSWER 25 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:102093 HCAPLUS

DN 126:181346

TI Pyrazoloquinolines for antitumor agents, and preparation thereof

IN Wolin, Ronald L.; Afonso, Adriano

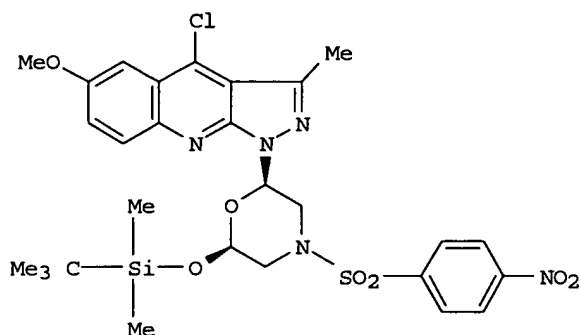
PA USA

SO U.S., 14 pp.

CODEN: USXXAM

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---5597821	A	19970128	1994US-0356826	19941215 <--
PRAI	1994US-0356826		19941215	<--	
OS	MARPAT 126:181346				
GI					



I

AB Pyrazoloquinolines (Markush included) are disclosed which are useful as antitumor agents. Preparation of compds. of the invention is described, as are inhibitory activities in a Ras p21 assay. The most preferred compound of the invention is I, which has an IC₅₀ of 5 μ M in the Ras p21 assay and good chemical stability.

IC ICM A61K-0031/535
ICS C07D-0487/04

INCL 514232800

CC 1-6 (Pharmacology)

Section cross-reference(s): 28

IT Antitumor agents

(pyrazoloquinolines for antitumor agents, and preparation thereof)

IT 175543-51-8 175543-52-9 175543-53-0 175543-54-1 175543-79-0
175543-80-3 175543-82-5 175543-84-7 175543-85-8 175543-86-9
187473-18-3 187473-19-4 187473-20-7 187473-21-8 187473-22-9
187473-23-0 187473-24-1 187473-25-2 187473-26-3 187473-27-4
187473-28-5 187473-29-6 187473-30-9 187473-31-0 187473-32-1
187473-33-2 187473-34-3 187473-35-4 187473-36-5 187473-37-6
187473-38-7 187473-39-8 187473-40-1 187473-41-2 187473-42-3
187473-43-4 187473-44-5 187473-45-6 187473-46-7 187473-48-9
187473-49-0 187473-50-3 187473-51-4
187473-52-5 187473-53-6 187473-54-7 187473-55-8
187473-57-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrazoloquinolines for antitumor agents, and preparation thereof)

IT 187473-50-3 187473-51-4 187473-52-5
187473-53-6

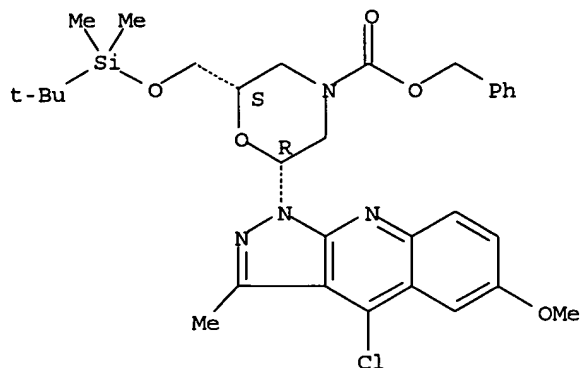
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrazoloquinolines for antitumor agents, and preparation thereof)

RN 187473-50-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-(4-chloro-6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-1-yl)-6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-, phenylmethyl ester, (2R-cis)- (9CI) (CA INDEX NAME)

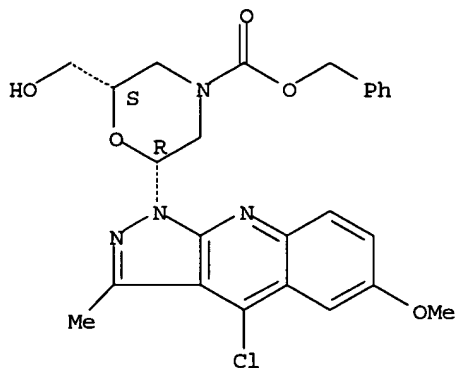
Absolute stereochemistry.



RN 187473-51-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-(4-chloro-6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-1-yl)-6-(hydroxymethyl)-, phenylmethyl ester, (2R-cis)- (9CI) (CA INDEX NAME)

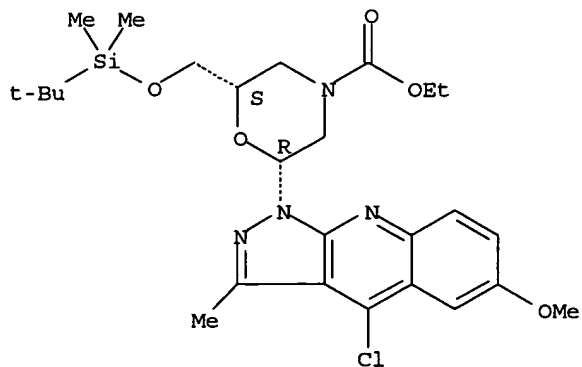
Absolute stereochemistry.



RN 187473-52-5 HCAPLUS

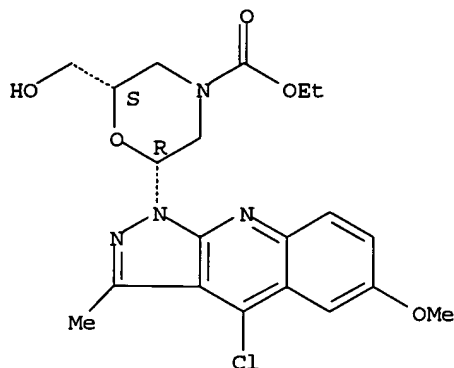
CN 4-Morpholinecarboxylic acid, 2-(4-chloro-6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-1-yl)-6-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-, ethyl ester, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



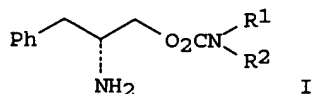
RN 187473-53-6 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 2-(4-chloro-6-methoxy-3-methyl-1H-pyrazolo[3,4-b]quinolin-1-yl)-6-(hydroxymethyl)-, ethyl ester, (2R-cis)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 26 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1996:605524 HCAPLUS
 DN 125:248474
 TI Preparation of O-carbamoyl-D-phenylalaninol CNS agents
 IN Choi, Yong Moon; Han, Dong Il; Kim, Yong Kil
 PA Yukong Limited, S. Korea
 SO PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9624577	A1	19960815	1996WO-KR00018	19960208 <--
	W: CA, CN, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	KR---173862	B1	19990401	1995KR-0002543	19950211 <--
	CA---2212326	AA	19960815	1996CA-2212326	19960208 <--
	EP---815074	A1	19980107	1996EP-0901562	19960208 <--
	EP---815074	B1	20011004		
	R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE				
	CN---1173863	A	19980218	1996CN-0191875	19960208 <--
	CN---1070846	B	20010912		
	JP--11501617	T2	19990209	1996JP-0524155	19960208 <--
	ES---2165485	T3	20020316	1996ES-0901562	19960208 <--
	PT---815074	T	20020328	1996PT-0901562	19960208 <--
PRAI	1995KR-0002543	A	19950211	<--	
	1996WO-KR00018	W	19960208	<--	
OS	MARPAT 125:248474				
GI					



AB 800-carbamoyl-(D)-phenylalaninols [I; R1, R2 = H, C1-8 alkyl,

(un)substituted cycloaliph. heterocyclyl; the number of C atoms in both R1 and R2 is 0-16], useful as CNS agents (no data) in the treatment of depression (no data), anxiety (no data), epilepsy (no data), etc. (no data), are prepared by the reaction of D-phenylalaninol with benzyl chloroformate, followed by carbamoylation of the protected aminoalc. with phosgene, followed by amidation of the carbonate chloride with amines R1(R2)NH. Thus, N-benzoyloxycarbonyl-D-phenylalaninol was carbamoylated with phosgene and the intermediate amidated with H2NMe, producing I (R1 = H, R2 = Me) in 78% yield.

IC ICM C07C-0271/12

ICS C07C-0269/04; C07C-0295/205

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 28

IT Analgesics

Anticonvulsants and Antiepileptics

Antidepressants

Anxiolytics

Nervous system agents

(O-carbamoyl-D-phenylalaninols)

IT 181797-75-1P 181797-77-3P 181797-78-4P 181797-79-5P 181797-82-0P

181797-84-2P 181797-87-5P 181797-89-7P 181797-91-1P

181797-92-2P 181797-93-3P 181797-94-4P 181797-95-5P 181797-96-6P

181797-97-7P 181797-98-8P 181797-99-9P 181798-00-5P

181798-01-6P 181798-02-7P 181798-03-8P 181798-04-9P 181798-05-0P

181798-06-1P 181798-07-2P 181798-08-3P 181798-09-4P

181798-10-7P 181798-11-8P 181798-12-9P 181798-13-0P

181798-14-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); USES (Uses)

(preparation of O-carbamoyl-D-phenylalaninol CNS agents)

IT 181797-89-7P 181797-99-9P 181798-10-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL

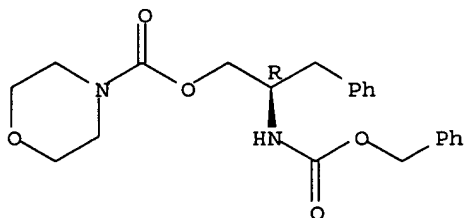
(Biological study); PREP (Preparation); USES (Uses)

(preparation of O-carbamoyl-D-phenylalaninol CNS agents)

RN 181797-89-7 HCAPLUS

CN 4-Morpholinecarboxylic acid, (2R)-3-phenyl-2-[[[(phenylmethoxy)carbonyl]amino]propyl ester (9CI) (CA INDEX NAME)

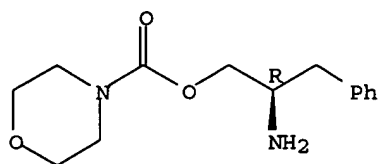
Absolute stereochemistry.



RN 181797-99-9 HCAPLUS

CN 4-Morpholinecarboxylic acid, (2R)-2-amino-3-phenylpropyl ester, monohydrochloride (9CI) (CA INDEX NAME)

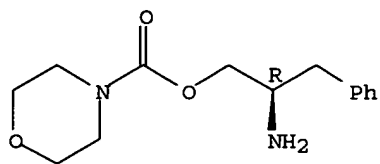
Absolute stereochemistry.



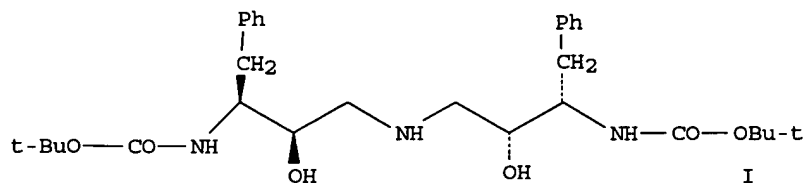
● HCl

RN 181798-10-7 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 2-amino-3-phenylpropyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 27 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1996:241879 HCAPLUS
 DN 125:157770
 TI Amino Diol HIV Protease Inhibitors. Synthesis And Structure-Activity Relationships Of P1/P1' Compounds: Correlation between Lipophilicity and Cytotoxicity
 AU Chen, Ping; Cheng, Peter T. W.; Alam, Masud; Beyer, Barbara D.; Bisacchi, Gregory S.; Dejneka, Tamara; Evans, Adelaide J.; Greytok, Jill A.; Hermsmeier, Mark A.; et al.
 CS Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
 SO Journal of Medicinal Chemistry (1996), 39(10), 1991-2007
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 GI



AB A series of novel amino diol inhibitors of HIV protease based on an amino diol (I) with structural modifications were prepared in order to reduce the cytotoxicity of I. The authors observed a high degree of correlation between the lipophilicity and the cytotoxicity of this series of inhibitors. Appropriate substitution at the para position of the Ph group of I resulted in the identification of equipotent (both against the enzyme and in cell culture) compds. which had significantly decreased cytotoxicity.
 CC 1-3 (Pharmacology)

Section cross-reference(s): 34

IT Lipophilicity

Neoplasm inhibitors

(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

IT 161302-38-1DP, derivs. 161302-40-5P 162538-18-3P 162538-24-1P
 162538-25-2P 162539-54-0P 162539-57-3P 162539-80-2P 162539-95-9P
 162540-49-0P 162540-61-6P 162540-84-3P 162540-90-1P 162540-93-4P
 162540-97-8P 162541-02-8P 162541-04-0P 162541-14-2P 175233-59-7P
 175233-60-0P 175233-61-1P 175417-50-2P 175417-51-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

IT 1615-14-1P, 1H-Imidazole-1-ethanol 3694-86-8P 4326-36-7P 16677-29-5P
 17450-34-9P 37535-57-2P 53346-03-5P 70448-03-2P 90819-30-0P

112766-18-4P 144825-44-5P 161453-37-8P 162536-42-7P 162536-45-0P
 162536-46-1P 162536-84-7P 162537-86-2P 162537-87-3P 162537-99-7P
 162538-00-3P 162538-01-4P 162538-15-0P 162538-16-1P 162538-17-2P
 162538-23-0P 162539-58-4P 162540-95-6P 162541-27-7P 162541-31-3P
 162541-35-7P 162541-58-4P 162542-02-1P 162542-03-2P 175233-62-2P
 175233-63-3P 175233-64-4P 175233-65-5P 175233-66-6P 175233-67-7P
 175233-68-8P 175233-69-9P 175233-70-2P 175233-71-3P 175233-72-4P
 175233-73-5P 175233-74-6P 175233-75-7P 175233-76-8P 175233-77-9P
 175233-78-0P 175233-79-1P 175233-80-4P 175233-81-5P 175233-82-6P
 175233-83-7P 175233-84-8P 175233-86-0P 175233-87-1P
 175233-88-2P 175233-89-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

IT 175233-61-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

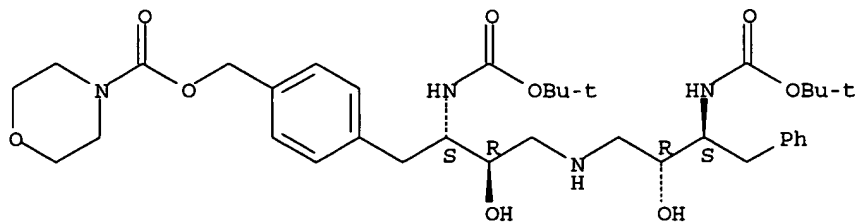
(Preparation); USES (Uses)

(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

RN 175233-61-1 HCAPLUS

CN 4-Morpholinecarboxylic acid, [4-[(2S,3R)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[[(2R,3S)-3-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-4-phenylbutyl]amino]-3-hydroxybutyl]phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 175233-84-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

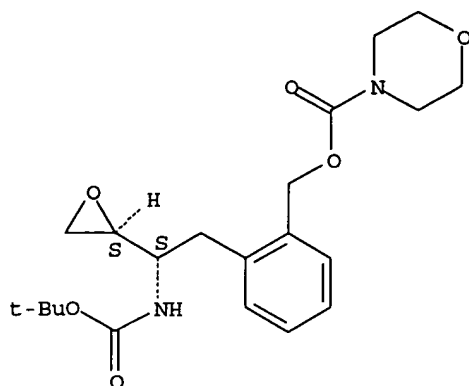
(preparation of amino diol HIV-protease inhibitors and correlation between lipophilicity and cytotoxicity)

RN 175233-84-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, [2-[2-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-

oxiranylethyl]phenyl]methyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 28 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1996:71587 HCAPLUS

DN 124:175686

TI Carbamates of rapamycin

IN Kao, Wenling; Abou-Gharbia, Magid A.; Vogel, Robert L.

PA American Home Products Corporation, USA

SO U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 160,984, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US---5480989	A	19960102	1994US-0297663	19940901 <--
	US---5302584	A	19940412	1993US-0054655	19930423 <--
	US---5530007	A	19960625	1995US-0402590	19950313 <--
	US---5559120	A	19960924	1995US-0402571	19950313 <--
	US---5508399	A	19960416	1995US-0450835	19950525 <--
	US---5530121	A	19960625	1995US-0451104	19950525 <--
PRAI	1992US-0960597	B2	19921013	<--	
	1993US-0054655	A3	19930423	<--	
	1993US-0160984	B2	19931201	<--	
	1994US-0297663	A3	19940901	<--	

OS MARPAT 124:175686

AB Rapamycin 42-carbamates with aminoalkanes and nitrogen heterocycles (>50 compds.) were prepared as immunosuppressants. Thus, rapamycin was esterified by ClCO₂C₆H₄(NO₂)-4 and this carbonate amidated with N,N-diethylethylenediamine to give rapamycin 42-(2-diethylaminoethyl)carbamate (I). I.HCl salt was evaluated for immunosuppressive activity in in vivo pinch skin graft and showed a survival time of 13.6 days at 4 mg/kg vs. controls which were 6-7 days.

IC ICM C07D-0491/06

ICS A61K-0031/395

INCL 540456000

CC 26-6 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 1

IT	156598-81-1P	156598-82-2P	156598-85-5P	156598-86-6P	156598-87-7P
	165124-23-2P	173553-99-6P	173554-00-2P	173554-02-4P	173554-03-5P
	173554-04-6P	173554-05-7P	173554-06-8P	173554-07-9P	173554-08-0P
	173554-09-1P	173554-10-4P	173554-11-5P	173554-12-6P	173554-13-7P
	173554-14-8P	173554-15-9P	173554-17-1P	173554-18-2P	173554-21-7P
	173554-22-8P	173554-23-9P	173554-24-0P	173554-25-1P	173554-26-2P
	173554-27-3P	173554-28-4P	173554-29-5P	173554-30-8P	
	173554-31-9P	173554-32-0P	173554-38-6P	173658-46-3P	173658-47-4P

173827-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of immunosuppressant carbamates of rapamycin)

IT 100-36-7, N,N-Diethylethylenediamine 102-83-0, N,N-Dibutyl-1,3-propanediamine 103-76-4, 1-Piperazineethanol 108-00-9, N,N-Dimethylethylenediamine 109-01-3 109-55-7, 3-Dimethylaminopropylamine 110-85-0, Piperazine, reactions 110-91-8, Morpholine, reactions 123-00-2, 4-(3-Aminopropyl)morpholine 2038-03-1, 4-(2-Aminoethyl)morpholine 2213-43-6, 1-Aminopiperidine 4403-71-8, 4-Aminobenzylamine 4572-03-6, 1(3-Aminopropyl)-4-methylpiperazine 5317-32-8, 1-(3-Hydroxypropyl)piperazine 7693-46-1, 4-Nitrophenyl chloroformate 26116-12-1, 2-(Aminomethyl)-1-ethylpyrrolidine 53123-88-9, Rapamycin 58226-19-0 116183-82-5 128345-57-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of immunosuppressant carbamates of rapamycin)

IT 173554-28-4P

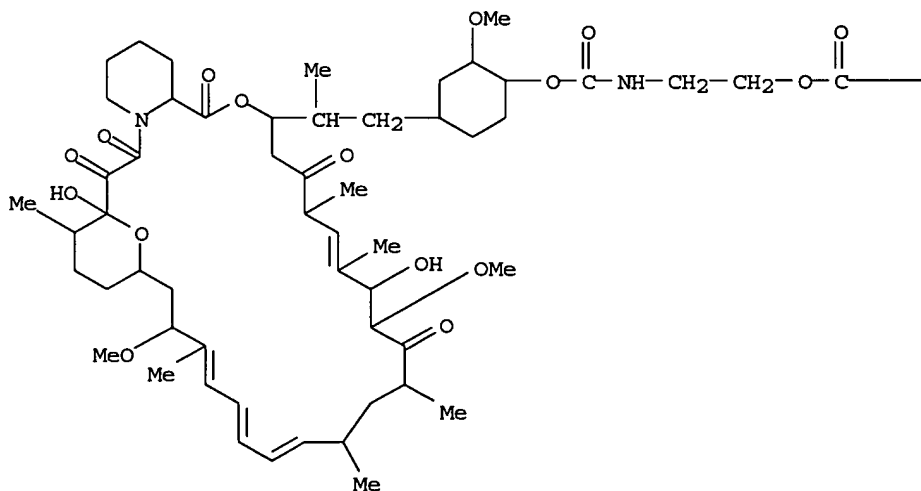
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of immunosuppressant carbamates of rapamycin)

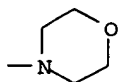
RN 173554-28-4 HCAPLUS

CN Rapamycin, 42-[[2-[(4-morpholinylcarbonyl)oxy]ethyl]carbamate] (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 53123-88-9, Rapamycin

RL: RCT (Reactant); RACT (Reactant or reagent)

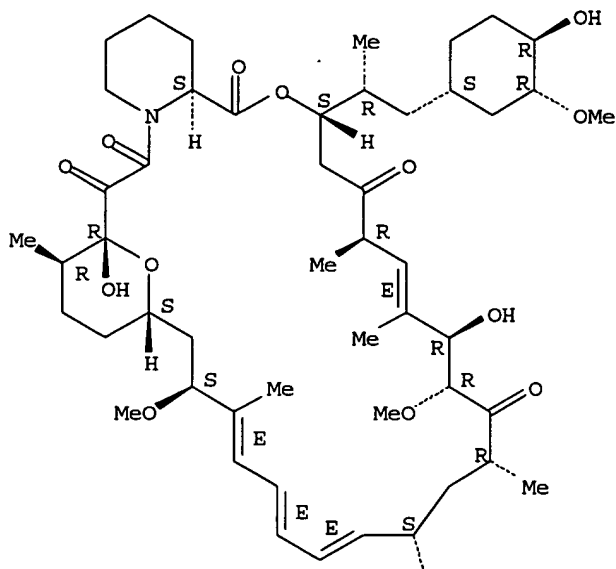
(preparation of immunosuppressant carbamates of rapamycin)

RN 53123-88-9 HCAPLUS

CN Rapamycin (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

Me

L28 ANSWER 29 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:975347 HCAPLUS

DN 124:9320

TI Preparation of steroidal glycosides as hypocholesterolemic agents and antiatherosclerosis agents

IN Deninno, Michael Paul

PA Pfizer Inc., USA

SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DT Patent

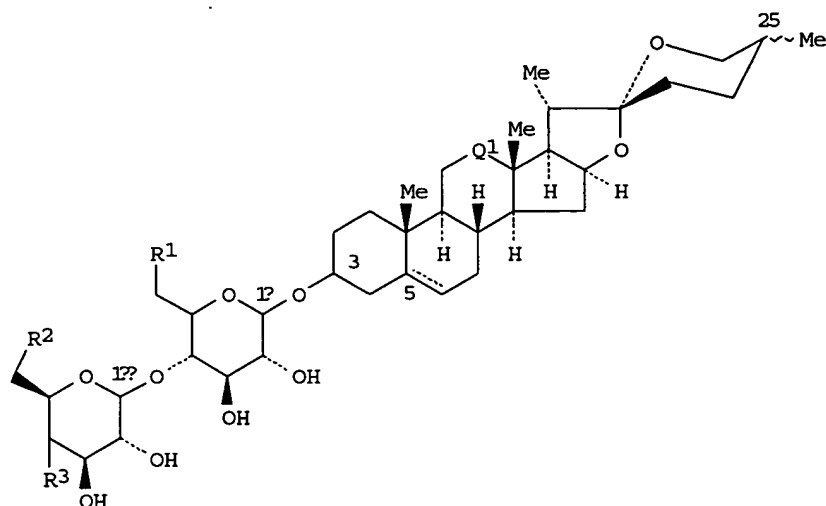
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9518144	A1	19950706	1994WO-IB00349	19941110 <--
	W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, LV, NO, NZ, PL, RO, RU, SI, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA---2180149	AA	19950706	1994CA-2180149	19941110 <--
	AU---9479484	A1	19950717	1994AU-0079484	19941110 <--
	EP---737203	A1	19961016	1994EP-0930331	19941110 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP--09500907	T2	19970128	1994JP-0517869	19941110 <--
	FI---9406106	A	19950629	1994FI-0006106	19941227 <--
	BR---9502960	A	19970923	1995BR-0002960	19950628 <--
	US---5698526	A	19971216	1996US-0652477	19960619 <--
PRAI	1993US-0174100	A	19931228	<--	

OS 1994WO-IB00349
GI MARPAT 124:9320

W 19941110 <--



I

AB The title compds. [I; Q1 = CO, CH₂, (R)- or (S)-CH(OH); R1 - R3 = H, OH, halo, NH₂, N₃, C1-6 alkoxy-C1-6 alkoxy, Z-R₄; wherein NHCO, O₂C, CO₂, NR₅, NHCONR₅, OCSNR₅; R₄ = each (un)substituted aryl, aryl-C1-6 alkyl, C2-4 alkenyl, C1-6 alkyl, C3-7 cycloalkyl, or C3-7 cycloalkyl-C1-6 alkyl; wherein R₅ = H, C1-4 alkyl; NR₅ and R₄ which is a covalent bond are taken together to form pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl, or morpholinyl each optionally substituted on the C atom with C1-4 alkoxy-carbonyl], useful for the treatment of hypercholesterolemia and atherosclerosis (no data), are prepared Thus, (3 β ,5 α ,25R)-3-[[4'-(2-fluorophenylcarbamoyl)-6'-tert-butyl-dimethylsilyl-2',2'',3',3'',6'-pentaacetyl- β -D-cellobiosyl]oxy]spirostan-12-one was stirred with KCN in MeOH for deacylation and treated with Bu₄NF in THF at room temperature for 30 min to give (3 β ,5 α ,25R)-3-[[4'-(2-fluorophenylcarbamoyl)- β -D-cellobiosyl]oxy]spirostan-12-one.

IC ICM C07J-0071/00

ICS A61K-0031/58

CC 33-4 (Carbohydrates)

Section cross-reference(s): 1

IT Antiarteriosclerotics

(antiatherosclerotics, preparation of steroidal glycosides as hypocholesterolemic agents and antiatherosclerotic agents)

IT	171267-31-5P	171267-32-6P	171267-33-7P	171267-34-8P	171267-35-9P
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	171267-41-7P	171267-42-8P	171267-43-9P	171267-44-0P	171267-45-1P
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 171268-40-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of steroidal glycosides as hypocholesterolemic agents and antiatherosclerotic agents)

IT 171268-07-8P

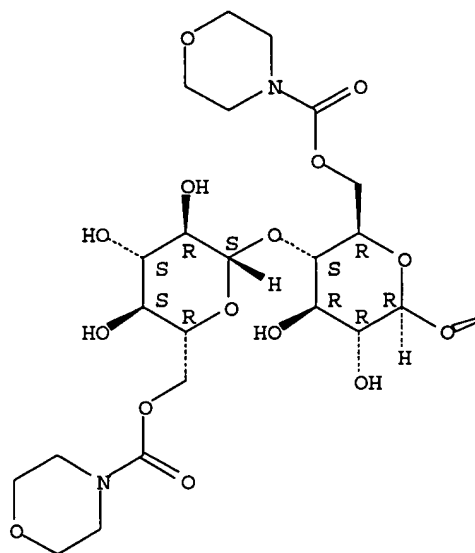
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of steroidal glycosides as hypocholesterolemic agents and antiatherosclerotic agents)

RN 171268-07-8 HCAPLUS

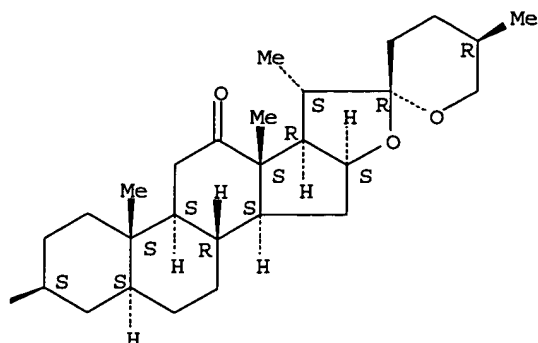
CN Spirostan-12-one, 3-[[6-O-(4-morpholinylcarbonyl)-4-O-[6-O-(4-morpholinylcarbonyl)- β -D-glucopyranosyl]- β -D-glucopyranosyl]oxy]-, (3 β ,5 α ,25R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L28 ANSWER 30 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:784968 HCAPLUS

DN 123:208783

TI Improvement of antibody-directed enzyme prodrug therapy (ADEPT)

IN Smith, Gary Keith; Blumenkopf, Todd Andrew; Cory, Michael

PA Wellcome Foundation Ltd., UK

SO PCT Int. Appl., 247 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO---9513095	A2	19950518	1994WO-GB02483	19941111 <--
	WO---9513095	A3	19950713		
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA---2176024	AA	19950518	1994CA-2176024	19941111 <--
	AU---9481488	A1	19950529	1994AU-0081488	19941111 <--
	AU---688412	B2	19980312		
	ZA---9408987	A	19960513	1994ZA-0008987	19941111 <--
	EP---728018	A1	19960828	1995EP-0900827	19941111 <--
	EP---728018	B1	20030806		
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	JP--09507834	T2	19970812	1994JP-0513695	19941111 <--
	AT---246516	E	20030815	1995AT-0900827	19941111 <--
	ES---2204935	T3	20040501	1995ES-0900827	19941111 <--
	US---6140100	A	20001031	1996US-0640906	19960509 <--
	US---6319702	B1	20011120	1999US-0395936	19990914 <--
PRAI	1993GB-0023429	A	19931112	<--	
	1994WO-GB02483	W	19941111	<--	
	1996US-0640906	A1	19960509	<--	

OS MARPAT 123:208783

AB The present invention relates to improvements in targetted enzyme prodrug therapy including antibody-directed enzyme prodrug therapy (ADEPT); it particularly relates to novel enzymes and prodrugs for use in ADEPT. Enzymes are targetted to specific tissues; prodrugs located at the site are converted into cytotoxic products. Thus, a single conjugate could generate a proportionately larger amount of cytotoxic drug at the target site (by repeated rounds of enzymatic catalysis of prodrug activation) than would occur in targetting of the prodrug itself. The enzyme used

should be a mutant capable of catalyzing the conversion of the prodrug into the active cytotoxin, and the prodrug should be refractory to endogenous catalysis by the wild-type form of the enzyme. Thus, the k_{cat}/K_m value with wild-type human carboxypeptidase A1 for N-(4-((2,4-diamino-6-pteridinyl)methyl)methylamino)benzoyl)-L-glutam-1-yl-3tert-butyl-L-phenylalanine was not measurable, but with a mutant (268 Thr→Gly) carboxypeptidase A1 the prodrug became an excellent substrate.

- IC ICM A61K-0047/48
ICS C12N-0009/64; C12N-0015/52; C12N-0015/63; C12N-0005/10
CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1, 3, 7, 28
IT Deoxyribonucleic acid sequences
Genetic vectors
Molecular cloning
Neoplasm inhibitors
Protein sequences
Saccharomyces cerevisiae
(improvement of antibody-directed enzyme prodrug therapy (ADEPT))
IT 70-78-0, 3-Iodo-L-tyrosine 75-65-0, tert-Butyl alcohol, reactions
115-11-7, reactions 128-08-5, N-Bromosuccinimide 142-29-0,
Cyclopentene 300-34-5, 3-Amino-L-tyrosine 300-39-0,
3,5-Diiodo-L-tyrosine 540-88-5, tert-Butyl acetate 949-99-5,
4-Nitro-L-phenylalanine 1075-38-3, 3-tert-Butyltoluene 1119-33-1
1833-43-8 3886-08-6 5159-41-1, 2-Iodobenzyl alcohol 5466-84-2,
4-Nitrophthalic anhydride 6384-18-5, L-Aspartic acid dimethylester
6456-74-2, Glycine-tert-butyl ester 16450-41-2, L-Glutamic acid diethyl
ester 16874-06-9, L-Glutamic acid di-tert-butyl ester 18162-48-6,
tert-Butyldimethylsilyl chloride 18731-19-6 27784-76-5, tert-Butyl
diethylphosphonoacetate 55516-54-6 66737-88-0, 3-tert-Butyl-4-
hydroxybenzoic acid 67318-11-0, Ethyl 5-amino-2-thiophenecarboxylate
71989-18-9 100516-54-9 139988-96-8 167549-41-9 167550-39-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(improvement of antibody-directed enzyme prodrug therapy (ADEPT))
IT 363-32-6P 403-24-7P, 2-Fluoro-4-nitrobenzoic acid 3523-22-6P
4652-65-7P 5908-05-4P 15126-06-4P, Methyl 4-hydroxy-3-iodobenzoate
15149-61-8P 17789-15-0P 35726-62-6P 38154-93-7P 38154-94-8P
39778-63-7P, Methyl 3-tert-butyl-4-hydroxybenzoate 40400-13-3P,
2-Iodobenzyl bromide 55943-73-2P 55943-74-3P 55943-76-5P
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 167817-57-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

IT 148-82-3DP, Melphalan, derivs.

RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(prodrugs; improvement of antibody-directed enzyme prodrug therapy (ADEPT))

IT 100516-54-9

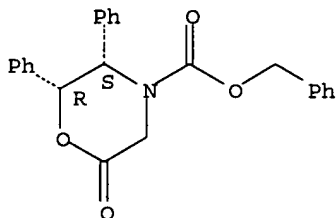
RL: RCT (Reactant); RACT (Reactant or reagent)

(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

RN 100516-54-9 HCAPLUS

CN 4-Morpholinecarboxylic acid, 6-oxo-2,3-diphenyl-, phenylmethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 167549-68-0P 167549-70-4P 167549-81-7P

167549-90-8P 167549-99-7P 167550-07-4P

167550-20-1P 167550-48-3P 167550-65-4P

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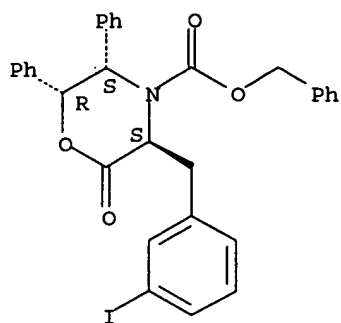
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

RN 167549-68-0 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[(3-iodophenyl)methyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, [3S-(3 α ,5 β ,6 β)]- (9CI) (CA INDEX NAME)

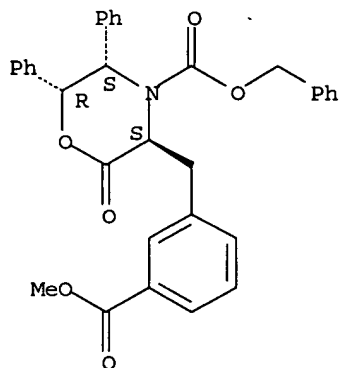
Absolute stereochemistry.



RN 167549-70-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[[3-(methoxycarbonyl)phenyl]methyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, [3S-(3α,5β,6β)]- (9CI)
(CA INDEX NAME)

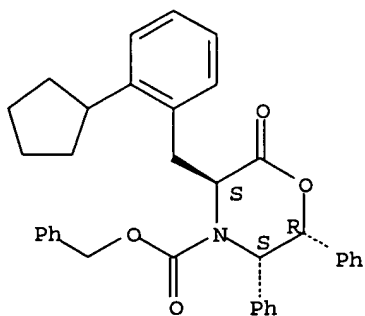
Absolute stereochemistry.



RN 167549-81-7 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[(2-cyclopentylphenyl)methyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

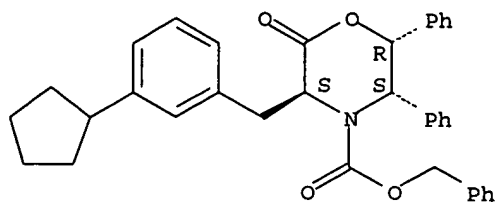
Absolute stereochemistry.



RN 167549-90-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[(3-cyclopentylphenyl)methyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

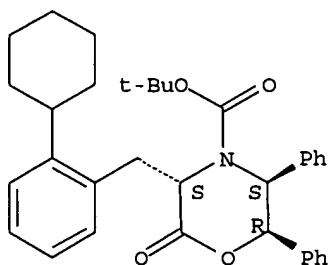
Absolute stereochemistry.



RN 167549-99-7 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[(2-cyclohexylphenyl)methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3 α ,5 β ,6 β)]- (9CI)
(CA INDEX NAME)

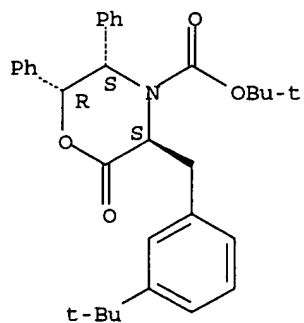
Absolute stereochemistry.



RN 167550-07-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[[3-(1,1-dimethylethyl)phenyl]methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3 α ,5 β ,6 β)]- (9CI) (CA INDEX NAME)

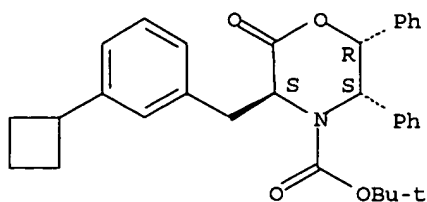
Absolute stereochemistry.



RN 167550-20-1 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[(3-cyclobutylphenyl)methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3 α ,5 β ,6 β)]- (9CI)
(CA INDEX NAME)

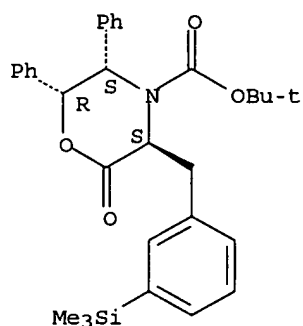
Absolute stereochemistry.



RN 167550-48-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-oxo-5,6-diphenyl-3-[[3-(trimethylsilyl)phenyl]methyl]-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

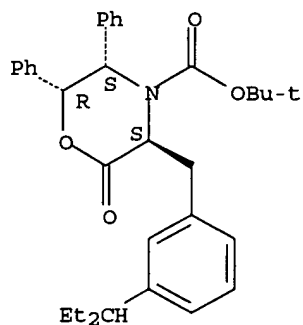
Absolute stereochemistry.



RN 167550-65-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[[3-(1-ethylpropyl)phenyl]methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

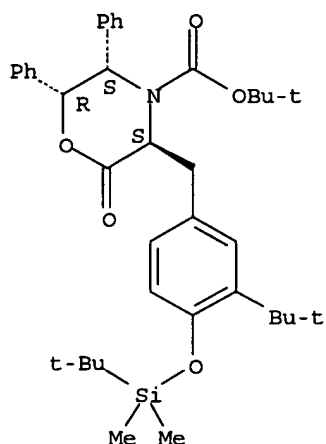
Absolute stereochemistry.



RN 167551-02-2 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[[3-(1,1-dimethylethyl)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3α,5β,6β)]- (9CI) (CA INDEX NAME)

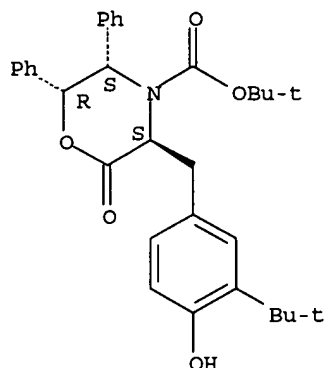
Absolute stereochemistry.



RN 167551-03-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-oxo-5,6-diphenyl-, 1,1-dimethylethyl ester, [3S-(3 α ,5 β ,6 β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



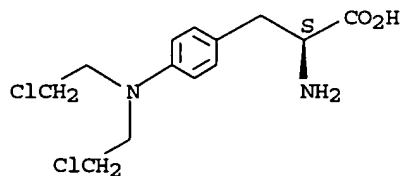
IT 148-82-3DP, Melphalan, derivs.

RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prodrugs; improvement of antibody-directed enzyme prodrug therapy (ADEPT))

RN 148-82-3 HCAPLUS

CN L-Phenylalanine, 4-[bis(2-chloroethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 31 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:780258 HCAPLUS

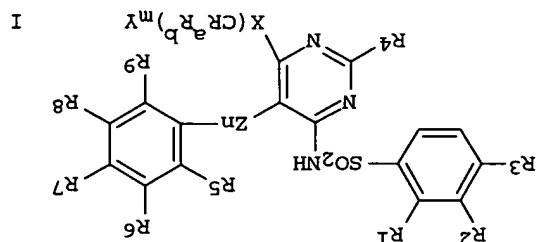
DN 123:169647
 TI Preparation of sulfonylamino pyrimidines as endothelin antagonists.
 IN Bren, Volker; Burri, Kaspar; Cassal, Hean-Marie; Clozel, Martine; Hirth, Georges; Loeffler, Bernd-Michael; Mueller, Marcel; Neidhart, Werner; Ramuz, Henri
 PA F. Hoffmann-La Roche AG, Switz.
 SO Eur. Pat. Appl., 46 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

PI EP-633259 A1 19950111 1994EP-0109257 19940616 <--
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OS GI

MARPAT 123:169647



AB Title compds. (I; R1-R3 = H, alkyl, alkoxyl, alkylthio, alkenyl, halo, CF3, hydroxyalkoxy, haloalkoxy, alkanoylalkyl, hydroxyalkyl, amino, etc.;

28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
C07D-0239/52; A61K-0031/505
ICS C07D-0405/14; C07D-0405/12; C07D-0409/14; C07D-0403/12; C07D-0413/12;
ICM C07D-0401/12
mg/kg orally in rats gave a 30% reduction in average arterial blood pressure.
methoxyphenoxyl-2,2'-bipyrimidin-4-yl]oxy]ethyl ester. The latter at 30
carbamate acid, 2-[6-(4-tert-butylphenyl)sulfonylamino]-5-(2-
bipyrimidin-4-yl]benzenesulfonamide was added to give pyridine-2-
phne; 4-tert-butyl-N-[6-(2-hydroxyethoxy)-5-(2-methoxyphenoxy)-2,2'-
= 1-3; n = 0,1), were prepared. Thus, 2-pyridinecarbonyl azide was heated in
arylcarbamoylalkyl, heterocyclalkyl, heterocyclalkyl, etc.; R11 = H, R10; m
hydroxyalkyl, carbonylalkyl, alkoxyalkyl, alkanoyloxyalkyl,
O2CNR10R11, HNOCNR10R11, O2COR10, R10 = alkyl, cycloalkyl,
alkylsulfonyl; Ra, Rb = H, alkyl, alkoxy, alkylthio; X = O, S, NH; Y =
etc.; R5-R9 = H, halo, CF3, alkyl, alkoxy, alkylthio, alkylsulfonyl,
alkylsulfonyl, aryl, arylthio, aryloxy, heterocyclalkyl, heterocyclalkyl,
alkylthio, alkylthioalkyl, hydroxyalkyl, dihydroxyalkoxy, alkylsulfonyl,
isopropylidenedioxy; R4 = H, alkyl, cycloalkyl, CF3, alkoxy, alkynylalkoxy,
R2R3, R5R6, R6R7 = butadienyl, methylenedioxy, ethylenedioxy,

IT	Antihypertensives	(preparation of sulfonylaminopyrimidines as endothelin antagonists)	167402-01-9P	167402-02-0P	167402-03-1P	167402-04-2P	167402-05-3P
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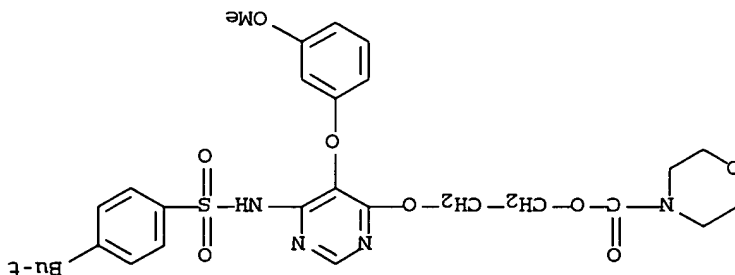
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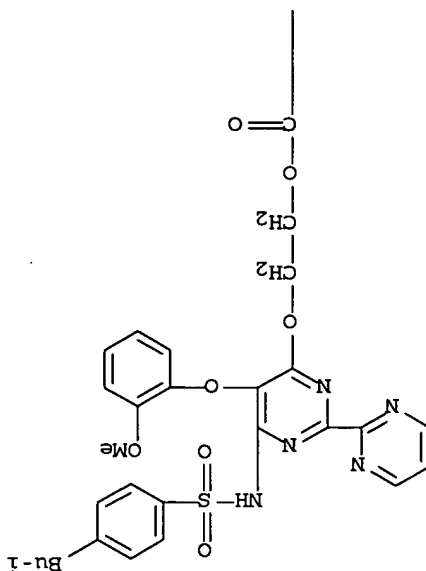
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 RL: BAC (Biological activity or effector, except adverse; BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

noble jarrell 24/08/2006

IT 167403-24-9P 167404-88-8P
 (preparation of sulfonamylpyrimidines as endothelin antagonists)
 use; BIOL (Biological study); PREP (Preparation); USBS (Uses)
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)
 (preparation of sulfonamylpyrimidines as endothelin antagonists)
 167403-24-9 HCAPLUS
 4-Morpholinecarboxylic acid, 2-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-5-(3-methoxyphenoxy)-4-pyrimidinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)

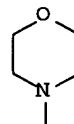


RN 167404-88-8 HCAPLUS
 4-Morpholinecarboxylic acid, 2-[[[5-(2-methoxyphenoxy)-6-[[[4-(2-methylpropyl)phenyl]sulfonyl]amino][2,2'-bipyrimidin]-4-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)



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ANSWER 32 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

Substituted azetidiones as anti-inflammatory and antidegenerative agents
 Doherly, James B.; Dorn, Conrad P.; Durete, Philippe L.; Fink, Paul E.;
 MacCoss, Malcolm; Mills, Sander G.; Shah, Shrenik K.; Sahoo, Soumya P.;
 Polo, Scott A.; Hagmann, William K.
 Merck and Co., Inc., USA
 PCT Int. Appl., 177 pp.
 CODEN: PIXXD2
 Patent
 English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO---9410143	A1	19940511	1993WO-US10269	19931026
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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EP---666846	A1	19950816	1994EP-0901205	19931026
JP--08502752	T2	19960326	1993JP-0511259	19931026
PRAI 1992US-0966799	A	19921027		
1992US-0992193	A	19921217		
1993WO-US10269	A	19921217		
OS MARPAT 122:160362	M	19931026		
GI				

AB New substituted azetidiones I [R = alkyl, R1 = alkyl, alkoxyalkyl; M = H, alkyl, hydroxyalkyl, haloalkyl, alkenyl, alkoxyalkyl; R2, R3 = H, alkyl, halo, CO2H, alkoxy, Ph, alkylcarbonyl, dialkylamino; or R2R3 = OCH2O, OCH:CH; R4 = H, alkyl, alkoxyalkyl, cyclopropyl; R5 = H, alkyl, alkoxyalkyl, various substituted alkyls; or NR4R5 = (un)substituted piperidino, piperazino, (thio)morpholino, pyrrolidino, pyrrolo, imidazo, heterocyclic ring; R7 = H, halo, alkyl, OH, alkoxy; n = 0-5], which have been found to be potent elastase inhibitors and thereby useful as anti-inflammatory and antidegenerative agents, are described. For

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example, the azetidinone derivative II (R8 = CO₂H) [preparation from racemic 3,3-diethyl-4-acetoxazetidin-2-one given] underwent reduction by BH₃.SMe₂ (84%) to give II (R8 = CH₂OH), which underwent bromination by Br₂ and PPh₃ in THF to give II (R8 = CH₂Br). The latter, without isolation, reacted with MeOCH₂CH₂NHET and Et₃N to give 55% II (R8 = CH₂NEtCH₂CH₂OME) (III). III inhibited the proteolytic activity of human neutrophil elastase in vitro, with K_{obs}/[I] = 565,000 mol⁻¹.sec⁻¹. Approx. 130 I are described, with elastase inhibition data for most compds.

ICM C07D-0205/08
ICS C07D-0227/087; C07D-0403/12; C07D-0413/12; C07D-0417/12; C07D-0417/14; A61K-0031/395;

26-5 (Biomolecules and Their Synthetic Analogs)
A61K-0031/44; A61K-0031/445; A61K-0031/415; A61K-0031/495
A61K-0031/40; A61K-0031/55; A61K-0031/54; A61K-0031/535;

Section cross-reference(s): 1, 7

IT Inflammation inhibitors

IT Neoplasia inhibitors

IT Neoplasia inhibitors (preparation of substituted azetidinones as elastase inhibitors)

IT (Leukemia, preparation of substituted azetidinones as elastase inhibitors)

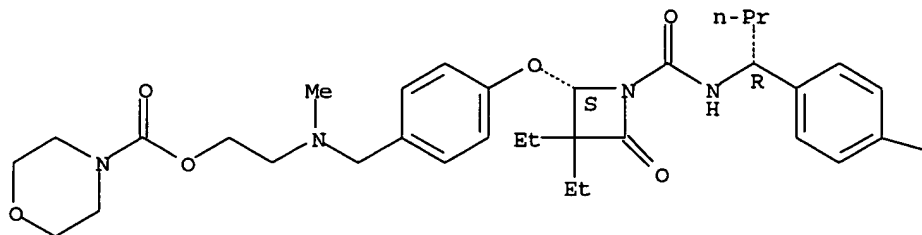
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IT 161280-63-3P
RL: BAC (Biological activity or effector, except adverse); BSV (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted azetidinones as antiinflammatories)

CN 161280-63-3 HCAPLUS
4-Morpholinecarboxylic acid, 2-[[[4-[[[2S)-3,3-diethyl-1-[[[(1R)-1-(4-methylphenyl)butyl]amino]carbonyl]-4-oxo-2-azetidinyl]oxy]phenyl]methyl]methylamino]ethyl ester (9CI) (CA INDEX NAME)
Absolute stereochemistry.

PAGE 1-A

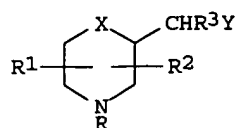


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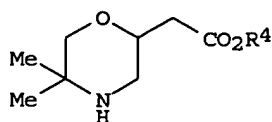
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L28 ANSWER 33 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1995:308736 HCAPLUS
 DN 122:81384
 TI Preparation of 2-(thio)morpholineacetates and analogs as GABAB antagonists
 IN Kuo, Shen-Chun; Blythin, David J.; Kreutner, William
 PA Schering Corp., USA
 SO PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

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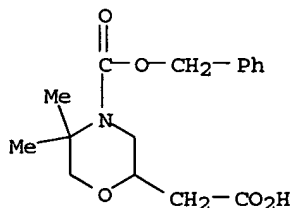


I



II

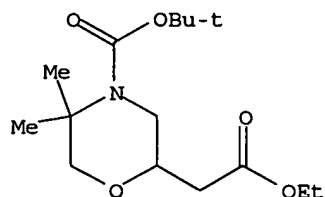
- AB Title compds. [I; R = H, (cyclo)alkyl, alkanoyl, alkoxycarbonyl, phenylalkyl, etc.; R1 = (hydroxy)alkyl; R1 may = H with restrictions on R, X, and Y; R2,R3 = H, (hydroxy)alkyl; R1R2 = atoms to form a ring; X = O or S; Y = CO2H, alkoxycarbonyl, SO3H, P(O)(OH)2, 1H-tetrazol-5-yl, etc.] were prepared. Thus, H2NCMe2CH2OH was N-alkylated by BrCH2CH:CHCO2Et and the product cyclized to give title compound II (R4 = Et) which was N-protected and subjected to enantiomer separation to give, after saponification and deprotection, (+)-II.HCl (R4 = H). The latter gave complete control of γ -butyrolactone-induced seizures in rats at 3.0mg/kg (route of administration not given).
- IC ICM C07D-0265/30
ICS C07D-0279/12; C07D-0265/34; C07F-0009/6533; A61K-0031/535; A61K-0031/54
- CC 28-13 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
- IT **Anticonvulsants and Antiepileptics**
Nervous system agents
(preparation of 2-(thio)morpholineacetates and analogs as GABAB antagonists)
- IT 160415-03-2P 160415-06-5P 160415-07-6P 160415-08-7P
160415-09-8P 160415-10-1P 160415-11-2P 160415-12-3P
160415-13-4P 160415-14-5P 160415-15-6P 160415-16-7P 160415-19-0P
160415-20-3P 160415-21-4P 160415-22-5P 160415-23-6P 160415-24-7P
160415-25-8P 160415-26-9P 160415-27-0P 160415-28-1P 160415-29-2P
160415-30-5P 160415-33-8P 160415-34-9P 160415-36-1P 160415-37-2P
160415-38-3P 160415-39-4P 160415-40-7P 160415-40-7P
160415-41-8P 160415-41-8P 160415-42-9P 160415-43-0P
160415-44-1P 160415-45-2P 160415-46-3P 160415-46-3P 160415-47-4P
160415-47-4P 160415-48-5P 160415-49-6P 160415-50-9P 160415-51-0P
160415-52-1P 160415-53-2P 160415-54-3P 160415-55-4P 160415-56-5P
160415-56-5P 160415-57-6P 160415-57-6P 160415-58-7P 160415-59-8P
160415-60-1P 160415-61-2P 160415-62-3P 160415-63-4P 160415-64-5P
160497-02-9P 160497-03-0P 180863-29-0P 180863-32-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(thio)morpholineacetates and analogs as GABAB antagonists)
- IT 160415-06-5P 160415-09-8P 160415-10-1P
160415-40-7P 160415-41-8P 160497-02-9P
160497-03-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(thio)morpholineacetates and analogs as GABAB antagonists)
- RN 160415-06-5 HCAPLUS
- CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]- (9CI)
(CA INDEX NAME)



RN 160415-09-8 HCAPLUS

CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

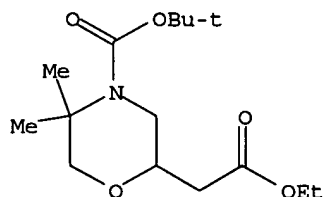
Rotation (+).



RN 160415-10-1 HCAPLUS

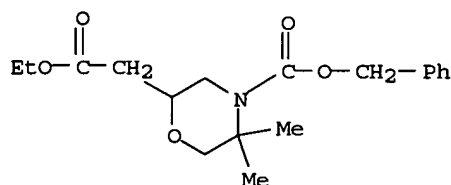
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



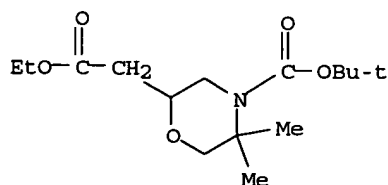
RN 160415-40-7 HCAPLUS

CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 160415-41-8 HCAPLUS

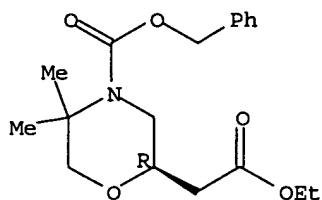
CN 2-Morpholineacetic acid, 4-[(1,1-dimethylethoxy)carbonyl]-5,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 160497-02-9 HCAPLUS

CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

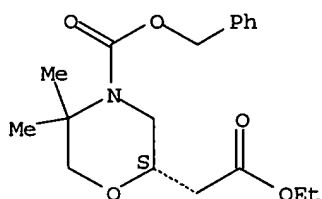
Absolute stereochemistry.



RN 160497-03-0 HCAPLUS

CN 2-Morpholineacetic acid, 5,5-dimethyl-4-[(phenylmethoxy)carbonyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 34 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1992:511587 HCAPLUS

DN 117:111587

TI Preparation of 4-[(tetrazolylbiphenyl)methoxy]naphthyridines and analogs as angiotensin II antagonists

IN Roberts, David Anthony; Pearce, Robert James; Bradbury, Robert Hugh

PA Imperial Chemical Industries PLC, UK

SO Eur. Pat. Appl., 33 pp.

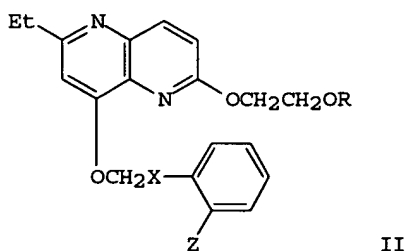
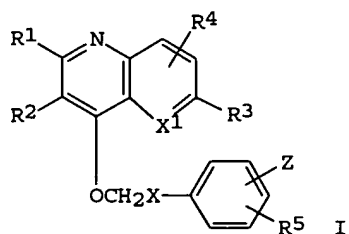
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP----487252	A1	19920527	1991EP-0310500	19911114 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA---2054555	AA	19920520	1991CA-2054555	19911030 <--
	US---5219863	A	19930615	1991US-0791717	19911114 <--
	JP--04273859	A2	19920930	1991JP-0303387	19911119 <--
PRAI	1990GB-0025123	A	19901119	<--	
OS	MARPAT 117:111587				
GI					



AB Title compds. [I; R1 = H, (cyclo)alkyl, Ph, phenylalkyl, etc.; R2 = H,

alkyl; R3 = PhO, pyridyloxy, YAB; A = alk(en)ylene, 1,3-cyclopentylenediyl, 1,4-cyclohexylenediyl, etc.; B = OH, alkoxy, Ph, PhO, (di)(alkyl)amino, etc.; R4 = H, alkyl, (fluoro)alkoxy, halo, CF3, etc.; R5 = H, alkyl, alkoxy, halo, CF3, cyano, NO2; X = bond, (substituted)phenylenediyl; X1 = CH, N; Y = O, S; Z = 1H-tetrazol-5-yl(carbamoyl), CO2H, (alkylsulfonyl)carbamoyl, etc.] were prepared. Thus, 5-amino-2-[2-(tert-butyl-diphenylsilyloxy)ethoxy]pyridine (preparation given) was cyclocondensed with EtCOCH2CO2Me and the naphthyridone product condensed with 5-(4'-bromomethylbiphenyl-2-yl)-2-triphenylmethyl-2H-tetrazole to give, after deprotection, title compound II (R = H, X = 1,4-phenylenediyl, Z = 2-triphenylmethyl-2H-tetrazol-5-yl) which was condensed with EtNCO to give, after deprotection, II (R = CONHET, X = 1,4-phenylenediyl, Z = 1H-tetrazol-5-yl). The latter had ED50 of 0.08 mg/kg i.v. against angiotensin II-induced pressor response in rats.

IC ICM C07D-0471/04

ICS C07D-0215/233; C07D-0401/12; A61K-0031/47; A61K-0031/435

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT **Antihypertensives**

(([tetrazolylbiphenyl]methoxy)naphthyridines and analogs)

IT 99073-54-8P 99185-50-9P 101351-09-1P 135900-24-2P 135900-26-4P
 143071-40-3P 143071-41-4P 143071-42-5P 143071-43-6P 143071-44-7P
 143071-46-9P 143071-48-1P 143071-49-2P 143071-50-5P 143071-51-6P
 143071-55-0P 143071-57-2P 143071-59-4P 143071-66-3P
 143071-67-4P 143071-68-5P 143071-69-6P 143071-70-9P 143071-71-0P
 143071-74-3P 143071-76-5P 143071-78-7P 143071-79-8P 143071-80-1P
 143071-82-3P 143071-83-4P 143071-85-6P 143071-86-7P 143071-87-8P
 143083-41-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of angiotensin II antagonists)

IT 143071-29-8P 143071-30-1P 143071-31-2P 143071-32-3P
 143071-33-4P 143071-34-5P 143071-35-6P 143071-36-7P 143071-37-8P
 143071-38-9P 143071-45-8P 143071-47-0P 143071-52-7P
 143071-54-9P 143071-56-1P 143071-58-3P 143071-60-7P
 143071-61-8P 143071-62-9P 143071-63-0P 143071-64-1P 143071-65-2P
 143071-72-1P 143071-73-2P 143071-75-4P 143071-77-6P 143071-81-2P
 143071-84-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as angiotensin II antagonist)

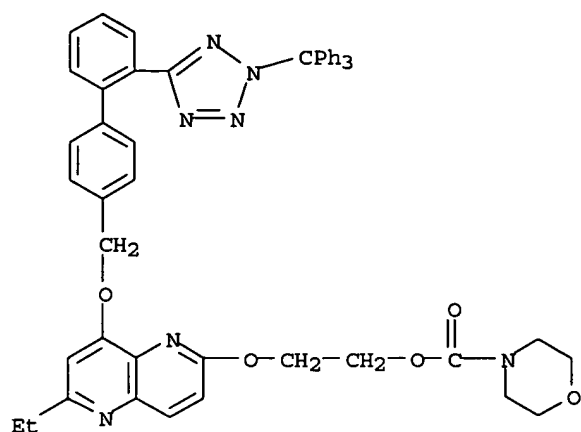
IT 143071-55-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of angiotensin II antagonists)

RN 143071-55-0 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[[6-ethyl-8-[[2'-[2-(triphenylmethyl)-2H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methoxy]-1,5-naphthyridin-2-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)

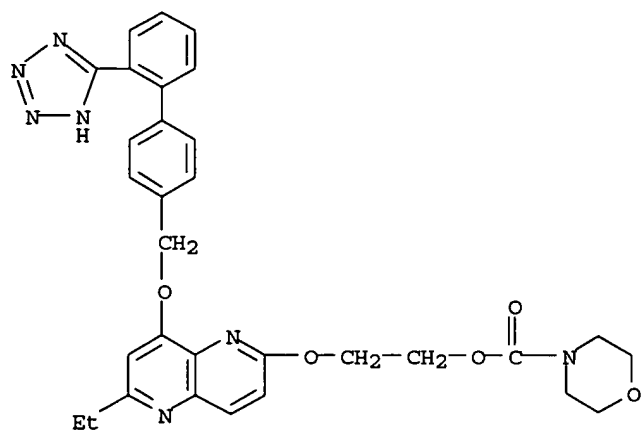


IT 143071-32-3P 143071-54-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)

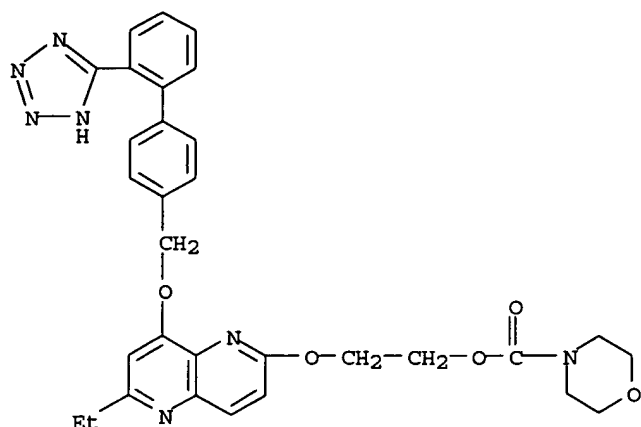
RN 143071-32-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[6-ethyl-8-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methoxy]-1,5-naphthyridin-2-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)



RN 143071-54-9 HCAPLUS

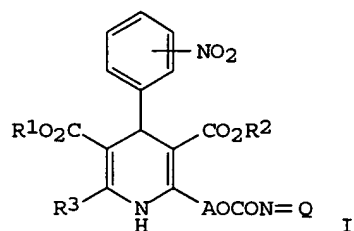
CN 4-Morpholinecarboxylic acid, 2-[[6-ethyl-8-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methoxy]-1,5-naphthyridin-2-yl]oxy]ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L28 ANSWER 35 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1991:101750 HCAPLUS
 DN 114:101750
 TI Preparation of 1,4-dihydropyridines as cardiovascular agents
 IN Suzuki, Kunio; Murase, Satoshi; Ushijima, Ryosuke; Nakagawa, Susumu
 PA Banyu Pharmaceutical Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 30 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

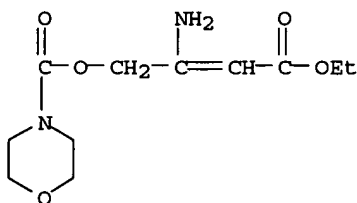
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP--02225467	A2	19900907	1989JP-0048035	19890228 <--
PRAI	1989JP-0048035		19890228	<--	
OS	MARPAT 114:101750				
GI					



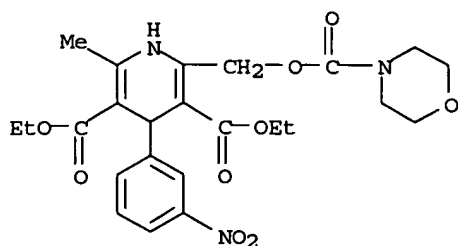
AB The title compds. I (R1, R2 = alkyl, alkoxy; R3 = alkyl; NQ = pyrrolidin-1-yl, piperidino, morpholino, etc.; A = alkylene) were prepared. A mixture of 3-amino-4-[(4-methylpiperazinyl)carbonyloxy]crotonic acid Et ester, 3-nitrobenzaldehyde, and Et acetoacetate in EtOH was stirred at 60-70° for 16 h to give 43.7% I (NO2 at position 3, R1 = R2 = Et, R3 = Me, A = CH2, NQ = 4-methylpiperazin-1-yl) (II). In the coronary vasodilating test using rabbit hearts, II exhibited pI50 value of 7.67, vs., pI50 of 6.85 for nifedipine.

IC ICM C07D-0211/90
 ICS A61K-0031/445; A61K-0031/495; C07D-0295/20; C07D-0405/12

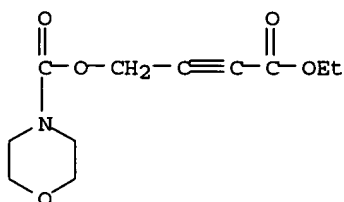
ICA C07D-0307/52
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1
 IT **Antihypertensives**
 Cardiovascular agents
 Vasodilators
 ((nitrophenyl)dihydropyridinedicarboxylates)
 IT 90511-97-0P 90511-98-1P 90511-99-2P 132220-71-4P
 132220-72-5P 132220-73-6P 132220-74-7P 132220-75-8P 132220-76-9P
 132220-77-0P 132220-78-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, in preparation of cardiovascular agent)
 IT 123494-24-6P 123494-25-7P 123494-26-8P 123494-27-9P
 132220-63-4P 132220-64-5P 132220-65-6P 132220-66-7P 132220-67-8P
 132220-68-9P 132220-69-0P 132220-70-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
 use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as cardiovascular agent)
 IT 92-54-6, N-Phenylpiperazine 99-61-6, 3-Nitrobenzaldehyde 109-01-3,
 N-Methylpiperazine 110-89-4, Piperidine, reactions 110-91-8,
 Morpholine, reactions 123-75-1, Pyrrolidine, reactions 141-97-9, Ethyl
 acetoacetate 2759-28-6, N-Benzylpiperazine 5610-49-1,
 N-Butylpiperazine 13889-98-0, N-Acetyl piperazine 17738-04-4
 39562-16-8 40172-95-0, N-(2-Furoyl)piperazine 55486-27-6 59037-70-6
 90511-53-8 90511-54-9 90511-55-0 90511-56-1 90512-00-8
 90512-11-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of cardiovascular agent)
 IT 90511-99-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, in preparation of cardiovascular agent)
 RN 90511-99-2 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 2-amino-4-ethoxy-4-oxo-2-butenyl ester (9CI)
 (CA INDEX NAME)



IT 123494-26-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic
 use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as cardiovascular agent)
 RN 123494-26-8 HCAPLUS
 CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2-methyl-6-[[[4-
 morpholinylcarbonyl]oxy]methyl]-4-(3-nitrophenyl)-, diethyl ester (9CI)
 (CA INDEX NAME)



IT 90511-55-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in preparation of cardiovascular agent)
 RN 90511-55-0 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 4-ethoxy-4-oxo-2-butynyl ester (9CI) (CA
 INDEX NAME)



L28 ANSWER 36 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1990:669 HCAPLUS
 DN 112:669
 TI Amino acid derivatives, processes for their preparation, and
 pharmaceutical compositions comprising them for treatment of hypertension
 and heart failure
 IN Hemmi, Keiji; Neya, Masahiro; Marusawa, Hiroshi; Imai, Keisuke; Kayakiri,
 Natsuko; Hashimoto, Masashi
 PA Fujisawa Pharmaceutical Co., Ltd., Japan
 SO Eur. Pat. Appl., 60 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP---300189	A2	19890125	1988EP-0109430	19880614 <--
	EP---300189	A3	19900822		
	EP---300189	B1	19941102		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA---8804087	A	19890222	1988ZA-0004087	19880608 <--
	US---4921855	A	19900501	1988US-0204549	19880609 <--
	ES---2067456	T3	19950401	1988ES-0109430	19880614 <--
	FI---8802875	A	19881223	1988FI-0002875	19880616 <--
	FI----96202	B	19960215		
	FI----96202	C	19960527		
	IL----86782	A1	19930404	1988IL-0086782	19880616 <--
	AU---8818190	A1	19881222	1988AU-0018190	19880621 <--
	AU---617674	B2	19911205		
	DK---8803400	A	19881223	1988DK-0003400	19880621 <--
	NO---8802732	A	19881223	1988NO-0002732	19880621 <--
	NO---175371	B	19940627		
	NO---175371	C	19941005		
	CN---1030411	A	19890118	1988CN-0103878	19880621 <--

CN---	1026892	B	19941207		
JP--	01019071	A2	19890123	1988JP-0153041	19880621 <--
JP--	06025147	B4	19940406		
HU----	47917	A2	19890428	1988HU-0003164	19880621 <--
HU----	202212	B	19910228		
SU---	1801107	A3	19930307	1988SU-4356019	19880621 <--
US---	5142048	A	19920825	1990US-0462117	19900108 <--
RU---	2070195	C1	19961210	1991RU-5010142	19911122 <--
US---	5223489	A	19930629	1992US-0828193	19920130 <--
PRAI	1987GB-0014597	A	19870622	<--	
	1987GB-0025511	A	19871030	<--	
	1988GB-0005389	A	19880307	<--	
	1988US-0204549	A3	19880609	<--	
	1990US-0462117	A3	19900108	<--	

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for preparing I [R1 = lower alkyl optionally substituted with acyl, hydroxy, lower alkoxy, aryl, lower alkylthio, NR5R6; R5 = H, acyl; R6 = H, lower alkyl, aryl, (lower alkyl- or acyl-substituted) amino; R2, R3 = H, lower alkyl; R4 = lower alkyl; R1NR2 = heterocycle optionally substituted with lower alkyl, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, acyl(lower)alkyl, oxo, acyl] or its pharmaceutically acceptable salt comprises (a) reacting II (R3, R4 as above; R8 = H, N-protective group) or its reactive derivative at the amino group or a salt thereof with III (R1, R2 as above) or its reactive derivative at the COO group or a salt thereof, and, if necessary, eliminating the N-protective group or (b) subjecting IV (R2, R3, R4, R6 as above; R7 = N-protective group; A = lower alkylene) or its salt to elimination reaction of R7 to give V (R2, R3, R4, R6, A as above) or its salt. I are useful as antihypertensives or for the treatment of heart failure. A solution of 2(S)-[N-(2-morpholinocarbonylethyl)-N-methylaminocarbonyloxy]-3-phenylpropionic acid (preparation described) 449 and 2(S)-[Nα-methyl-Nim-tosyl-L-histidyl)amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane (preparation described) 300 mg in CH2Cl2 (30 mL) was mixed with N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide-HCl 140 mg at 5° overnight. The residue was dissolved in EtOAC, washed with HCl/NaHCO3, dried, redissolved in DMF, and reacted with pyridine-HCl 650 mg for 2 h at room temperature. Workup and purification by TLC yielded 2(S)-[Nα-[2(S)-[N-(2-morpholinocarbonylethyl)-N-methylaminocarbonyloxy]-3-phenylpropionyl]-Nα-methyl-L-histidyl)amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane (VI) 221 mg (m.p. 80-87°) as an amorphous powder. VI, dissolved in HCl and orally administered to Na-depleted male or female cynomolgus monkeys (32 mg/kg), reduced mean arterial blood pressure and plasma renin activity by 18 and 92%, resp.

IC ICM C07D-0233/64

ICS A61K-0031/415

CC 1-8 (Pharmacology)

Section cross-reference(s): 25, 28, 34

IT Antihypertensives

(amino acid derivs.)

IT	124072-29-3P	124072-30-6P	124072-31-7P	124072-32-8P	
	124072-33-9P	124072-34-0P	124072-35-1P	124072-36-2P	
	124072-37-3P	124072-38-4P	124072-39-5P	124072-40-8P	124072-41-9P
	124072-42-0P	124072-43-1P	124072-44-2P	124072-45-3P	124072-46-4P
	124072-48-6P	124072-49-7P	124072-50-0P	124072-51-1P	124072-52-2P
	124072-53-3P	124072-54-4P	124072-55-5P	124072-56-6P	124072-57-7P
	124072-58-8P	124072-60-2P	124075-47-4P	124075-48-5P	124075-49-6P
	124075-50-9P	124075-51-0P	124075-52-1P	124075-53-2P	124075-54-3P
	124075-55-4P	124075-56-5P	124075-57-6P	124075-58-7P	124075-59-8P
	124075-60-1P	124075-61-2P	124075-62-3P	124075-63-4P	124075-64-5P
	124075-65-6P	124075-66-7P	124075-67-8P	124075-68-9P	124075-69-0P
	124075-70-3P	124075-71-4P	124075-72-5P	124075-73-6P	124075-74-7P

124075-75-8P	124075-76-9P	124075-77-0P	124075-78-1P	124075-79-2P
124075-80-5P	124075-81-6P	124075-82-7P	124075-83-8P	124075-84-9P
124075-85-0P	124075-86-1P	124075-87-2P	124075-88-3P	124075-89-4P
124075-90-7P	124075-91-8P	124075-92-9P	124075-93-0P	124075-94-1P
124075-95-2P	124075-96-3P	124075-97-4P	124075-98-5P	124075-99-6P
124076-00-2P	124076-01-3P	124076-02-4P	124076-03-5P	124076-04-6P
124076-05-7P	124076-06-8P	124076-07-9P	124076-08-0P	124076-09-1P
124076-10-4P	124076-11-5P	124076-12-6P	124076-13-7P	124076-14-8P
124076-15-9P	124076-16-0P	124076-17-1P	124076-18-2P	124076-19-3P
124076-20-6P	124076-21-7P	124076-22-8P	124076-23-9P	
124122-46-9P	124122-47-0P	124122-53-8P	124122-54-9P	124122-55-0P
124151-25-3P	124151-26-4P	124151-27-5P	124151-28-6P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

IT	124072-30-6P	124072-32-8P	124072-33-9P	124072-34-0P	
	124072-35-1P	124072-36-2P	124072-37-3P	124072-38-4P	124072-39-5P
	124072-40-8P	124072-41-9P	124072-42-0P	124072-43-1P	124072-44-2P
	124072-45-3P	124072-46-4P	124072-47-5P	124072-48-6P	124072-49-7P
	124072-50-0P	124072-51-1P	124072-52-2P	124072-53-3P	124072-54-4P
	124072-55-5P	124072-56-6P	124072-57-7P	124072-58-8P	124072-59-9P
	124072-60-2P	124075-63-4P	124075-64-5P	124075-69-0P	124075-71-4P
	124122-46-9P	124122-47-0P	124151-26-4P	124151-27-5P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive, renin inhibition in relation to)

IT	53673-16-8P	59702-07-7P	62917-66-2P	62917-75-3P	65918-90-3P
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	122225-89-2P	124072-65-7P	124072-66-8P	124072-67-9P	124072-68-0P
	124072-69-1P	124072-70-4P	124072-71-5P	124072-72-6P	124072-73-7P
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	124072-79-3P	124072-80-6P	124072-81-7P	124072-82-8P	124072-83-9P
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124074-88-0P	124074-89-1P	124074-90-4P	124074-91-5P	124074-92-6P
124074-93-7P	124074-94-8P	124074-95-9P	124074-96-0P	124074-97-1P
124074-98-2P				

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of antihypertensives)

IT	124074-99-3P	124075-00-9P	124075-01-0P	124075-02-1P	124075-03-2P
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	124075-24-7P	124075-25-8P	124075-26-9P	124075-27-0P	124075-28-1P
	124075-29-2P	124075-30-5P	124075-31-6P	124075-32-7P	
	124075-33-8P	124075-34-9P	124075-35-0P	124075-36-1P	124075-37-2P
	124075-38-3P	124075-39-4P	124075-40-7P	124075-41-8P	124075-42-9P
	124075-43-0P	124075-44-1P	124075-45-2P	124075-46-3P	124122-48-1P
	124122-49-2P	124122-50-5P	124122-51-6P	124122-52-7P	

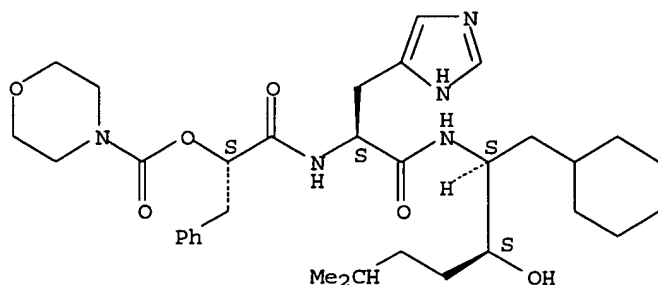
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of antihypertensives)

IT 124072-32-8P 124072-33-9P 124076-21-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 124072-32-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

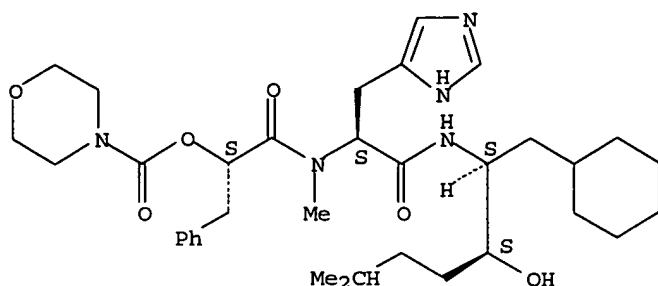
Absolute stereochemistry.



RN 124072-33-9 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

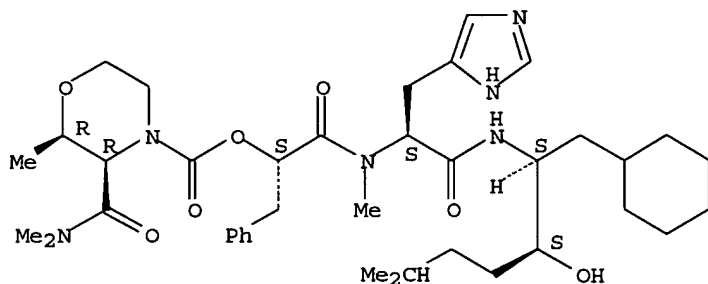
Absolute stereochemistry.



RN 124076-21-7 HCAPLUS

CN 4-Morpholinecarboxylic acid, 3-[(dimethylamino)carbonyl]-2-methyl-, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [2R-[2α,3α,4[S*[S*(1S*,2S*)]]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



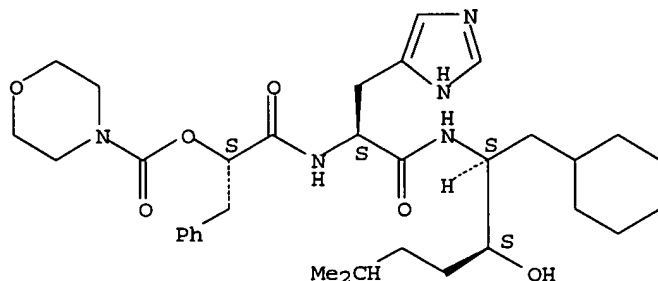
IT 124072-32-8P 124072-33-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive, renin inhibition in relation to)

RN 124072-32-8 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

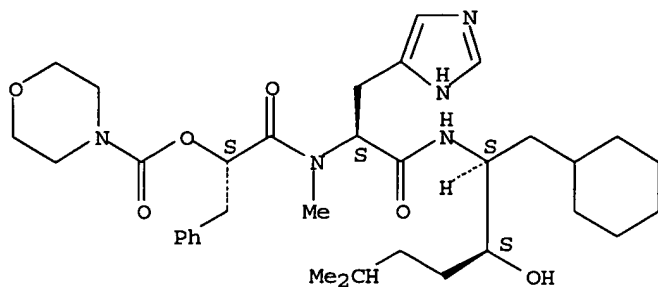
Absolute stereochemistry.



RN 124072-33-9 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-[[2-[[1-(cyclohexylmethyl)-2-hydroxy-5-methylhexyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]methylamino]-2-oxo-1-(phenylmethyl)ethyl ester, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 114343-31-6P 124073-34-3P 124074-26-6P

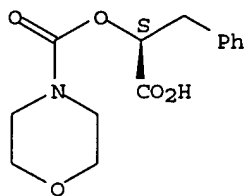
124075-29-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of antihypertensives)

RN 114343-31-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, (1S)-1-carboxy-2-phenylethyl ester (9CI) (CA INDEX NAME)

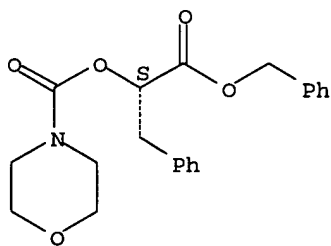
Absolute stereochemistry.



RN 124073-34-3 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-oxo-2-(phenylmethoxy)-1-(phenylmethyl)ethyl ester, (S)- (9CI) (CA INDEX NAME)

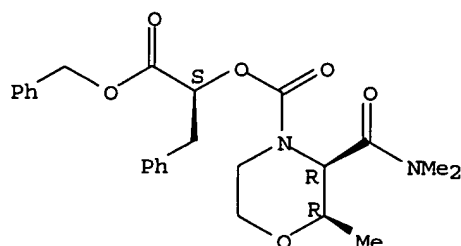
Absolute stereochemistry.



RN 124074-26-6 HCAPLUS

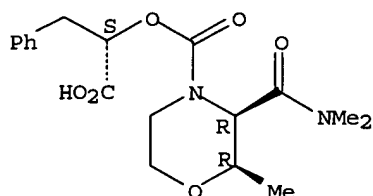
CN 4-Morpholinecarboxylic acid, 3-[(dimethylamino)carbonyl]-2-methyl-, 2-oxo-2-(phenylmethoxy)-1-(phenylmethyl)ethyl ester, [2R-[2 α ,3 α ,4(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 124075-29-2 HCAPLUS
 CN 4-Morpholinecarboxylic acid, 3-[(dimethylamino)carbonyl]-2-methyl-,
 1-carboxy-2-phenylethyl ester, [2R-[2α,3α,4(S*)]]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 37 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1989:208538 HCAPLUS
 DN 110:208538
 TI Polarographic screening test for the radiosensitizing effect of imidazole
 and triazole drugs on tumor cells
 AU Takamura, Kiyoko; Kusu, Fumiyo; Murayama, Chieko; Suzuki, Akira; Mori,
 Tomoyuki; Miyata, Yoshiyuki; Suzuki, Toshimitsu; Sakaguchi, Masakazu
 CS Tokyo Coll. Pharm., Hachioji, 192-03, Japan
 SO Denki Kagaku oyobi Kogyo Butsuri Kagaku (1988), 56(12), 1072-6
 CODEN: DKOKAZ; ISSN: 0366-9297
 DT Journal
 LA Japanese
 AB The radiosensitizing effects to γ-rays of 25 nitroimidazoles (2- and
 4-nitroimidazoles and including misonidazole) and 19 nitrotriazoles were
 studied in Chinese hamster V79 cells and mouse EMT 6 hypoxic tumor cells
 in relation to their polarog. half-wave potentials. All drugs gave a
 well-defined reduction wave on d.c. polarograms, and the wave was ascribed to
 a reduction of their vitro groups to form their corresponding hydroxylamines
 by reference to TLC Rf values and UV absorption spectra. The half-wave
 potentials of the drugs correlated with the drug concentration producing
 radiosensitivity enhancement ratios of 1.6.
 CC 8-6 (Radiation Biochemistry)
 Section cross-reference(s): 14
 IT Neoplasm inhibitors
 (nitroimidazoles with γ-rays, polarog. screening test for study
 of)
 IT 5006-67-7, SS 91-1 5006-69-9, SS 166-1 13551-87-6, Misonidazole
 22668-01-5, SR2508 82205-95-6, RA263 93679-08-4, RK 27 93679-10-8,
 RK28 93679-12-0, RK29 105958-72-3, SS 80-2 117259-20-8, KIH 801
 117278-72-5, KIH 851 117466-84-9, RP 26 120398-89-2, RP 170
 120398-90-5, SS 149-1 120398-91-6, SS 131-1 120398-92-7, SS 154-1
 120398-93-8, SS 155-1 120398-94-9, SS 338 120398-95-0, SS 339
 120398-96-1, SS 183-1 120398-97-2, SS 184-1 120398-98-3, SS 185-1
 120398-99-4, SS 186-1 120399-00-0, KIH 850 120399-01-1, KIH 853
 120399-02-2, RP 189 120399-03-3, SS 323 120399-04-4, SS 132-1

120399-05-5, SS 133-1 120399-06-6, SS 138 120399-07-7, SS 142
 120399-08-8, SS 134-1 120399-09-9, SS 135-1 120399-10-2, SS 139
 120399-11-3, SS 140 120399-12-4, SS 306 120399-13-5, SS 308
 120399-14-6, SS 312 120399-15-7, SS 315 120399-16-8, SS 325
 120399-17-9, KIH 802 120399-18-0, KIH 852 120443-92-7, RP 27a
 120443-93-8, RP 27b

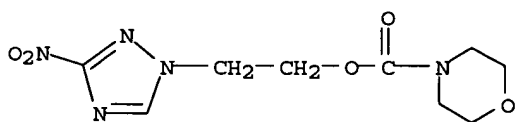
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (radiosensitization by, of tumor cells to γ -rays, polarog.
 screening test for study of)

IT 120399-12-4, SS 306 120399-14-6, SS 312

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (radiosensitization by, of tumor cells to γ -rays, polarog.
 screening test for study of)

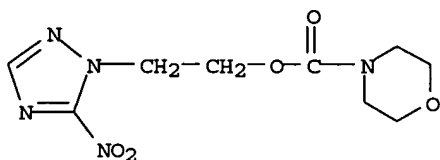
RN 120399-12-4 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-(3-nitro-1H-1,2,4-triazol-1-yl)ethyl ester
 (9CI) (CA INDEX NAME)



RN 120399-14-6 HCAPLUS

CN 4-Morpholinecarboxylic acid, 2-(5-nitro-1H-1,2,4-triazol-1-yl)ethyl ester
 (9CI) (CA INDEX NAME)



L28 ANSWER 38 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1986:533909 HCAPLUS

DN 105:133909

TI (Thio) morpholinecarboxylates as antihypertensives

IN Gante, Joachim; Weber, Wolf Dietrich; Sombroek, Johannes; Schmitges,
 Claus; Minck, Klaus Otto

PA Merck Patent G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 35 pp.

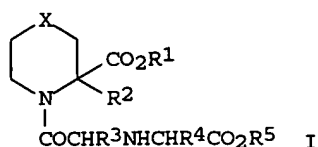
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE---3436386	A1	19860410	1984DE-3436386	19841004 <--
	EP----176903	A1	19860409	1985EP-0111997	19850921 <--
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	AU---8548278	A1	19860410	1985AU-0048278	19851002 <--
	HU----42764	A2	19870828	1985HU-0003844	19851003 <--
	HU---195838	B	19880728		
	JP--61091180	A2	19860509	1985JP-0220508	19851004 <--
	ZA---8507683	A	19860528	1985ZA-0007683	19851004 <--
	ES---547616	A1	19870301	1985ES-0547616	19851004 <--
PRAI	1984DE-3436386	A	19841004	<--	
OS	CASREACT 105:133909; MARPAT 105:133909				
GI					



AB The title compds. I [X = O, S, SO, SO₂; R₁, R₅ = H, C₁-4 alkyl, PhCH₂; R₂ = H, C₁-6 alkyl; R₃ = Me, (CH₂)₄NH₂; R₄ = Me, (CH₂)₂R₆; R₆ = (un)substituted Ph] and their salts, being useful in lowering blood pressure (no data), are prepared. Thus, (S)-thiomorpholine-3-carboxylic acid Et ester was reacted with N-(1S-ethoxycarbonyl-3-phenylpropyl)-L-alanine to give (S,S,S)-I (X = S, R₁ = R₅ = Et, R₂ = H, R₃ = Me, R₄ = 3-phenylpropyl) (II). A capsule was formulated containing II 5, lactose 20, starch 6, talc 1, and Mg stearate 0.5 mg.

IC ICM C07D-0279/12
ICS C07D-0265/30; A61K-0031/535; A61K-0031/54

CC 28-18 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT **Antihypertensives**
(thio)morpholinecarboxylates

IT 104277-59-0 105693-13-8
RL: PROC (Process)
(Schiff base formation of, with oxophenylbutyrate)

IT 104254-32-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenolysis of)

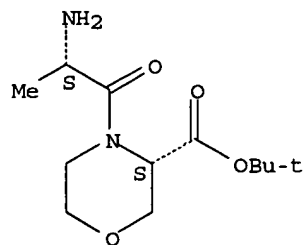
IT 100991-45-5P 104254-17-3P 104254-18-4P 104254-19-5P
104254-20-8P 104254-21-9P 104254-22-0P 104254-23-1P
104254-24-2P 104321-15-5P 104321-16-6P 104321-17-7P
104321-18-8P 104321-19-9P 104321-20-2P 104321-21-3P 104321-22-4P
104321-23-5P 104371-12-2P 104371-13-3P 104371-14-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

IT 105693-13-8
RL: PROC (Process)
(Schiff base formation of, with oxophenylbutyrate)

RN 105693-13-8 HCAPLUS

CN 3-Morpholinecarboxylic acid, 4-(2-amino-1-oxopropyl)-, 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



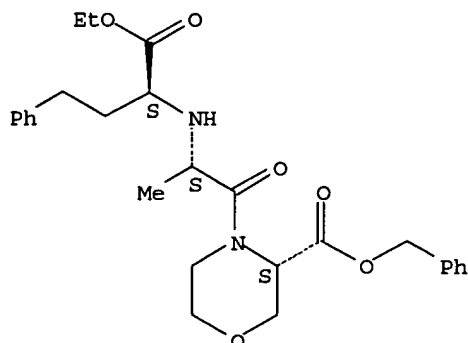
IT 104254-32-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenolysis of)

RN 104254-32-2 HCAPLUS

CN 3-Morpholinecarboxylic acid, 4-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, phenylmethyl ester,

[3S-[3R*,4[R*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



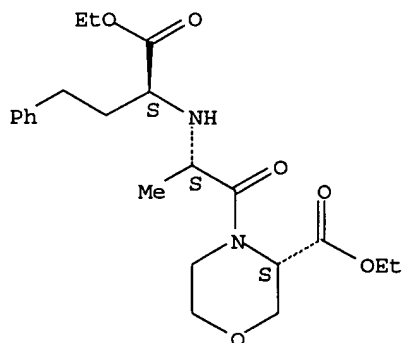
IT 104254-18-4P 104254-22-0P 104321-17-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 104254-18-4 HCAPLUS

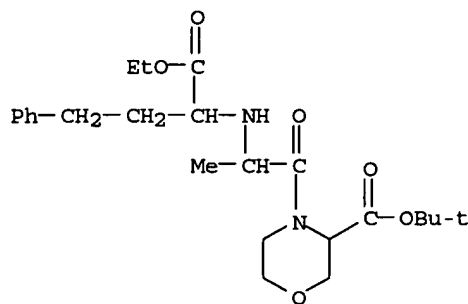
CN 3-Morpholinecarboxylic acid, 4-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, ethyl ester, [3S-[3R*,4[R*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 104254-22-0 HCAPLUS

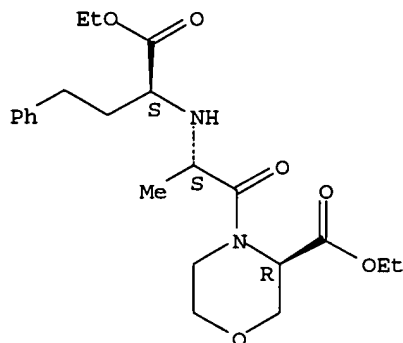
CN 3-Morpholinecarboxylic acid, 4-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 104321-17-7 HCAPLUS

CN 3-Morpholinecarboxylic acid, 4-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-, ethyl ester, [3R-[3R*,4[S*(S*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 39 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1986:230474 HCAPLUS

DN 104:230474

TI Use of a phospholipid derivative

IN Nojima, Shoshichi; Nomura, Hiroaki; Tsushima, Susumu

PA Takeda Chemical Industries, Ltd. , Japan

SO Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DT Patent

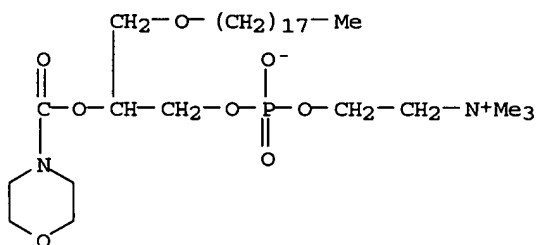
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP----171968	A1	19860219	1985EP-0305407	19850730 <--
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP--61040218	A2	19860226	1984JP-0163581	19840802 <--
PRAI	1984JP-0163581	A	19840802	<--	
OS	CASREACT 104:230474; MARPAT 104:230474				
GI	For diagram(s), see printed CA Issue.				
AB	Phospholipd derivs., I where R1 = C14-19 alkyl, R2, R3, and R4 = independently C1-2 alkyl or +NR2R3R4 = N-containing 5- or 6-membered heterocyclic ring, and NR5R6 = 4-6-membered ring, or pharmaceutically acceptable salts inhibit tumor proliferation. The synthesis, formulation, and biol. activity of I were reported. E.g., 3-octadecyl-2-pyrrolidinocarbonylglycerol was reacted with bromoethyl phosphodichloridate and the residue dissolved in N-methylpyrrolidine to afford 3-octadecyloxy-2-(pyrrolidinocarbonyloxy)propyl				

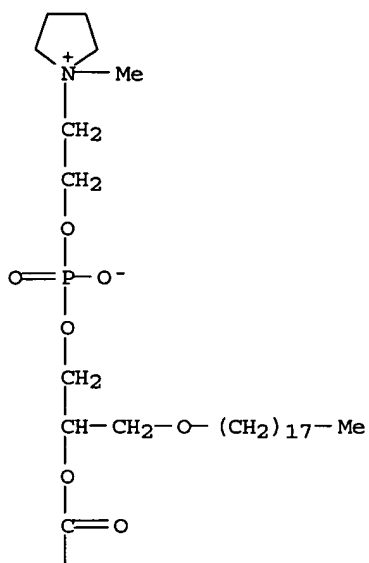
2-(N-methylpyrrolidinio)ethyl phosphate. Tablets (1000) were prepared from a granulation containing 1-O-octadecyl-2-O-(morpholinocarbonyl)glycero-3-phosphocholine (II) 10, lactose 85, corn starch 20, hydroxypropyl cellulose 4, and Mg stearate 1 g. II inhibited leukemia HL-60 cells.

IC ICM A61K-0031/685
ICA C07F-0009/65
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 27
IT Neoplasm inhibitors
(phospholipid derivs.)
IT 89078-80-8 102637-72-9 102637-73-0
RL: BIOL (Biological study)
(in pharmaceutical composition, as neoplasm inhibitor)
IT 70641-51-9 89078-68-2 89078-82-0
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm inhibitor)
IT 89078-80-8 102637-73-0
RL: BIOL (Biological study)
(in pharmaceutical composition, as neoplasm inhibitor)
RN 89078-80-8 HCAPLUS
CN 3,5,9-Trioxa-4-phosphaheptacosan-1-aminium, 4-hydroxy-N,N,N-trimethyl-7-[(4-morpholinylcarbonyl)oxy]-, inner salt, 4-oxide (9CI) (CA INDEX NAME)

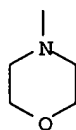


RN 102637-73-0 HCAPLUS
CN Pyrrolidinium, 1-[4-hydroxy-7-[(4-morpholinylcarbonyl)oxy]-4-oxido-3,5,9-trioxa-4-phosphaheptacos-1-yl]-1-methyl-, inner salt (9CI) (CA INDEX NAME)

PAGE 1-A

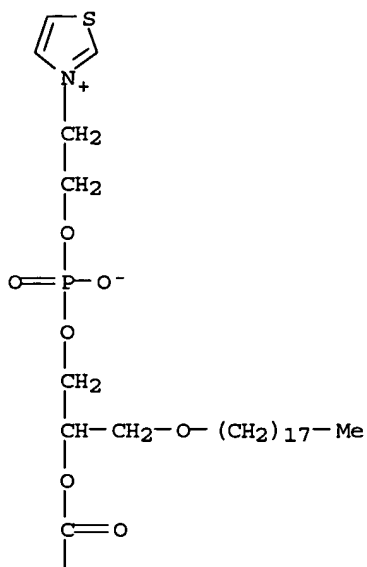


PAGE 2-A

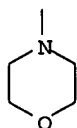


IT 89078-82-0
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (neoplasm inhibitor)
 RN 89078-82-0 HCAPLUS
 CN Thiazolium, 3-[4-hydroxy-7-[(4-morpholinylcarbonyl)oxy]-4-oxido-3,5,9-trioxa-4-phosphaheptacos-1-yl]-, inner salt (9CI) (CA INDEX NAME)

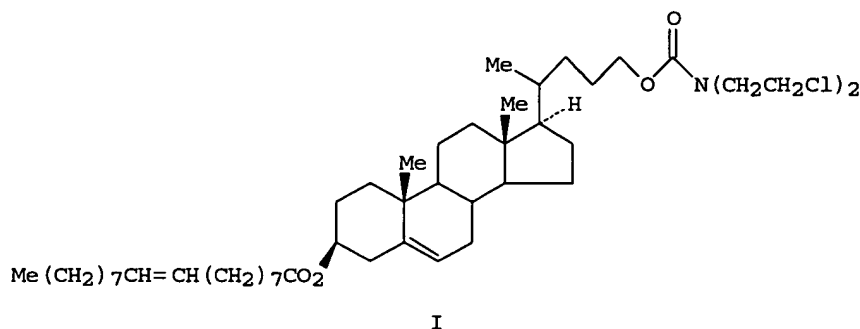
PAGE 1-A



PAGE 2-A



L28 ANSWER 40 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1984:448270 HCAPLUS
 DN 101:48270
 TI Selective delivery of cytotoxic compounds to cells by the LDL pathway
 AU Firestone, Raymond A.; Pisano, Judith M.; Falck, J. R.; McPhaul, Michael
 M.; Krieger, Monty
 CS Membrane Athritis Res. Dep., Merck Sharp and Dohme Res. Lab., Rahway, NJ,
 07065, USA
 SO Journal of Medicinal Chemistry (1984), 27(8), 1037-43
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 GI



AB Cytotoxic compds. were prepared for reconstitution with LDL (low-d. lipoproteins) to be delivered to cancer cells that internalize LDL, and evaluated by measuring the toxicity of reconstituted LDL toward test cells, SV-589 (SV-40 transformed human fibroblasts) bearing LDL receptors. Selectivity was determined by comparison, either with mutant cells with few LDL receptors, or with reconstituted methylated LDL (not recognized by LDL receptors) or normal cells. N-[[[4-(3 β -(Oleoyloxy)androst-5-en-17 β -yl]pentyl]oxy]carbonyl]-N,N-bis(2-chloroethyl)amine (I) [90343-98-9] reconstituted well and was delivered exclusively via the LDL pathway in amts. capable of killing 100% cells.

CC 1-6 (Pharmacology)

Section cross-reference(s): 28, 32

IT **Neoplasm inhibitors**

(lysosomotropic detergents as, delivery by low-d. lipoprotein in relation to)

IT 5299-52-5P 64833-92-7P 90343-82-1P 90343-84-3P 90343-85-4P
90343-86-5P 90343-87-6P 90343-88-7P 90343-89-8P 90343-90-1P
90343-91-2P 90343-92-3P 90343-93-4P 90343-94-5P
90343-95-6P 90343-96-7P 90343-97-8P 90343-98-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and neoplasm inhibiting activity of, selective delivery by low-d. lipoprotein in relation to)

IT 90343-92-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and neoplasm inhibiting activity of, selective delivery by low-d. lipoprotein in relation to)

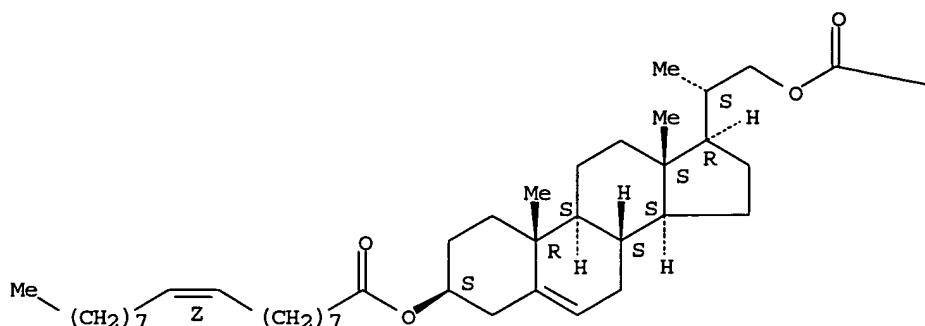
RN 90343-92-3 HCAPLUS

CN Pregn-5-ene-5,21-diol, 20-methyl-, 21-(2-dodecyl-4-morpholinecarboxylate) 3-(9Z)-9-octadecenoate, (3 β ,20S)- (9CI) (CA INDEX NAME)

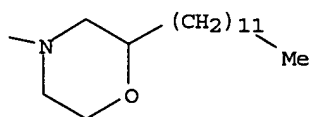
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

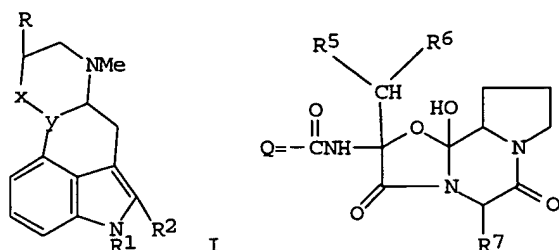


PAGE 1-B



L28 ANSWER 41 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 1979:457266 HCAPLUS
 DN 91:57266
 TI Ergoline sulfides and sulfoxides
 PA SIMES Societa Italiana Medicinali e Sintetici S.p.A., Italy
 SO Belg., 16 pp.
 CODEN: BEXXAL
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE---868768	A1	19781103	1978BE-0189095	19780705 <--
	US---4197299	A	19800408	1978US-0917335	19780620 <--
	JP--54014999	A2	19790203	1978JP-0078793	19780629 <--
	JP--62025149	B4	19870601		
	GB--2000772	A	19790117	1978GB-0028932	19780705 <--
	GB--2000772	B2	19820224		
	FR---2396758	A1	19790202	1978FR-0020054	19780705 <--
	FR---2396758	B1	19810626		
	DE---2829471	A1	19790215	1978DE-2829471	19780705 <--
	DE---2829471	C2	19880922		
PRAI	1977CH-0008255	A	19770705	<--	
OS	MARPAT 91:57266				
GI					



AB Ergolines I [xy = CH₂CH, CH:C; R = CH₂OH, CH₂O₃SC₆H₄Me, CH₂O₃SC₆H₄Me-4, CH₂O₂CNR₃R₄ (R₃, R₄ = C1-4 alkyl; R₃R₄N = piperidino, morpholino, piperazino), Q (R₅, R₆ = H, Me; R₇ = PhCH₂, CH₂CHMe₂, CHMe₂); R₁ = H, 1-4 alkyl; R₂ = SR₈, SOR₈; (R₈ = C1-6 alkyl, Ph)] (25 compds.), possessing antidepressant, adrenolytic, spasmolytic, vasodilator, psychotropic, muscle relaxant, sympatholytic activities, were prepared. Thus, to 3 g Me dihydrolysergate in CHCl₃ cooled to -60° was added 1.32 g MeSCl to give 1.9 g I (XY = CH₂CH, R = CO₂Me, R₁ = H, R₂ = SMe).

IC C07D; A61K

CC 31-6 (Alkaloids)

IT **Muscle relaxants and Spasmolytics**
Psychotropics
Sympatholytics
Vasodilators
(ergolines as)

IT 69754-15-0P 69754-17-2P 69754-19-4P 69754-21-8P 69754-23-0P
69754-24-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of)

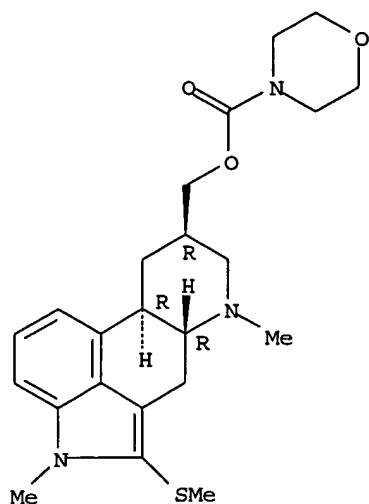
IT 69754-03-6P 69754-05-8P 69754-07-0P 69754-09-2P 69754-10-5P
69754-11-6P 69754-13-8P 69754-25-2P 69754-26-3P
69754-28-5P 69765-32-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 69754-24-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of)

RN 69754-24-1 HCAPLUS

CN Ergoline-8-methanol, 1,6-dimethyl-2-(methylthio)-, 4-morpholinecarboxylate (ester), (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



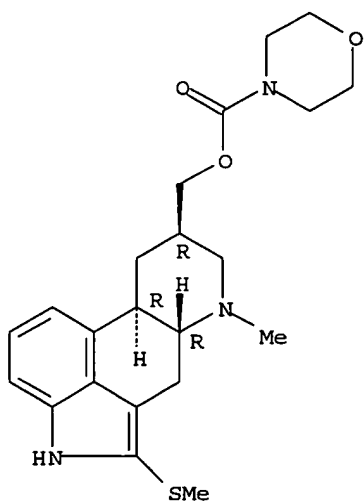
IT 69754-13-8P 69754-26-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 69754-13-8 HCAPLUS

CN Ergoline-8-methanol, 6-methyl-2-(methylthio)-, 4-morpholinecarboxylate
(ester), (8β)- (9CI) (CA INDEX NAME)

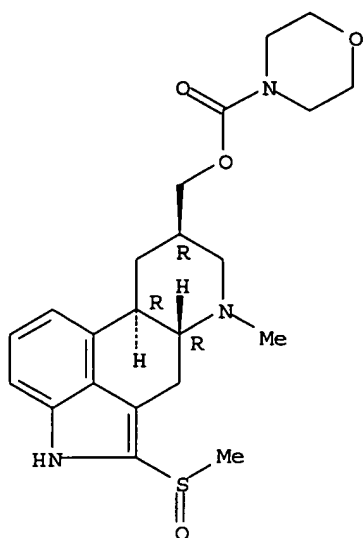
Absolute stereochemistry.



RN 69754-26-3 HCAPLUS

CN Ergoline-8-methanol, 6-methyl-2-(methylsulfinyl)-, 4-morpholinecarboxylate
(ester), (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L28 ANSWER 42 OF 42 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1977:72966 HCAPLUS

DN 86:72966

TI Carbamates of 2-haloergolines and 2-haloergolenes

PA SIPHAR S. A., Switz.

SO Ger. Offen., 24 pp.

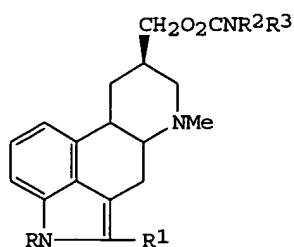
CODEN: GWXXBX

DT Patent

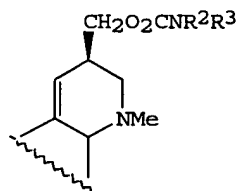
LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE---2610864	A1	19760930	1976DE-2610864	19760315 <--
	CH---619709	A	19801015	1975CH-0003273	19750314 <--
	BE---839521	A1	19760701	1976BE-0165126	19760312 <--
	DK---7601095	A	19760915	1976DK-0001095	19760312 <--
	SE---7603231	A	19760915	1976SE-0003231	19760312 <--
	FR---2303548	A1	19761008	1976FR-0007221	19760312 <--
	FR---2303548	B1	19781117		
	CA---1076107	A1	19800422	1976CA-0247788	19760312 <--
	JP--51146498	A2	19761216	1976JP-0028012	19760315 <--
PRAI	1975CH-0003273	A	19750314	<--	
GI					



I



II

AB Haloergolines I and II [R = H, R1 = Br, Cl, I, R2 = R3 = Me, Et, R2R3 =

(CH₂)_n, n = 3,4,5,6, NR₂R₃ = morpholino, 4-methylpiperazino, 3-azabicyclo[3.2.2]nonan-3-yl; R = Me, R₁ = Br, NR₂R₃ = hexahydroazepin-1-yl, morpholino] (19 compds.) and their salts, possessing pharmacol. activities, were prepared by halogenation of I and II (R₁ = H) in aprotic solvents using MeCONHBr, N-bromosuccinimide, N-iodosuccinimide, and N-chlorobenzotriazole. Thus, the treatment of II (R = R₁ = H, R₂ = R₃ = Me) in dioxane under N with N-bromosuccinimide gave II (R₁ = Br). I [R = H, R₁ = Br, R₂R₃ = (CH₂)₆] had a sympatholytic ED₅₀ of 8µg/kg i.v. in rats.

IC C07D-0457/00

CC 31-6 (Alkaloids)

IT Antihypertensives

Muscle relaxants and Spasmolytics

Sympatholytics

(bromoergolines as)

IT 55855-95-3 55855-96-4 55856-01-4 55856-02-5 55856-17-2
55856-18-3 55856-21-8 55856-23-0 55856-25-2 55856-26-3
55906-86-0 55976-62-0 56009-92-8 61771-44-6 61771-45-7
61771-46-8 61771-47-9 61771-48-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(bromination of)

IT 60019-20-7P 61771-22-0P 61771-25-3P 61771-26-4P 61771-30-0P
61771-34-4P 61771-42-4P 61771-43-5P 61823-84-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and sympatholytic activity of)

IT 61771-14-0P 61771-15-1P 61771-21-9P 61771-24-2P 61771-28-6P
61771-32-2P 61771-36-6P 61771-38-8P 61771-39-9P 61771-41-3P
61824-23-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

IT 55856-25-2 61771-46-8

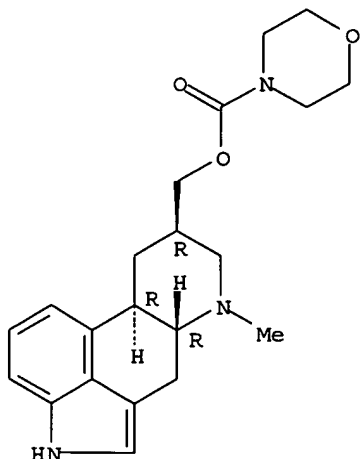
RL: RCT (Reactant); RACT (Reactant or reagent)

(bromination of)

RN 55856-25-2 HCAPLUS

CN Ergoline-8-methanol, 6-methyl-, 4-morpholinecarboxylate (ester),
(8β)- (9CI) (CA INDEX NAME)

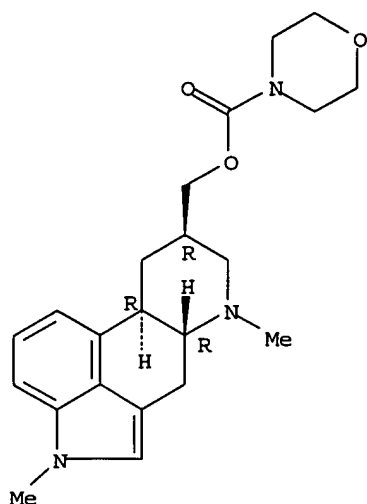
Absolute stereochemistry.



RN 61771-46-8 HCAPLUS

CN Ergoline-8-methanol, 1,6-dimethyl-, 4-morpholinecarboxylate (ester),
(8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



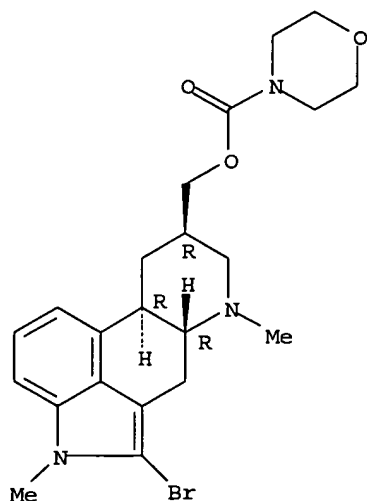
IT 61771-42-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and sympatholytic activity of)

RN 61771-42-4 HCAPLUS

CN Ergoline-8-methanol, 2-bromo-1,6-dimethyl-, 4-morpholinecarboxylate (ester), (8 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 61771-41-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 61771-41-3 HCAPLUS

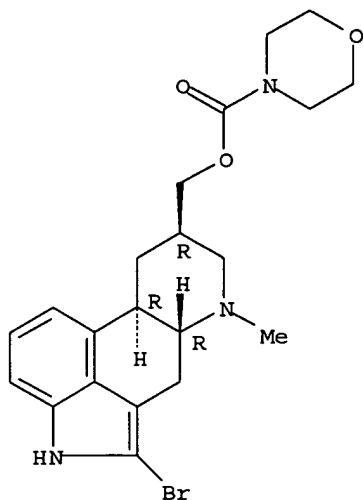
CN Ergoline-8-methanol, 2-bromo-6-methyl-, 4-morpholinecarboxylate (ester), (8 β)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 61771-40-2

CMF C21 H26 Br N3 O3

Absolute stereochemistry.

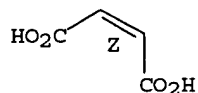


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



=> d his

(FILE 'HOME' ENTERED AT 12:52:11 ON 24 AUG 2006)

FILE 'REGISTRY' ENTERED AT 12:52:29 ON 24 AUG 2006
ACT SAC581F0/A

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L3          2805 SEA FILE=REGISTRY SUB=L2  SSS FUL L1

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FILE 'HCAPLUS' ENTERED AT 12:53:28 ON 24 AUG 2006

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L4          954 L3
L5          1 (US2005004118 OR US2003060465)/PN OR (US2004-767581 OR US2002-0
            E JILANI J/AU
            E JILANI J/AU
L6          12 E3-7
L7          12 (SPEC?(W) PHARMACEUTIC?)/CS,PA

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FILE 'REGISTRY' ENTERED AT 12:57:11 ON 24 AUG 2006

FILE 'HCAPLUS' ENTERED AT 12:57:14 ON 24 AUG 2006

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L8          TRA L5 1- RN :          55 TERMS

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noble jarrell 24/08/2006

FILE 'REGISTRY' ENTERED AT 12:57:14 ON 24 AUG 2006

L9 55 SEA L8
L10 8 L9 AND L3

FILE 'HCAPLUS' ENTERED AT 12:57:34 ON 24 AUG 2006

L11 1 L4 AND L5-7
E NSAID/CT
E E3+ALL
L12 10822 ANTI-INFLAMMATORY AGENTS+OLD,NT/CT (L)NONSTEROID?
E ANTIBIOTICS/CT
L13 197353 E3+OLD,NT
E E3+ALL
E E171
E E3+ALL
L14 262932 E3+OLD,NT
E CHEMOTHERAPY/CT
E E3+ALL
L15 33605 E3+OLD,NT
E CYTOTOXIC AGENTS/CT
E E3+ALL
L16 12996 E2+OLD
E E16+ALL
L17 16563 E3+OLD
E CARDIOVASCULAR/CT
E E5+ALL
L18 119191 E3+NT
E MUSCLE RELAX/CT
E E4+ALL
L19 9164 E4+OLD,NT
E E10+ALL
L20 8513 E4+OLD,NT
E DIURETIC/CT
E E3+ALL
E E2+ALL
L21 9731 E4
E ANTIEP/CT
E E5+ALL
E E2+ALL
L22 72881 E9+OLD,NT OR E33+OLD,NT OR E34+OLD,NT OR E35+OLD,NT
L23 228 L4 AND L12-22
L24 1 L23 AND L5-7
L25 227 L23 NOT L24
L26 133 L25 AND (PY<=2000 OR AY<=2000 OR PRY<=2000)
L27 41 L26 AND L4,L12-22 (L) (PAC OR THU OR DMA)/RL
E NERVOUS SYSTEM AGENTS/CT
E E3+ALL
L28 42 L24,L27

FILE 'BIOSIS' ENTERED AT 13:12:56 ON 24 AUG 2006

L29 1 L3
E JILANI J/AU
L30 4 E3-5
L31 0 L30 AND L29
L32 10 (SPEC?(W) PHARMACEUTIC?)/CS
L33 0 L32 AND L29

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